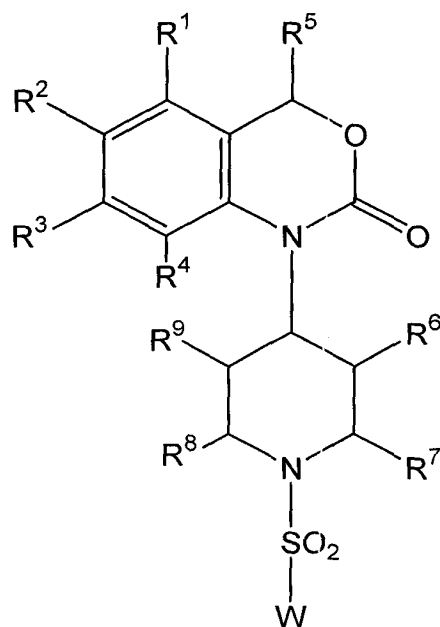


Benzoxazinone-derived sulphonamide compounds, their preparation and use as medicaments

The present invention relates to benzoxazinone-derived sulphonamide compounds of general formula (I),



(I)

a process for their preparation, a medicament comprising these compounds and the use of benzoxazinone-derived sulphonamide compounds for the preparation of medicaments for 5-HT₆ receptor regulation as well as for the treatment of disorders related thereto.

The superfamily of serotonin receptors (5-HT) includes 7 classes (5-HT₁-5-HT₇) encompassing 14 human subclasses [D. Hoyer, et al., *Neuropharmacology*, 1997, 36, 419]. The 5-HT₆ receptor is the latest serotonin receptor identified by molecular cloning both in rats [F.J. Monsma, et al., *Mol. Pharmacol.*, 1993, 43, 320; M. Ruat, et al., *Biochem. Biophys. Res. Commun.*, 1993, 193, 268] and in humans [R. Kohen, et al., *J. Neurochem.*, 1996, 66, 47]. Compounds with 5-HT₆ receptor affinity are useful for the treatment of various disorders of the Central Nervous System and of the gastrointestinal tract, such as irritable intestine syndrome. Compounds with 5-HT₆ receptor affinity are also useful in the treatment of anxiety, depression and cognitive

memory disorders [M. Yoshioka, et al., Ann. NY Acad. Sci., 1998, 861, 244; A. Bourson, et al., Br. J. Pharmacol., 1998, 125, 1562; D.C. Rogers, et al., Br. J. Pharmacol. Suppl., 1999, 127, 22P; A. Bourson, et al., J. Pharmacol. Exp. Ther. , 1995, 274, 173; A.J. Sleight, et al., Behav. Brain Res. , 1996, 73, 245; T.A. Branchek, et al., Annu. Rev. Pharmacol. Toxicol., 2000, 40, 319; C. Routledge, et al., Br. J. Pharmacol., 2000, 130, 1606]. It has been shown that typical and atypical antipsychotic drugs for treating schizophrenia have a high affinity for 5-HT₆ receptors [B.L. Roth, et al., J. Pharmacol. Exp. Ther. , 1994, 268, 1403; C.E. Glatt, et al., Mol. Med. , 1995, 1, 398; F.J. Mosma, et al., Mol. Pharmacol., 1993, 43, 320; T. Shinkai, et al., Am. J. Med. Genet., 1999, 88, 120]. Compounds with 5-HT₆ receptor affinity are useful for treating infant hyperkinesia (ADHD, attention deficit / hyperactivity disorder) [W.D. Hirst, et al., Br. J. Pharmacol. , 2000, 130, 1597; C. Gérard, et al., Brain Research, 1997, 746, 207; M.R. Pranzatelli, Drugs of Today, 1997, 33, 379].

Moreover, it has been shown that the 5-HT₆ receptor also plays a role in food ingestion [Neuropharmacology, 41, 2001, 210-219].

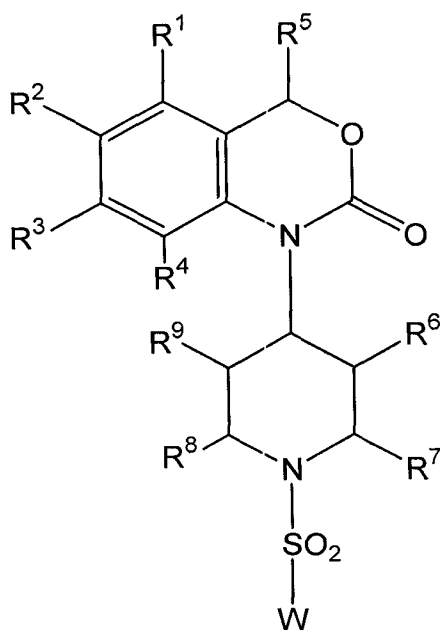
Food ingestion disorders, particularly obesity, are a serious, fast growing threat to the health of humans of all age groups, since they increase the risk of developing other serious, even life-threatening diseases such as diabetes or coronary diseases.

Thus, it was an object of the present invention to provide novel compounds that are suitable in particular as active substances in medicaments, preferably in medicaments for the regulation of 5-HT₆ receptors, for the prophylaxis and/or treatment of food-intake related disorders, disorders of the central nervous system, disorders of the gastrointestinal tract, such as irritable intestine syndrom, anxiety, panic, depression, cognitive memory disorders, senile dementia disorders, such as Morbus Alzheimer, Morbus Parkinson and Morbus Huntington, schizophrenia, psychosis, infantile hyperkinesia, ADHC (attention deficit, hyperactivity disorders) and other 5-HT₆ mediated disorders particularly in mammals, including man.

It has been found that the benzoxazinone-derived sulphonamide compounds of general formulas (I) and (Ia) given below show affinity for the 5-HT₆-receptor. These compounds are therefore also suitable for the manufacture of a medicament for the prophylaxis and/or treatment of food ingestion (food intake) disorders, particularly for

the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (Non-Insulin Dependent Diabetes Mellitus), preferably type II diabetes, which is caused by obesity, disorders of the central nervous system, disorders of the gastrointestinal tract, such as irritable intestine syndrom, anxiety, panic, depression, cognitive memory disorders, senile dementia disorders, such as Morbus Alzheimer, Morbus Parkinson and Morbus Huntington, schizophrenia, psychosis, infantile hyperkinesia, ADHC (attention deficit, hyperactivity disorders) and other 5-HT₆ mediated disorders particularly in mammals, including man.

Thus, one aspect of the present invention are benzoxazinone-derived sulfonamide compounds of general formula (I),



(I)

wherein

R¹, R², R³, R⁴ are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-

substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, $-OR^{10}$, $-OC(=O)R^{11}$, $-SR^{12}$, $-SOR^{12}$, $-SO_2R^{12}$, $-NH-SO_2R^{12}$, $-SO_2NH_2$ and a $-NR^{13}R^{14}$ moiety,

R^5 represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical,

R^6 , R^7 , R^8 , R^9 are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano group and a $COOR^{15}$ moiety,

W represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

an optionally at least mono-substituted heteroaryl radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

an optionally at least mono-substituted, monocyclic aryl radical, which is condensed with an optionally at least mono-substituted mono- or polycyclic ring-system and which may be bonded via an optionally at least mono-substituted alkylene group,

a NR¹⁶R¹⁷-moiety,

a COR¹⁸-moiety,

or a phenyl radical, which is at least mono-substituted with one of the substituents selected from the group consisting of:

2,2,2,-Trifluoroethoxy-, C₂₋₆-Alkenyl-, 1,3-Dihydro-1-oxo-2H-isoindol-2-yl-, N-Phthalimidinyl-, [(2-chloro-1,3-thiazolyl-5-yl)-methoxy, Ethyl-5-yl-2-methyl-3-furoate, C₁₁₋₂₀-alkyl-, 1,3-Dioxo-2-azaspiro[4,4]non-2-yl-, pyrazolyl-, (1,3-oxazol-5-yl)-, (5-Methyl-1,3,4-oxadiazol-2-yl)-, difluoromethoxy, dichloromethoxy, 1-pyrrolidinylsulfonyl, morpholinosulfonyl, 2-methyl-4-pyrimidinyl-, a phenoxy group, which is at least mono-substituted with C₁₋₅-alkoxy, a phenyl group, which is at least mono-substituted with one of the substituents selected from the group consisting of nitro, C₁₋₅-alkoxy, F, Cl, Br, at least partially fluorinated C₁₋₅-alkyl, at least partially chlorinated C₁₋₅-alkyl, [(2-Chloro-1,3-thiazol-5-yl)-methoxy]-, and -(C=O)-C₁₋₅-alkyl, a pyridinyl group, which is at least mono-substituted with C₁₋₅-alkoxy, a phenoxy group which is at least di-substituted and a pyridinyloxy group, which is at least di-substituted

with the proviso that W does not represent unsubstituted furyl-, unsubstituted thienyl- or thienyl substituted with a substituent selected from the group consisting of C₁₋₅-alkoxycarbonyl, C₁₋₅-alkylcarbonyl, carboxyl and pyridyl, unsubstituted pyrrolyl-, unsubstituted naphthyl, unsubstituted indolyl, unsubstituted tetrahydronaphthyl, substituted or unsubstituted pyridyl, unsubstituted pyrazinyl, unsubstituted quinolinyl-, C₁₋₅-alkylsubstituted pyrrolyl-, and unsubstituted cyclohexyl or cyclohexyl substituted with one or two members selected from the group consisting of oxo, hydroxyl, C₁₋₅-alkoxyl, C₁₋₅-alkoxy-carbonylamino-C₁₋₅ alkyl and amino-C₁₋₅ alkyl,

R¹⁰ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at

least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R¹¹ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R¹² represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R¹³ and R¹⁴ each are independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least

mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or R¹³ and R¹⁴ together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

R¹⁵ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R¹⁶ represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, and

R¹⁷ represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

R¹⁸ represents an optionally at least mono-substituted aryl radical,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding salt thereof, or a corresponding solvate.

A mono- or polycyclic ring-system according to the present invention means a mono- or polycyclic hydrocarbon ring-system that may be saturated, unsaturated or aromatic. If the ring system is polycyclic, each of its different rings may show a different degree of saturation, i.e. it may be saturated, unsaturated or aromatic. Optionally each of the rings of the mono- or polycyclic ring system may contain one or more heteroatoms as ring members, which may be identical or different and which

can preferably be selected from the group consisting of N, O, S and P, more preferably be selected from the group consisting of N, O and S. Preferably the polycyclic ring-system may comprise two rings that are condensed. The rings of the mono- or polycyclic ring-system are preferably 5- or 6-membered.

If one or more of the residues R^1 - R^{17} and W represents an aliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -perfluoroalkoxy, branched or unbranched C_{1-4} -perfluoroalkyl, amino, carboxy, amido, cyano, nitro, $-SO_2NH_2$, $-CO-C_{1-4}$ -alkyl, $-SO-C_{1-4}$ -alkyl, $-SO_2-C_{1-4}$ -alkyl, $-NH-SO_2-C_{1-4}$ -alkyl, wherein the C_{1-4} -alkyl may in each case be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, CF_3 and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^1 - R^{15} represents a cycloaliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkyl, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -perfluoroalkoxy, phenoxy, benzoyl, cyclohexyl, branched or unbranched C_{1-4} -perfluoroalkyl, $-NR^A R^B$ wherein R^A , R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, carboxy, amido, cyano, nitro, $-SO_2NH_2$, $-CO-C_{1-4}$ -alkyl, $-CO-OC_{1-4}$ -alkyl, $-SO-C_{1-4}$ -alkyl, $-SO_2-C_{1-4}$ -alkyl, $-NH-SO_2-C_{1-4}$ -alkyl, wherein C_{1-4} -alkyl may in each case be branched or unbranched, unsubstituted or at least mono-substituted phenyl or naphthyl and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, benzoyl, phenoxy,

cyclohexyl, $-\text{CF}_3$, $-\text{CO}-\text{CH}_3$, $-\text{CO}-\text{OCH}_3$, $-\text{NR}^{\text{A}}\text{R}^{\text{B}}$ wherein R^{A} , R^{B} are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-\text{CH}_2-\text{CH}_2-\text{OH}$ and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^1-R^4 and $\text{R}^{10}-\text{R}^{15}$ comprises an alkylene group, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -perfluoroalkoxy, branched or unbranched C_{1-4} -perfluoroalkyl, amino, carboxy, amido, cyano, nitro, $-\text{SO}_2\text{NH}_2$, $-\text{CO}-\text{C}_{1-4}$ -alkyl, $-\text{SO}-\text{C}_{1-4}$ -alkyl, $-\text{SO}_2-\text{C}_{1-4}$ -alkyl, $-\text{NH}-\text{SO}_2-\text{C}_{1-4}$ -alkyl, wherein C_{1-4} -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, CF_3 and unsubstituted phenyl. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^1-R^4 and $\text{R}^{10}-\text{R}^{15}$ comprises a mono- or polycyclic ringsystem, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkyl, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -perfluoroalkoxy, branched or unbranched C_{1-4} -perfluoroalkyl, amino, carboxy, amido, cyano, keto, nitro, $-\text{SO}_2\text{NH}_2$, $-\text{CO}-\text{C}_{1-4}$ -alkyl, $-\text{SO}-\text{C}_{1-4}$ -alkyl, $-\text{SO}_2-\text{C}_{1-4}$ -alkyl, $-\text{NH}-\text{SO}_2-\text{C}_{1-4}$ -alkyl, wherein C_{1-4} -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl, more preferably from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, CF_3 , keto, cyano and an unsubstituted phenyl radical. If any

one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^1 - R^4 , R^{10} - R^{15} and R^{18} represents or comprises an aryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -alkyl, branched or unbranched C_{1-4} -perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C_{1-4} -perfluoroalkyl, $NR^A R^B$ wherein R^A , R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, carboxy, amido, cyano, $-CH(OH)(phenyl)$, nitro, $-SO_2NH_2$, $-CO-C_{1-4}-alkyl$, $-CO-OC_{1-4}-alkyl$, $-SO-C_{1-4}-alkyl$, $-SO_2-C_{1-4}-alkyl$, $-NH-SO_2-C_{1-4}-alkyl$, wherein C_{1-4} -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, $-CH(OH)(phenyl)$, methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF_3 , $-CO-CH_3$, $-CO-OCH_3$, $-NR^A R^B$ wherein R^A , R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^1 - R^4 and R^{10} - R^{15} represents or comprises a heteroaryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -alkyl, branched or unbranched C_{1-4} -perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C_{1-4} -perfluoroalkyl, $NR^A R^B$ wherein R^A ,

R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, carboxy, amido, cyano, nitro, $-CH(OH)(phenyl)$, $-SO_2NH_2$, $-CO-C_{1-4}-alkyl$, $-CO-OC_{1-4}-alkyl$, $SO-C_{1-4}-alkyl$, $SO_2-C_{1-4}-alkyl$, $-NH-SO_2-C_{1-4}-alkyl$, wherein C_{1-4} -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF_3 , $-CH(OH)(phenyl)$, $-CO-CH_3$, $-CO-OCH_3$, $-NR^A R^B$ wherein R^A , R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R^{13} and R^{14} form a heterocyclic ring, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -alkyl, branched or unbranched C_{1-4} -perfluoroalkoxy, branched or unbranched C_{1-4} -perfluoroalkyl, amino, carboxy, amido, cyano, nitro, $-SO_2NH_2$, $-CO-C_{1-4}-alkyl$, $-SO-C_{1-4}-alkyl$, $-SO_2-C_{1-4}-alkyl$, $-NH-SO_2-C_{1-4}-alkyl$, wherein C_{1-4} -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, methyl, CF_3 and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R^{13} and R^{14} form a heterocyclic ring, which contains one or more further heteroatoms as ring members, unless defined otherwise, each of these heteroatoms

may preferably be selected from the group consisting of N, O and S, more preferably from the group consisting of N and O.

If one or more of the residues R^1 - R^{15} and W represents a cycloaliphatic radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

If one or more of the residues R^1 - R^4 and R^{10} - R^{15} represents or comprises an heteroaryl radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

If W represents or comprises a cycloaliphatic radical, a heteroaryl radical, an aryl radical and/or a mono- or polycyclic ring system, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, nitro, carboxy, cyano, keto, halogen, C_{1-20} -alkyl, partially fluorinated C_{1-4} alkyl, partially chlorinated C_{1-4} alkyl, partially brominated C_{1-4} alkyl, C_{1-5} -alkoxy, partially fluorinated C_{1-4} alkoxy, partially chlorinated C_{1-4} alkoxy, partially brominated C_{1-4} alkoxy, C_{2-6} -alkenyl, SO_2 - C_{1-4} -alkyl, $-(C=O)-C_{1-5}$ -alkyl, $-(C=O)-O-C_{1-5}$ -alkyl, $-(C=O)-Cl$, $-S-C_{1-4}$ -alkyl-, $-(C=O)-H$, $-NH-(C=O)-NH-C_{1-5}$ -alkyl, $-(C=O)-C_{1-4}$ -perfluoroalkyl, $-NR^A R^B$, wherein R^A and R^B are independently selected from the group consisting of H, C_{1-4} -alkyl and phenyl, $NH-(C=O)-C_{1-5}$ -alkyl, $-C_{1-5}$ -alkylen- $(C=O)-C_{1-5}$ -alkyl, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, $-SO_2$ -phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, $-SO_2$ -pyrrolidinyl, morpholinyl, SO_2 -morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, $O-CH_2$ -thiazolyl-, NH -phenyl, and $-C_{1-4}$ -Alkylen- $NH-(C=O)$ -phenyl, more preferably from the group consisting of hydroxy, nitro, carboxy, cyano, keto, F, Cl, Br, I, C_{1-12} -alkyl, CH_2F , CHF_2 , CF_3 , CH_2Cl , CH_2Cl_2 , CCl_3 , CH_2Br , $CHBr_2$, CBr_3 , OCF_3 , $OCHF_2$, OCH_2F , $O-CH_2-CF_3$, vinyl, SO_2-CH_3 , $-(C=O)-CH_3$, $-(C=O)-C_2H_5$, $-(C=O)-O-CH_3$, $-(C=O)-O-C_2H_5$, $-(C=O)-Cl$, $-S-CH_3$ -, $-(C=O)-H$, $-NH-(C=O)-NH-CH_3$, $-(C=O)-CF_3$, dimethylamino, diethylamino, di-n-

propylamino, di-iso-propylamino, di-n-butylamino, di-tert-butyamino, NH-(C=O)-CH₃, -CH₂-(C=O)-CH₃, -CH₂-(C=O)-C₂H₅, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO₂-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO₂-pyrrolidinyl, morpholinyl, SO₂-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH₂-thiazolyl, -, NH-phenyl, and -CH₂-NH-(C=O)-phenyl.

If any of the afore mentioned substituents itself is substituted by one or more substituents, said substituents may preferably be selected from the group consisting of halogen, nitro, cyano, hydroxy, -(C=O)-C₁₋₄-alkyl, C₁₋₄-alkyl, at least partially fluorinated C₁₋₄-alkyl, at least partially chlorinated C₁₋₄-alkyl, at least partially brominated C₁₋₄-alkyl, -S-C₁₋₄-alkyl, -C(=O)-O-C₁₋₅-alkyl, -(C=O)-CH₂-F, -(C=O)-CH₂-Cl, -(C=O)-CH₂-Br, preferably from the group consisting of F, Cl, Br, CH₂F, CHF₂, CF₃, CH₂Cl, CHCl₂, CCl₃, CH₂Br, CHBr₂, CBr₃, nitro, cyano, hydroxy, -(C=O)-CH₃, CH₃, C₂H₅, -S-CH₃, -C(=O)-O-CH₃, -C(=O)-O-C₂H₅, -(C=O)-CH₂-F, -(C=O)-CH₂-Cl and -(C=O)-CH₂-Br.

Preferred compounds of general formula (I) are those, wherein R¹, R², R³, R⁴ are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, -OR¹⁰, -OC(=O)R¹¹, -SR¹², -SOR¹², -SO₂R¹², -NH-SO₂R¹², -SO₂NH₂ and a -NR¹³R¹⁴ moiety,

preferably selected from the group consisting of H, F, Cl, Br, a saturated, branched or unbranched, optionally at least mono-substituted C₁₋₃-aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring

member containing C₅- or C₆- cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁- or C₂-alkylene group, a nitro, cyano, -OR¹⁰, -OC(=O)R¹¹, -SR¹² and -NR¹³R¹⁴ moiety,

more preferably selected from the group consisting of H, F, Cl, CH₃, CH₂CH₃, CF₃, CF₂CF₃, cyclopentyl, cyclohexyl, nitro, cyano and -OR¹⁰,

and R⁵-R¹⁸ and W have the meaning as defined above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (I), wherein R⁵ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical,

preferably represents H or a branched or unbranched C₁₋₃-alkyl radical,

more preferably H, CH₃ or CH₂CH₃,

and R¹-R⁴, R⁶-R¹⁸ and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preferred compounds of general formula (I) are also those, wherein R⁶, R⁷, R⁸, R⁹ are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-

substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, a cyano and COOR¹⁵ moiety,

preferably selected from the group consisting of H, a branched or unbranched C₁₋₃-alkyl radical, a cyano and a COOR¹⁵ group,

more preferably from the group consisting of H, CH₃, CH₂CH₃ and a cyano moiety,

and R¹-R⁵, R¹⁰-R¹⁸ and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (I), wherein W represents an unbranched or branched, optionally at least mono-substituted C₁₁₋₂₀-alkyl radical, a naphthyl group, which is at least mono substituted, a quinolinyll group, which is at least mono-substituted, a pyrrolyl group, which is at least mono-substituted, an optionally at least mono-substituted thiazolyl-, benzo[b]-thiophenyl-, benzo[b]-furanlyl-, isoquinolinyl-, tetrahydroisoquinolinyl-, pyrazolyl-, isoazolyl-, chromanyl-, benzothiadiazolyl-, imidazolyl-, benzofurazanyl-, dibenzo[B,D]-furanlyl-, benzoxadiazolyl-, imidazo[2,1-B]-thiazolyl-, anthracenyl-, coumarinyl-, 2,3-Dihydro-1,4-benzodioxinyl-, 2,3-Dihydrobenzo[B]furanlyl-, 3,4-Dihydro-2H-1,4-Benzoxazinyl-, 3,4-Dihydro-2H-1,5-Benzodioxepinyl-, Benzothiazolyl-, Imidazo[1,2-A]-pyridinyl-, a chromonyl- group, an isatinyll group, a pentamethyldihydrobenzofuranlyl group, an optionally at least mono-substituted cyclopropyl- or cyclopentyl-group, a 2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethyl, a thienyl group, which is at least mono-substituted by one or more substituents independently selected from the group consisting of F, Cl, Br, C₁₋₅-alkoxy-, CF₃, -(C=O)-O-C₁₋₅-alkyl, -SO₂-C₁₋₅-alkyl and an optionally at least mono substituted benzoylaminomethyl group, a phenylsulfonyl group, an isoxazolyl group, a benzamidomethyl group, a pyrimidyl group, a thiazolyl group, a pyrazolyl group, a phenyl group, a 1,2,4-thiadiazolyl group, a 1,3-oxazolyl and 1,2,4-oxadiazolyl, a furyl group, which is at least mono-substituted by one or more substituents independently selected from the group consisting of a C₁₋₅-alkyl radical,

which may be at least partially fluorinated or chlorinated, an optionally substituted phenyl and a $-(C=O)-O-C_{1-5}\text{-alkyl}$ group,

a $NR^{16}R^{17}$ -moiety,

a COR^{18} -moiety,

or a phenyl radical, which is at least mono-substituted with one of the substituents selected from the group consisting of:

2,2,2,-Trifluoroethoxy-, C_{2-6} -Alkenyl-, 1,3-Dihydro-1-oxo-2H-isoindol-2-yl-, N-Phthalimidinyl-, [(2-chloro-1,3-thiazolyl-5-yl)-methoxy, Ethyl-5-yl-2-methyl-3-furoate, C_{11-20} -alkyl-, 1,3-Dioxo-2-azaspiro[4,4]non-2-yl-, pyrazolyl-, (1,3-oxazol-5-yl)-, (5-Methyl-1,3,4-oxadiazol-2-yl)-, difluoromethoxy, dichloromethoxy, 1-pyrrolidinylsulfonyl, morpholinylsulfonyl, 2-methyl-4-pyrimidinyl-, a phenoxy group, which is at least mono-substituted with C_{1-5} -alkoxy, a phenyl group, which is at least mono-substituted with one of the substituents selected from the group consisting of nitro, C_{1-5} -alkoxy, F, Cl, Br, at least partially fluorinated C_{1-5} -alkyl, at least partially chlorinated C_{1-5} -alkyl, [(2-Chloro-1,3-thiazol-5-yl)-methoxy]-, and $-(C=O)-C_{1-5}\text{-alkyl}$, a pyridinyl group, which is at least mono-substituted with C_{1-5} -alkoxy, a phenoxy group, which is at least di-substituted and a pyridinyloxy group, which is at least di-substituted,

more preferably W represents a moiety selected from the group consisting of 5-Dimethylamino-naph-1-yl, 2-Acetamido-4-methyl-5-thiazolyl-, Trifluoromethyl-, Trichloromethyl-, Isopropyl-, Methyl-, 2,2,2-Trifluoroethyl-, Ethyl-, Hexadecyl-, 2-Chloroethyl-, n-Propyl-, 3-Chloro-propyl-, n-Butyl-, Dichloromethyl-, Chloromethyl-, Dodecyl-, 1-Octyl-, 6-(p-toluidino)-naphth-2-yl-, 4,5-Dibromo-thiophene-2-yl-, 1-Octadecyl-, 4-Bromo-2,5-dichloro-thiophene-3-yl-, 2,5-Dichloro-thiophene-3-yl-, 5-Chloro-thiophene-2-yl-, 1-Decyl-, 3-Bromo-2-chloro-thiophene-5-yl-, 3-Bromo-5-chloro-thiophene-2-yl-, 2-(Benzoylaminomethyl)-thiophene-5-yl-, 4-(Phenylsulphonyl)-thiophene-2-yl-, 2-Phenylsulphonyl-thiophene-5-yl-, 2-[1-Methyl-5-(trifluoromethyl)pyrazol-3-yl]-thiophene-5-yl-, 5-Pyrid-2-yl-thiophene-2-yl-, 5-Chloro-1,3-dimethylpyrazole-4-yl-, 3,5-Dimethylisoxazole-4-yl-, 4-(2-Chloro-6-nitro-phenoxy)-

phenyl-, 2,4-Dimethyl-1,3-thiazole-5-yl-, Methyl-methane-sulfonyl-, 2,5-Bis-(2,2,2-Trifluoroethoxy)-phenyl-, 5-(Di-n-propylamino)-naphth-1-yl-, 2,2,5,7,8-Pentamethylchroman-6-yl-, 5-Chloro-4-nitro-thiophene-2-yl-, 2,1,3-Benzothiadiazole-4-yl-, 1-Methyl-imidazole-4-yl-, Benzofurazan-4-yl-, 5-(Isoxazol-3-yl)-thiophene-2-yl-, Vinylphenyl-4-yl-, 5-Dichloro-methyl-furan-2-yl-, 5-Bromo-thiophene-2-yl-, 5-(4-Chlorobenzamidomethyl)-thiophene-2-yl-, Dibenzo[B,D]-furan-2-yl-, 5-Chloro-3-methylbenzo[B]-thiophene-2-yl-, 3-Methoxy-4-(methoxycarbonyl)-thiophene-2-yl-, 5-[2-(Methylthio)-pyrimidin-4-yl]-thiophene-2-yl-, 4-Chloro-2,1,3-Benzoxadiazole-7-yl-, 5-Chloro-2,1,3-Benzoxadiazole-4-yl-, 6-Chloro-imidazo(2,1-B)-thiazole-5-yl-, 3-Methyl-benzo[B]-thiophene-2-yl-, 5-Chloro-naphth-1-yl-, 5-Chloro-naphth-2-yl-, 9,10-Dibromoanthracene-2-yl-, Isoquinoline-5-yl-, 4'-Nitro-biphenyl-4-yl-, (1,3-Dihydro-1-oxo-2H-isindol-2-yl)-4-phenyl-, 5-(2-Methyl-1,3-thiazole-4-yl)-thiophene-2-yl-, 5-(1-Methyl-3-(trifluoromethyl)pyrazol-5-yl)-thiophene-2-yl-, 5-[5-Trifluoromethyl]-isoxazol-3-yl]-thiophene-2-yl-, p-Dodecyl-phenyl-, 4-[(3-Cyano-4-methoxy-2-pyridinyl)oxy]-phenyl-, 4-(N-phthalimidinyl)-phenyl-, 1,2,3,4-Tetrahydro-2-(trifluoroacetyl)-isoquinoline-7-yl-, 1,2-Dimethylimidazole-4-yl-, Ethylpyridine-4-Carboxylate-3-yl-, 2,2,4,6,7-Pentamethyldihydrobenzofuran-5-yl-, 4-Chloro-naphth-1-yl-, 2,5-Dichloro-4-nitro-thiophene-3-yl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Methyl-phenoxy)-phenyl-, 3-(2-Methoxy-phenoxy)-phenyl, 3-(2-Methyl-phenoxy)-phenyl-, 3-(4-Methoxy-phenyl)-phenyl-, 3-(4-Chloro-phenyl)-phenyl-, 3-(3,5-Dichloro-phenyl)-phenyl-, 3-(3,4-Dichloro-phenyl)-phenyl-, 3-(4-Fluorophenyl)-phenyl-, 3-(4-Methylphenyl)-phenyl-, 3-[4-(Trifluoromethyl)-phenyl]-phenyl-, 3-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, 4-(2-Methoxy-phenoxy)-phenyl-, 4-(2-Methyl-phenoxy)-phenyl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Chlorophenyl)-phenyl-, 4-(3,5-Dichlorophenyl)-phenyl-, 4-(3,4-Dichlorophenyl)-phenyl-, 4-(4-Fluorophenyl)-phenyl-, 4-(4-Methylphenyl)-phenyl-, 4-[4-(Trifluormethyl)-phenyl]-phenyl-, 4-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, Cyclopropyl-, 2-(2-Chlorophenyl)-2-Phenylethyl-, 2-(2-Trifluoromethylphenyl)-2-phenylethyl-, 5-[4-Cyano-1-methyl-5-(methylthio)-1H-pyrazol-3-yl-thiophene-2-yl-, 3-Cyano-2,4-bis-(2,2,2-Trifluoroethoxy)-phenyl-, 4-[(2-Chloro-1,3-Thiazol-5-yl)-methoxy]-phenyl-, 2-(1,3-Dioxo-1,3-dihydro-isindol-2-yl)-ethyl-, 5-Iodo-naphth-1-yl-, Ethyl-2,5-dimethyl-1-phenylpyrrole-4-carboxylate-3-yl-, Ethyl-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxylate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-3-furoate-4-yl, Ethyl-5-(4-chlorophenyl)-2-methyl-1-phenyl-3-carboxylate-4-yl-, Ethyl-2,5-dimethyl-3-furoate-4-yl-, 3-Chloro-4-(1,3-dioxo-2-Azaspiro[4,4]non-2-yl)-phenyl-, 5-Bromo-2,4-difluoro-

phenyl-, 5-Chloro-2,4-difluorophenyl-, Coumarin-6-yl, 3-(4-Methoxy-phenoxy)-phenyl-, 3-(4-Methylphenoxy)-phenyl-, 2,2-Diphenylethyl-, 4-Phenyl-5-(trifluoromethyl)-thiophene-3-yl-, Methyl-4-Phenyl-5-(Trifluoromethyl)-thiophene-2-carboxylate-3-yl-, Methyl-1,2,5-trimethylpyrrole-3-Carboxylate-4-yl-, 4-Fluoro-naphth-1-yl-, 5-Fluoro-3-methylbenzo[B]-thiophene-2-yl-, Methyl-2,5-dimethyl-3-furoate-4-yl-, Methyl-2-furoate-5-yl-, Methyl-2-methyl-3-furoate-5-yl-, Methyl-1-methyl-1H-pyrrole-2-Carboxylate-5-yl-, 2-(5-Chloro-1,2,4-Thiadiazol-3-yl)-thiophene-5-yl-, 1,3,5-Trimethyl-1H-pyrazole-4-yl-, Pentafluoroethoxytetrafluoroethyl-, 5-(5-Isoxazolyl)-thiophene-2-yl-, 5-(5-Isoxazol-yl)-2-furyl-, 5-Methyl-2,1,3-benzothiadiazole-4-yl-, 2,3-Dihydro-1,4-benzodioxine-6-yl-, 4-Methyl-Naphth-1-yl-, 5-Methyl-2-(Trifluoromethyl)-3-Furyl-, 2,3-Dihydrobenzo[B]furan-5-yl-, 1-Benzothiophene-3-yl-, 4-Methyl-3,4-dihydro-2H-1,4-Benzoxazine-7-yl-, 5-Methyl-1-phenyl-1H-pyrazole-4-yl-, 6-Morpholino-3-Pyridinyl-, 4-(1H-Pyrazol-1-yl)-phenyl-, 6-Phenoxy-3-Pyridyl-, 3,4-Dihydro-2H-1,5-benzodioxepine-7-yl-, 5-(1,3-Oxazol-5-yl)-2-thienyl-, 4-(1,3-Oxazol-5-yl)-phenyl-, 5-Methyl-4-isoxazolyl, 2,1,3-Benzothiadiazole-5-yl-, 5-Acetamido-naphth-1-yl-, 3-Methyl-8-Quinoliny-, 1,3-Benzothiazole-6-yl-, 2-Morpholino-3-Pyridyl-, 2,5-Dimethyl-3-thienyl-, 5-[5-(Chloromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl-, Ethyl-3-[5-yl-2-thienyl]-1,2,4-oxadiazole-5-carboxylate-, 3-(5-Methyl-1,3,4-oxadiazol-2-yl)-phenyl-, 4-(Difluoromethoxy)-phenyl-, 3-(Difluoromethoxy)-phenyl-, 2,2-Dimethyl-6-Chromanyl-, Ethyl-3,5-dimethyl-1H-pyrrole-2-carboxylate-4-yl-, Imidazo[1,2-A]pyridine-3-yl-, 3-(1,3-Oxazol-5-yl)-phenyl-, Ethyl-5-[4-yl)-phenyl]-2-methyl-3-furoate, 1-Pyrrolidinylphenylsulfonyl-, Methyl-5-yl-4-methyl-2-thiophene-carboxylate, Methyl-3-yl-4-(isopropylsulfonyl)-2-thiophene, 7-Chlorochromone-3-yl-, 4'-Bromobiphenyl-4-yl-, 4'-Acetyl-biphenyl-4-yl-, 4'-Bromo-2'-fluoro-biphenyl-4-yl-, 1-Methyl-5-isatinyl-, 2-Chloro-3-thiophenecarboxylic-acid-5-yl-, 2-Methoxy-5-(N-phthalimidinyl)-phenyl-, 1-Benzothiophene-2-yl-, Morpholinophenylsulfonyl- and 3-(2-Methyl-4-pyrimidinyl)-phenyl- and R¹-R¹⁷ have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, compounds of general formula (I) are preferred, wherein R^{10} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a linear or branched C_{1-4} -alkyl radical, cyclohexyl or a phenyl radical,

more preferably H, CH_3 , C_2H_5 or phenyl,

and R^1 - R^9 , R^{12} - R^{18} and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Moreover, compounds of general formula (I) are preferred, wherein R^{11} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a linear or branched C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl,

and R¹-R¹⁰, R¹²-R¹⁸ and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preference is also given to compounds of general formula (I), wherein R¹² represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical,

more preferably H, CH₃, C₂H₅ or phenyl,

and R¹-R¹¹, R¹³-R¹⁸ and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (I), wherein R¹³ and R¹⁴ are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted,

optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably are each independently selected from the group consisting of H, a linear or branched C₁₋₄-alkyl radical, cyclohexyl and a phenyl radical,

more preferably are each independently selected from the group consisting of H, CH₃, C₂H₅ and phenyl,

and R¹-R¹², R¹⁵-R¹⁷ and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, compounds of general formula I are preferred, wherein R¹³ and R¹⁴ together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

preferably form an unsubstituted piperidin or morpholine group,

and R¹-R¹², R¹⁵-R¹⁸ and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (I), wherein R^{15} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a C_{1-4} -alkyl radical, cyclohexyl or a phenyl radical,

more preferably represents H, CH_3 , C_2H_5 or phenyl,

and R^1 - R^{14} , R^{16} and R^{17} and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (I), wherein R^{16} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} aliphatic radical,

preferably an unbranched or branched, saturated, unsubstituted C_{1-3} alkyl radical,

more preferably a methyl radical,

and R^1 - R^{15} , R^{17} , R^{18} and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (I), wherein R¹⁷ represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆ aliphatic radical,

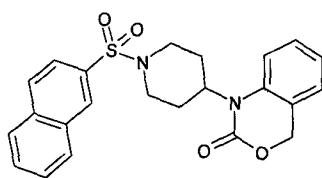
preferably an unbranched or branched, saturated, unsubstituted C₁₋₃ alkyl radical,

more preferably a methyl radical,

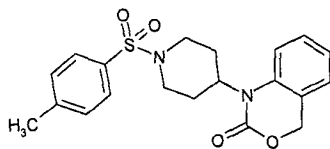
and R¹-R¹⁶ and W have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Particularly preferred are compounds of general formula (I) selected from the following list A:

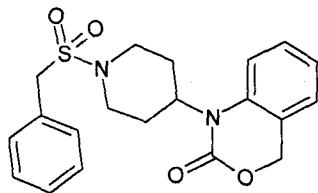
List A:



1-[1-(Naphthalene-2-sulfonyl)-piperidin-4-yl]-
1,4-dihydro-benzo[d][1,3]oxazin-2-one

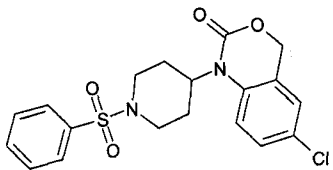


1-[1-(Toluene-4-sulfonyl)-piperidin-4-yl]-1,4-
dihydro-benzo[d][1,3]oxazin-2-one

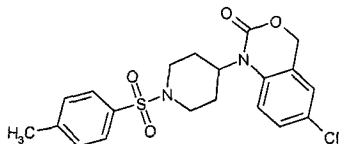


1-(1-Phenylmethanesulfonyl-piperidin-4-yl)-1,4-
dihydro-benzo[d][1,3]oxazin-2-one

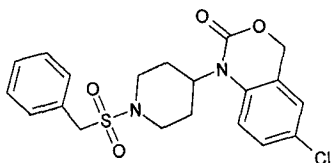
1-(1-Benzenesulfonyl-piperidin-4-yl)-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



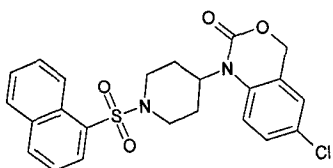
6-Chloro-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



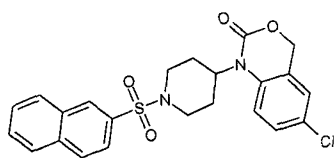
6-Chloro-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one



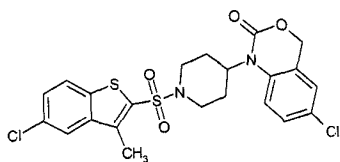
6-Chloro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



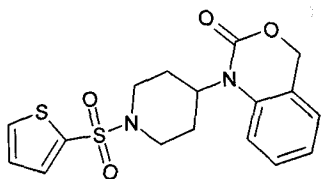
6-Chloro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



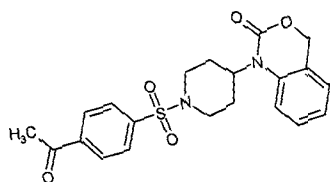
6-Chloro-1-[1-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



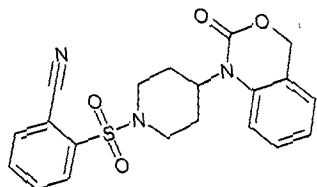
6-Chloro-1-[1-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



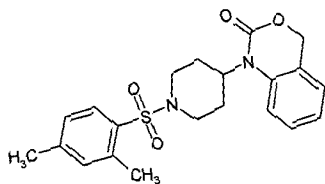
1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-
1,4-dihydro-benzo[d][1,3]oxazin-2-one



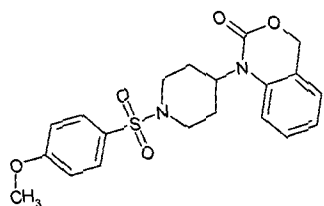
2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-
piperidine-1-sulfonyl]-benzonitrile



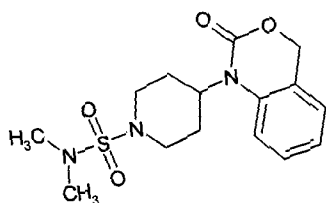
1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-
4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



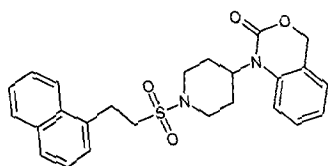
1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-
yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



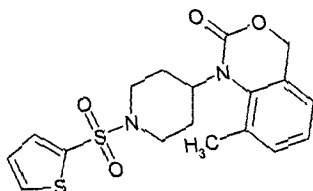
4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-
piperidine-1-sulfonic acid dimethylamide

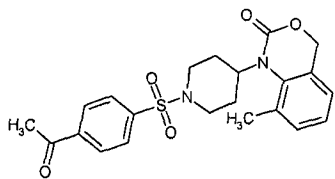


1-[1-(2-Naphthalen-1-yl-ethanesulfonyl)-
piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-
2-one

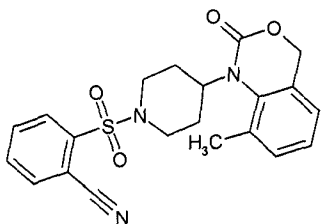


8-Methyl-1-[1-(thiophene-2-sulfonyl)-piperidin-
4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

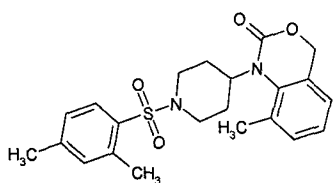




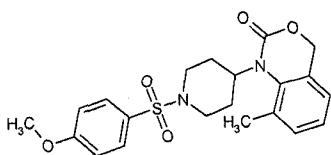
1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



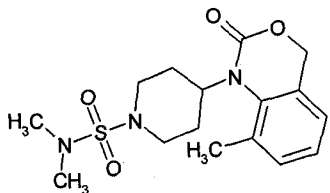
2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile



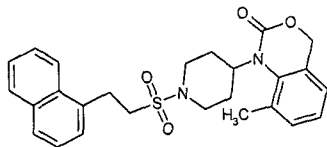
1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



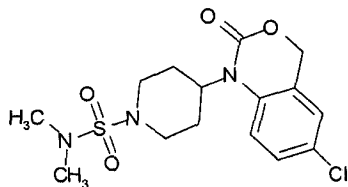
1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide

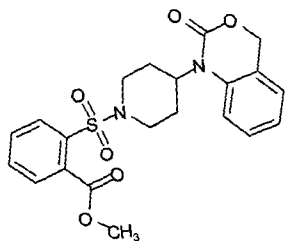


8-Methyl-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

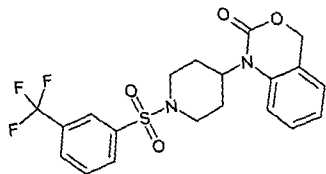


4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide

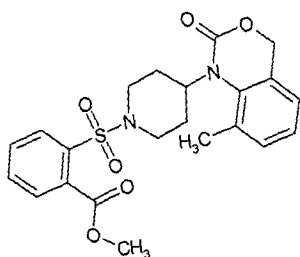
2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-
piperidine-1-sulfonyl]-benzoic acid methyl ester



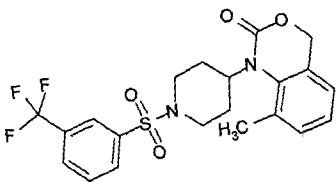
1-[1-(3-Trifluoromethyl-benzenesulfonyl)-
piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-
2-one



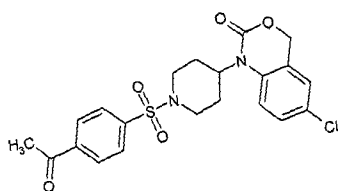
2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-
yl)-piperidine-1-sulfonyl]-benzoic acid methyl
ester



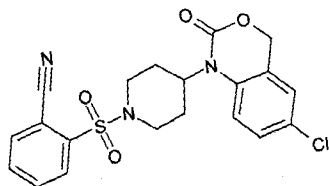
8-Methyl-1-[1-(3-trifluoromethyl-
benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-
benzo[d][1,3]oxazin-2-one



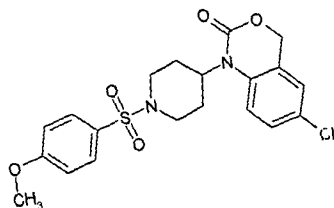
1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-
6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one

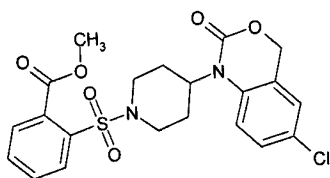


2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-
yl)-piperidine-1-sulfonyl]-benzonitrile

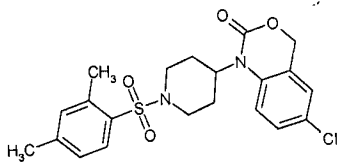


6-Chloro-1-[1-(4-methoxy-benzenesulfonyl)-
piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-
2-one

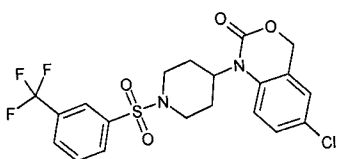




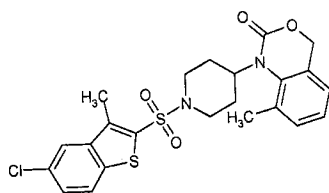
2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester



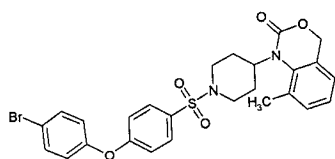
6-Chloro-1-[1-(2,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



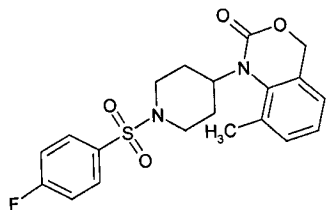
6-Chloro-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



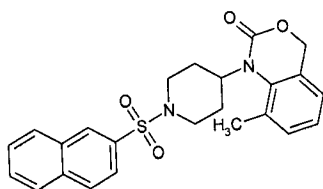
1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



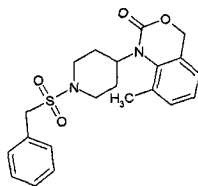
1-{1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl}-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



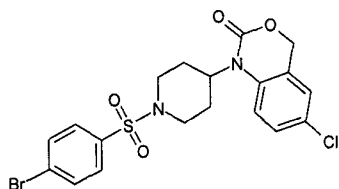
1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



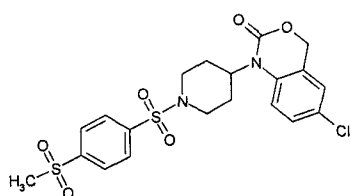
8-Methyl-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



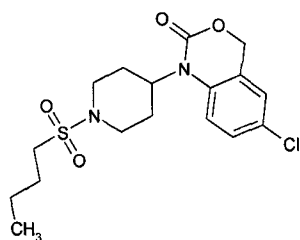
8-Methyl-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one



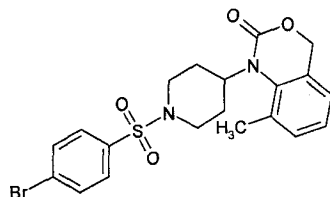
1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



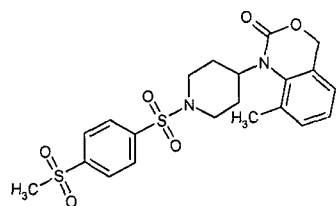
6-Chloro-1-[1-(4-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



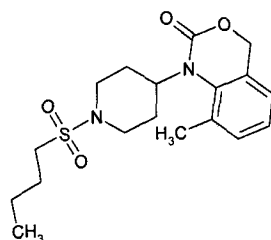
1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



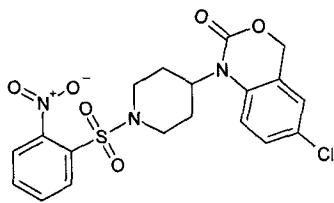
1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



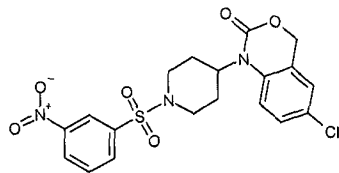
1-[1-(4-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



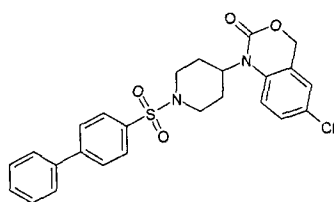
1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



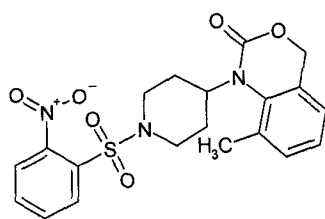
6-Chloro-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



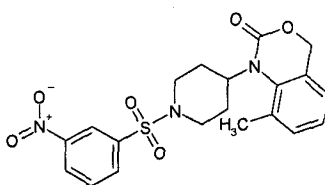
6-Chloro-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



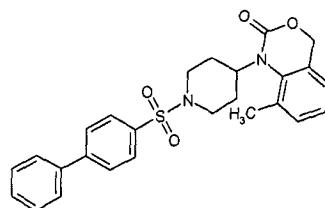
1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



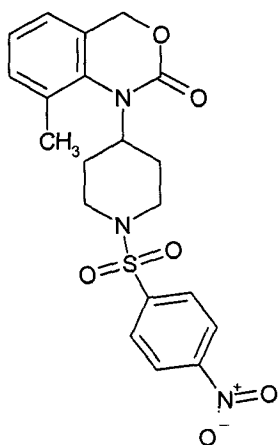
8-Methyl-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



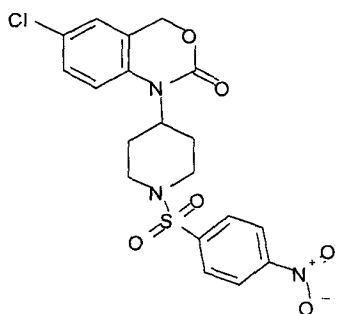
8-Methyl-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



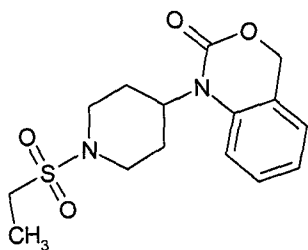
1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



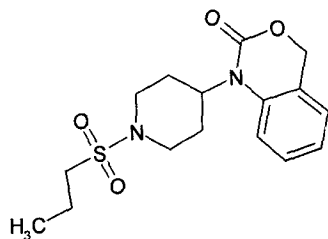
8-Methyl-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



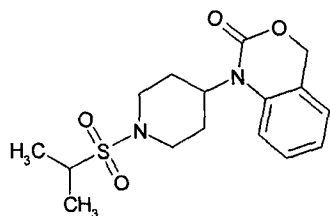
6-Chloro-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



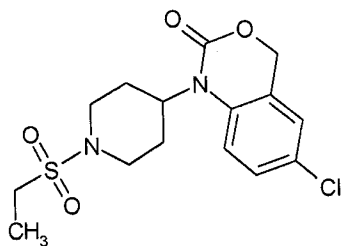
1-(1-Ethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one



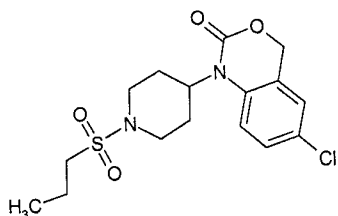
1-[1-(Propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



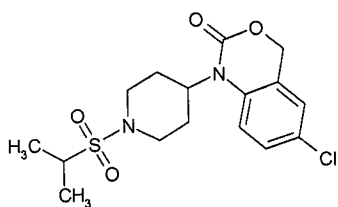
1-[1-(Propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



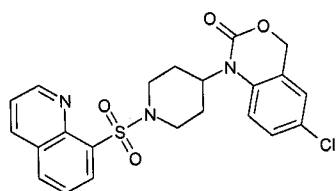
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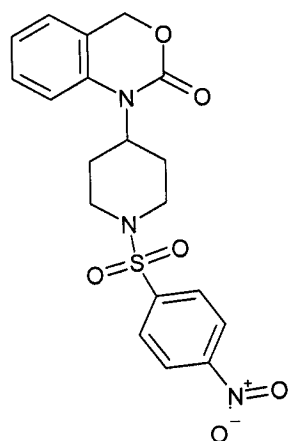
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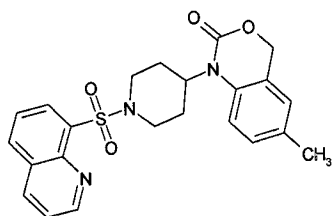
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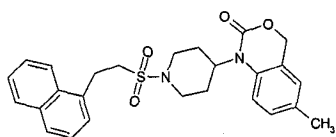
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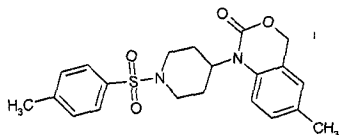
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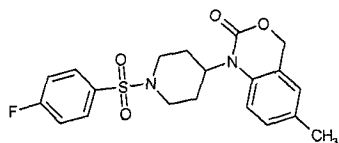
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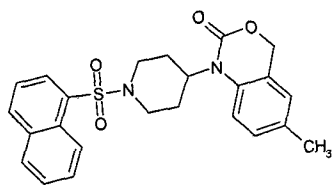
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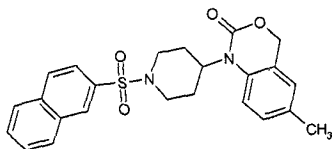
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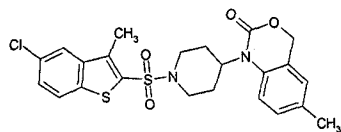
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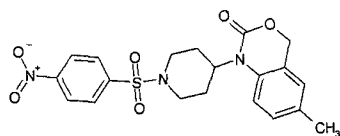
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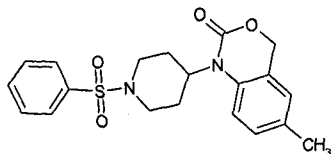
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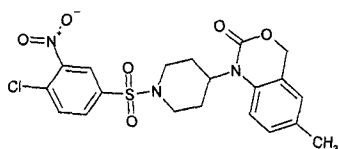
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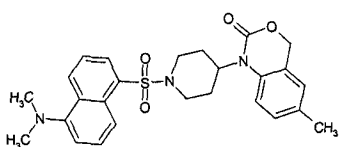
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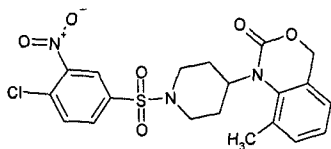
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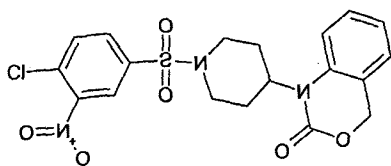
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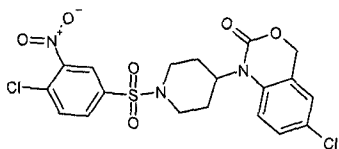
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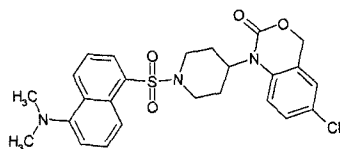
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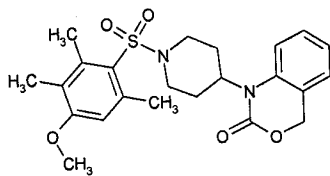
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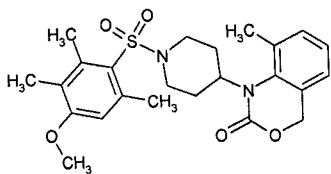
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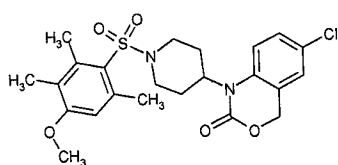
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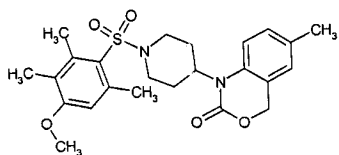
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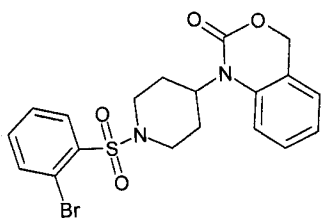
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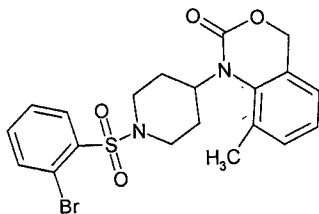
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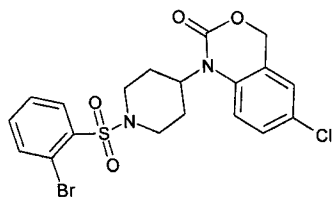
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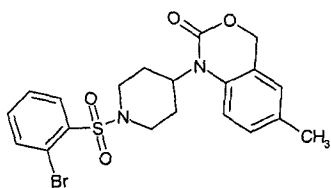
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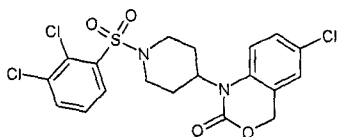
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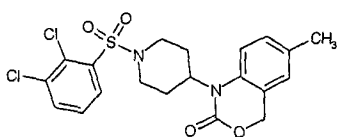
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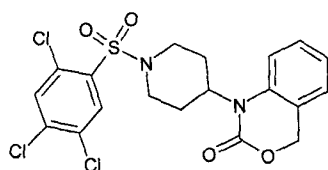
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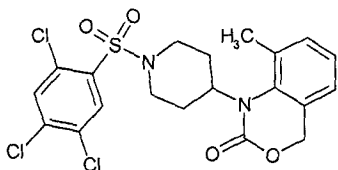
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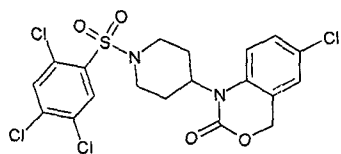
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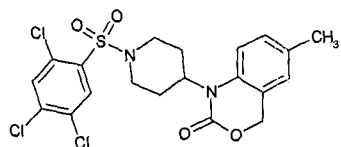
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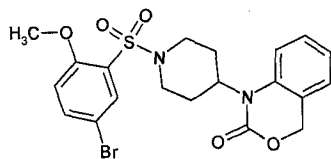
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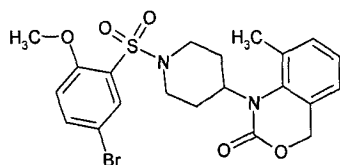
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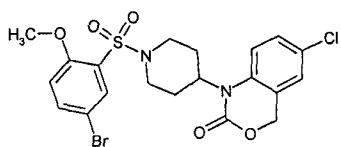
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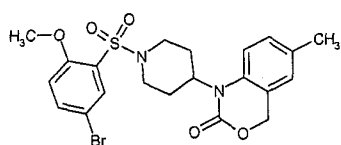
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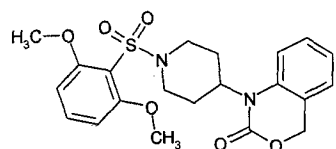
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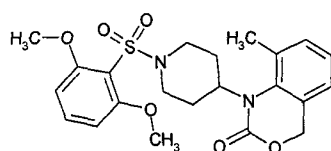
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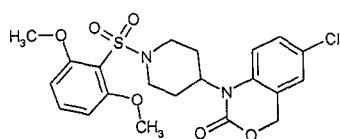
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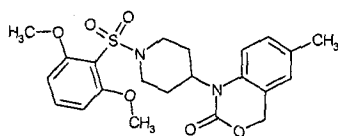
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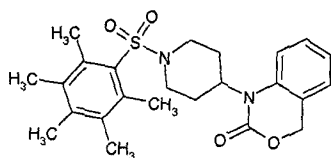
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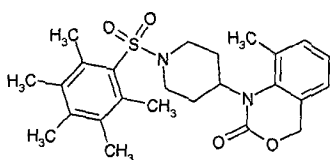
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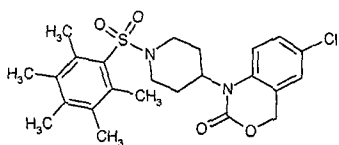
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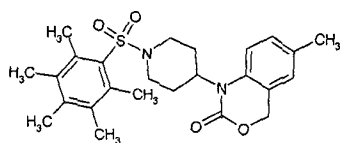
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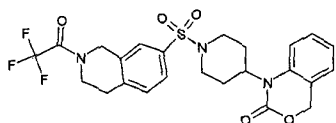
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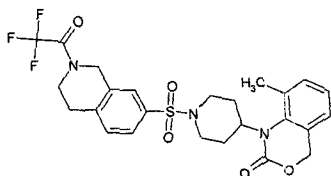
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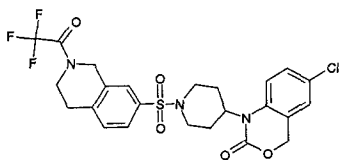
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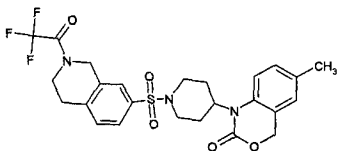
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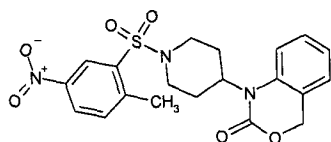
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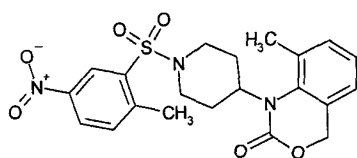
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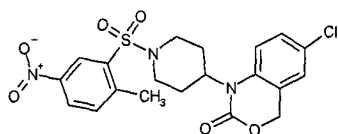
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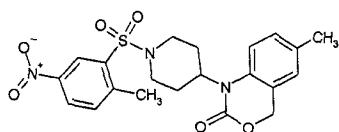
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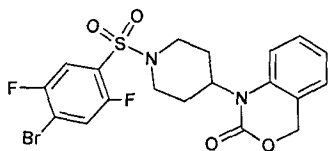
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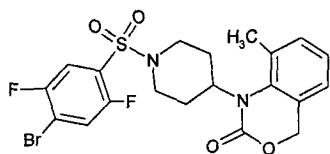
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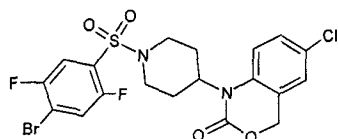
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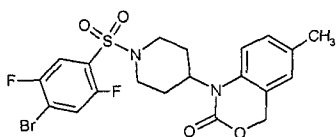
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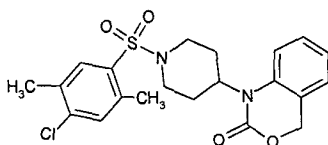
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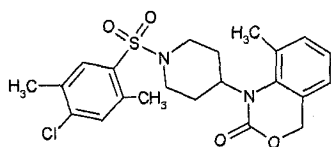
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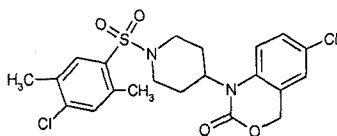
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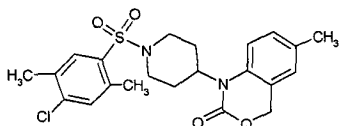
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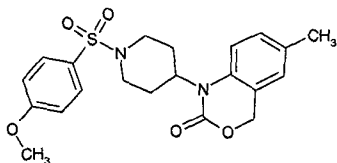
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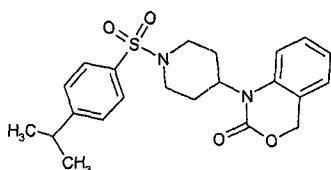
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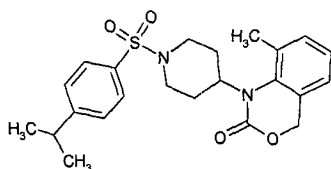
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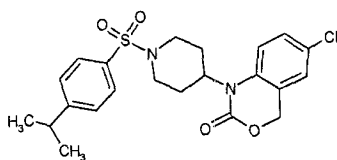
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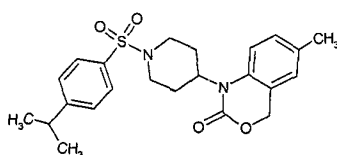
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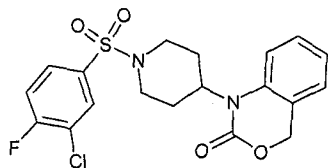
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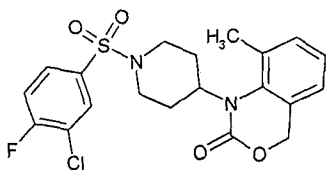
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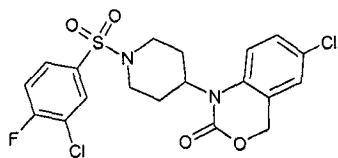
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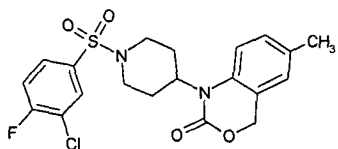
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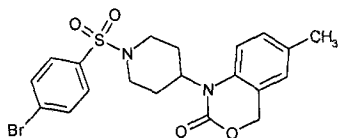
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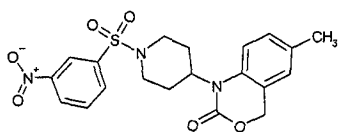
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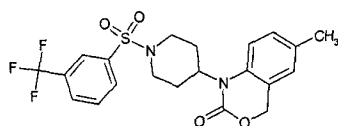
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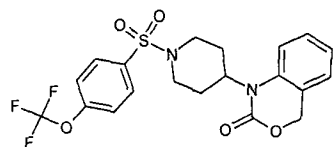
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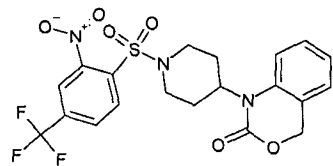
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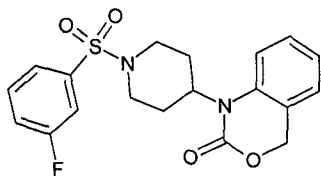
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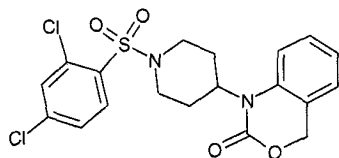
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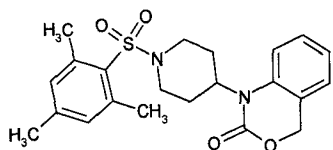
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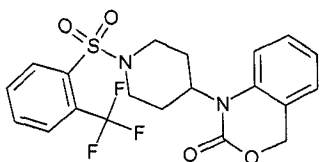
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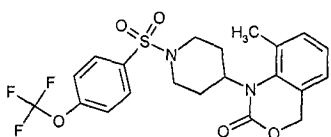
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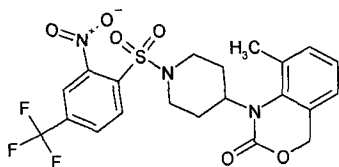
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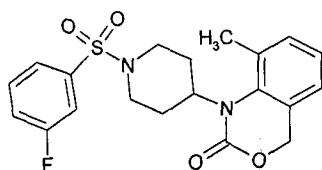
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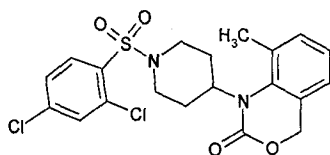
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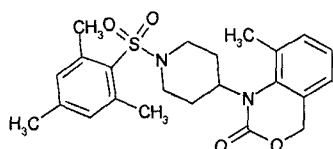
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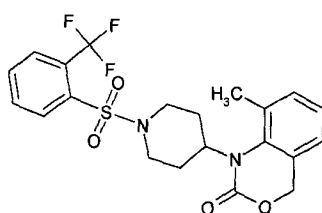
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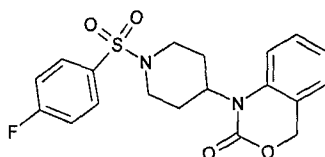
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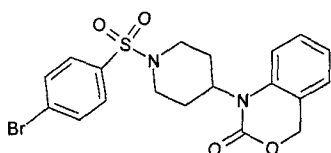
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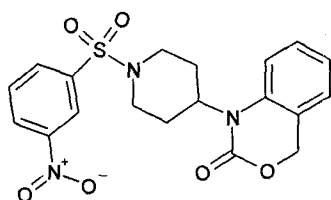
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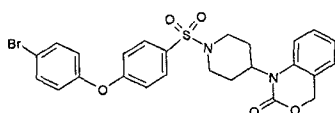
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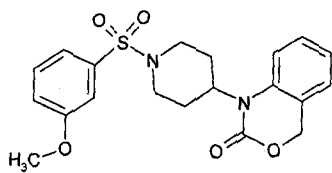
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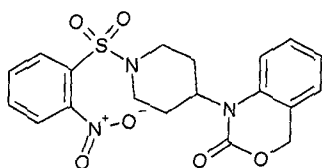
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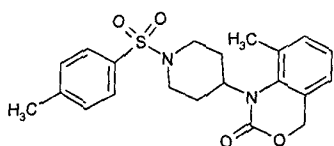
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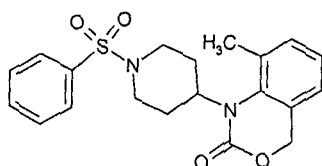
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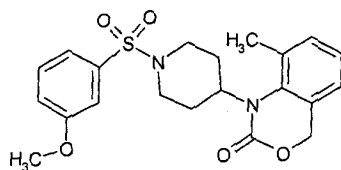
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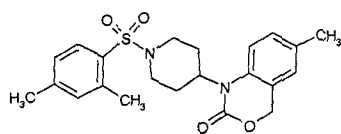
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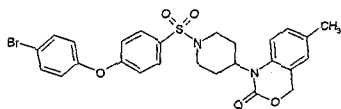
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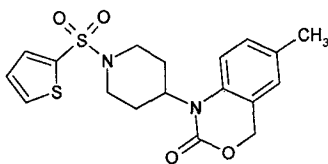
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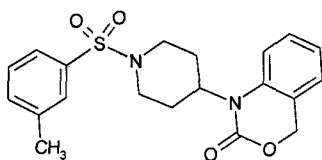
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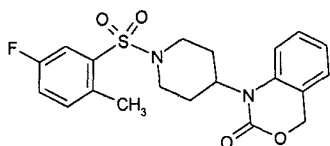
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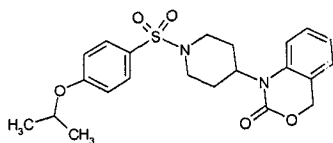
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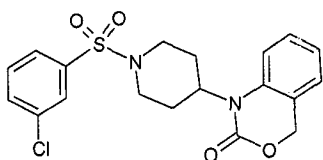
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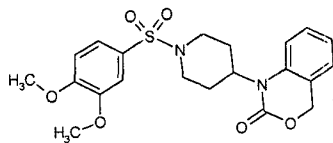
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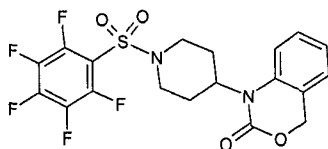
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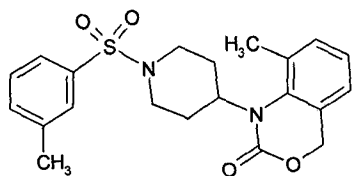
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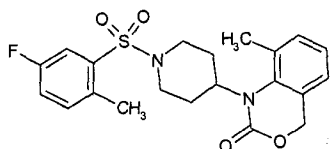
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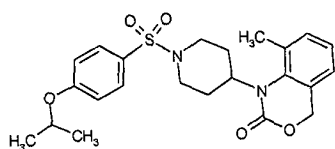
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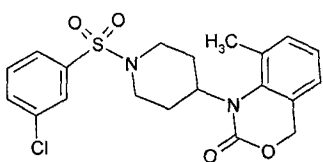
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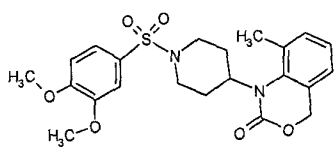
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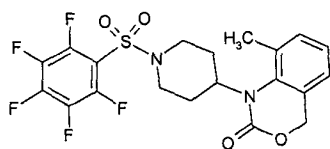
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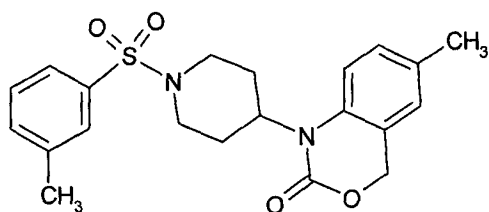
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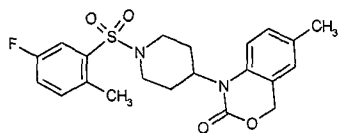
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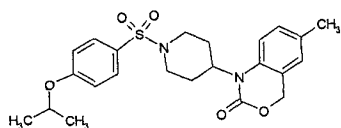
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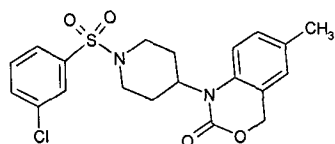
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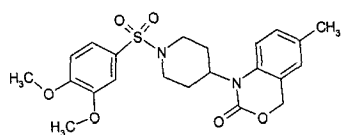
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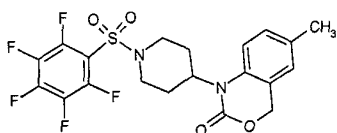
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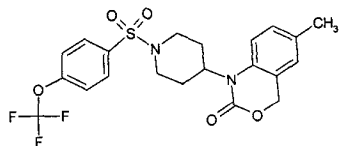
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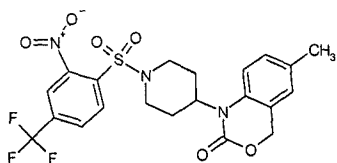
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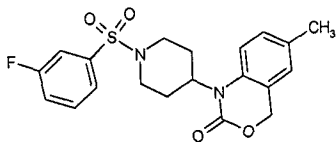
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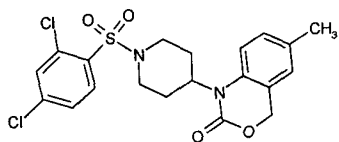
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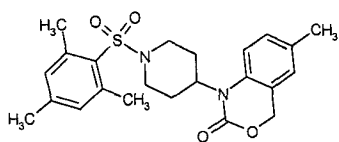
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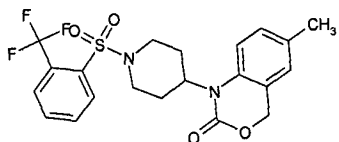
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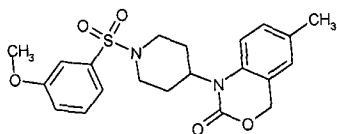
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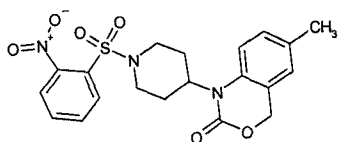
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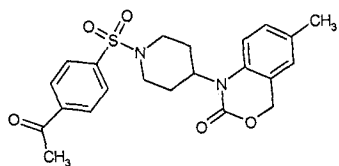
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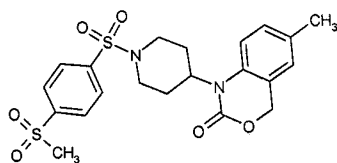
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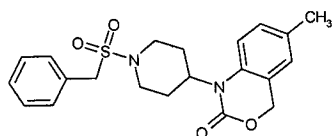
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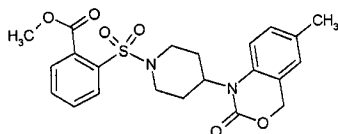
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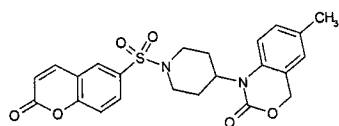
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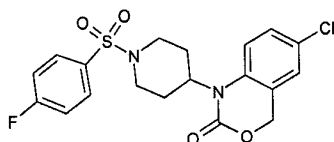
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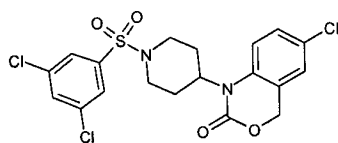
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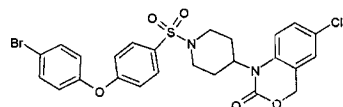
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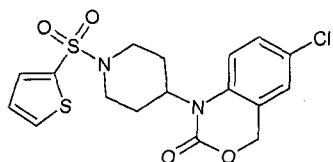
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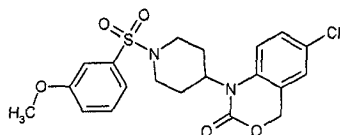
6-Chloro-1-[1-(3,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



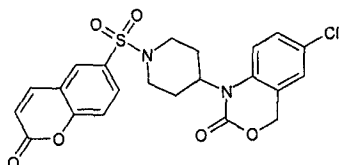
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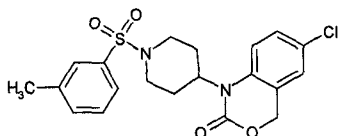
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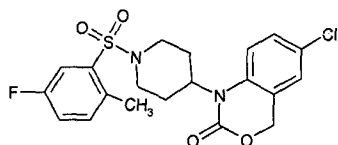
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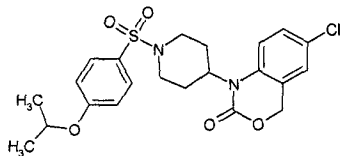
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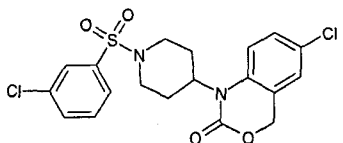
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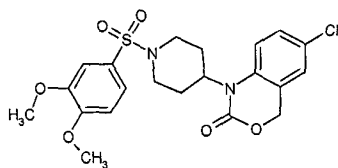
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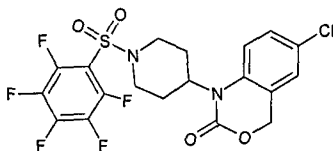
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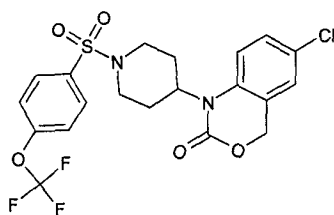
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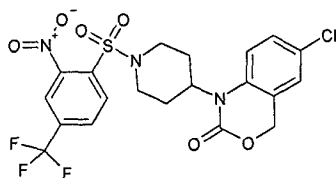
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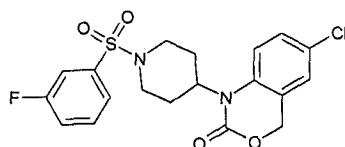
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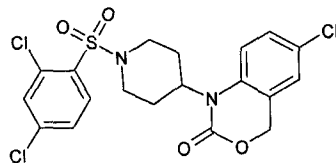
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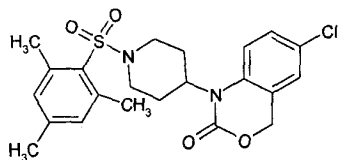
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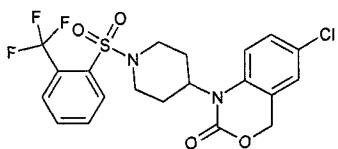
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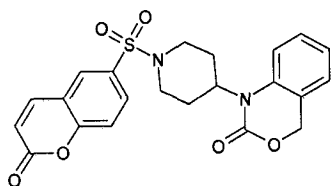
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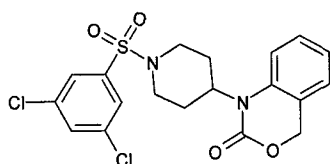
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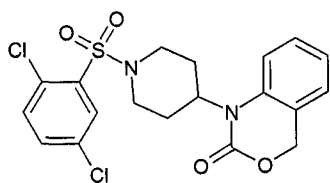
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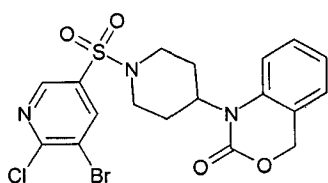
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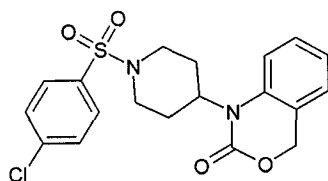
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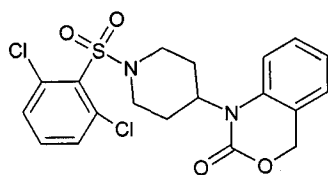
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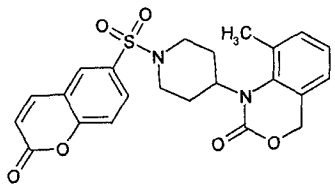
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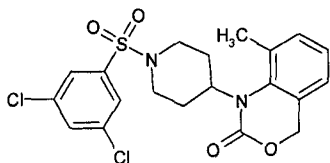
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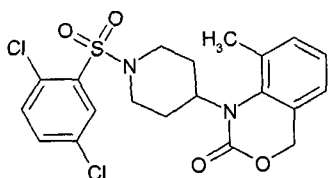
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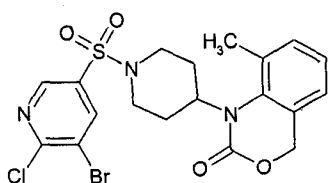
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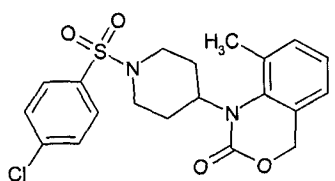
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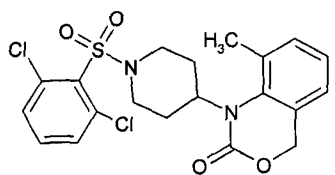
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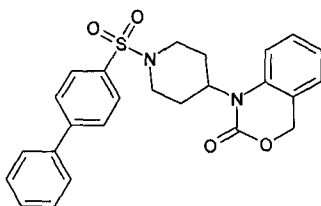
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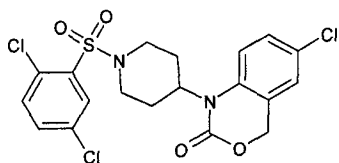
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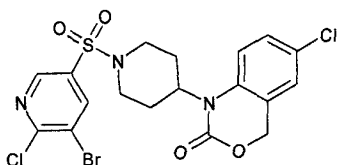
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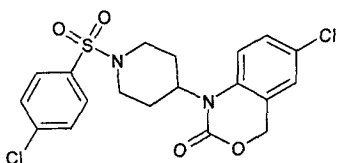
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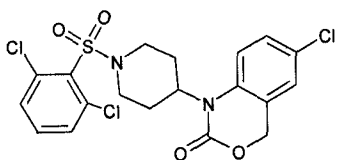
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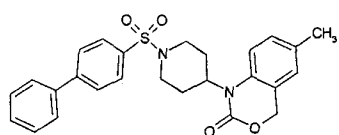
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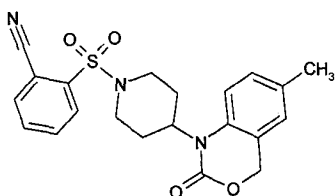
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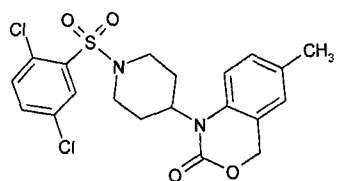
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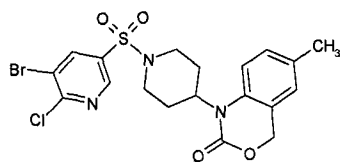
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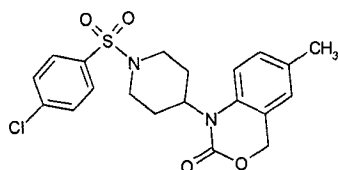
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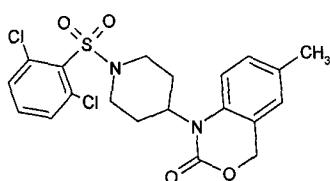
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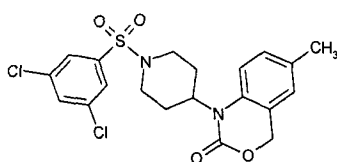
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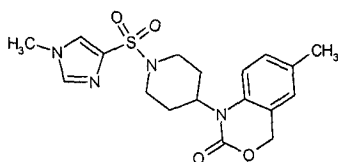
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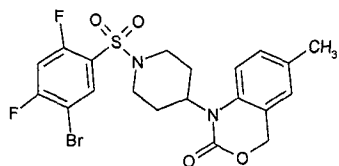
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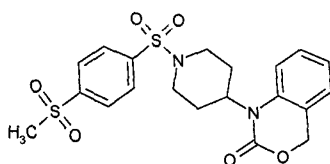
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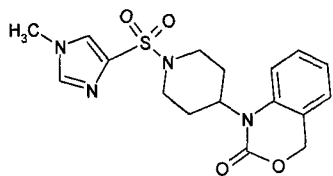
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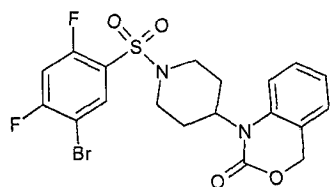
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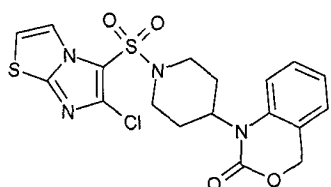
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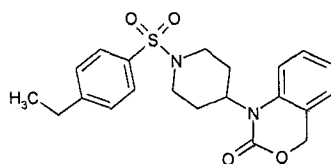
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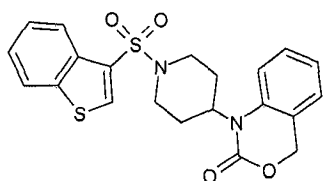
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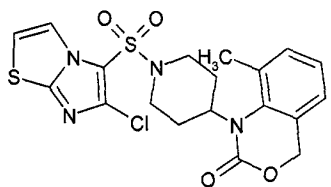
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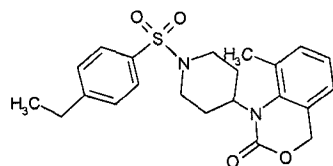
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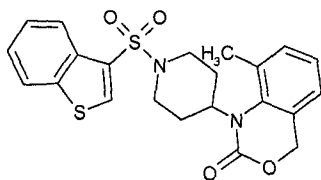
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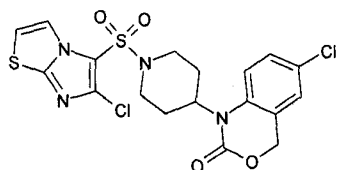
1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



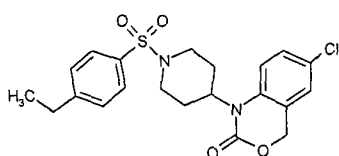
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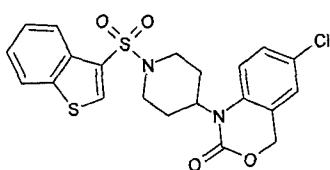
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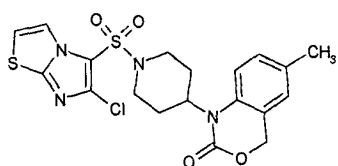
6-Chloro-1-[1-(6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



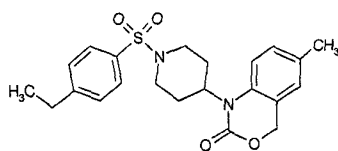
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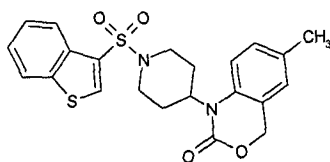
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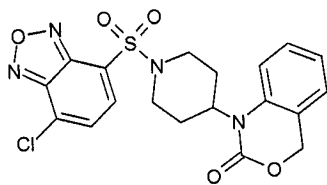
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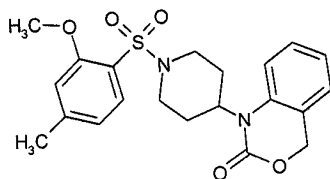
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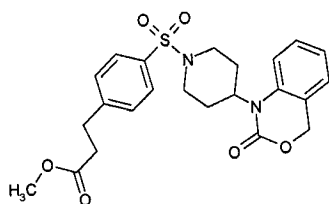
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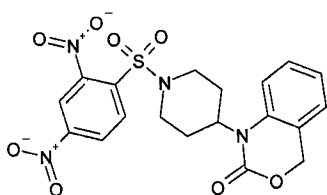
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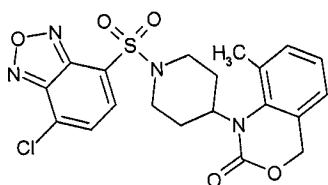
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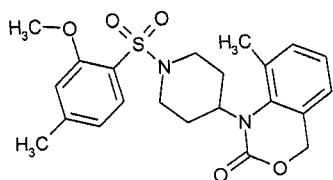
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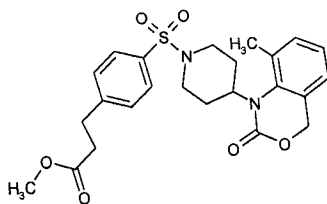
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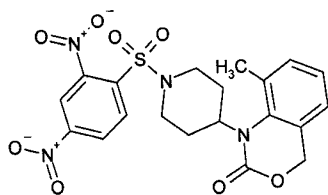
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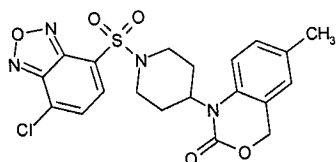
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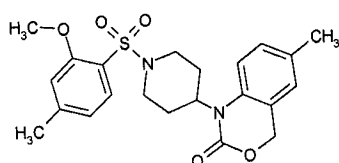
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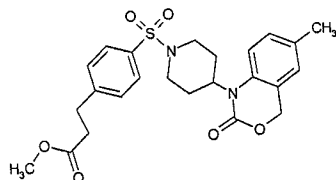
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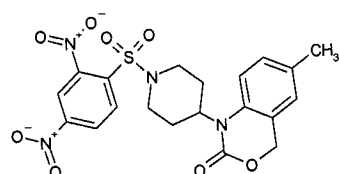
1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



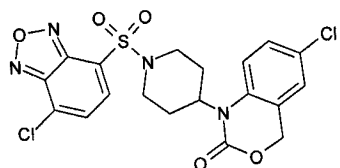
1-[1-(2-Methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



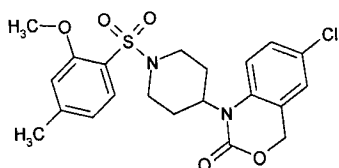
3-{4-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester



1-[1-(2,4-Dinitro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

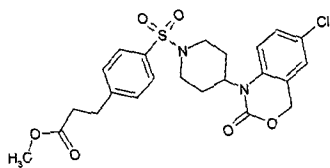


6-Chloro-1-[1-(7-chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

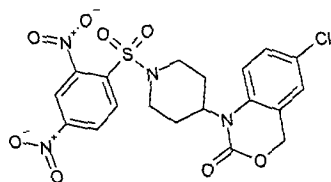


6-Chloro-1-[1-(2-methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

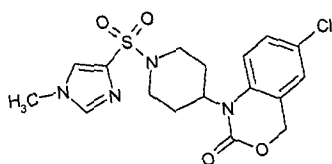
3-{4-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester



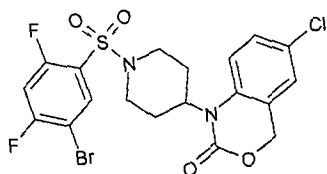
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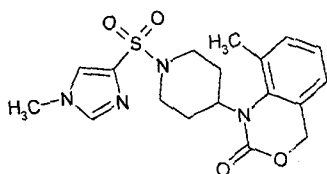
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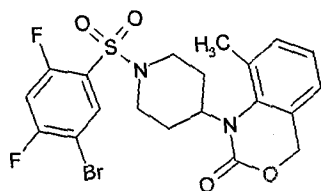
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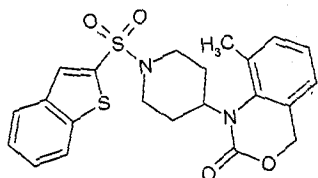
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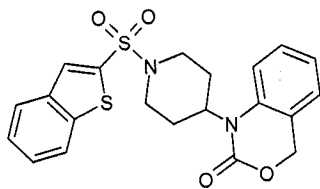


1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

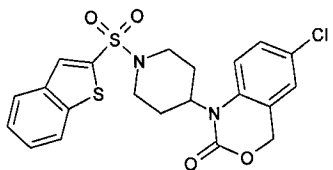


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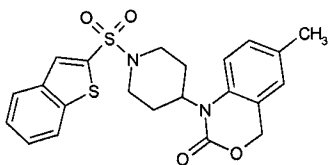




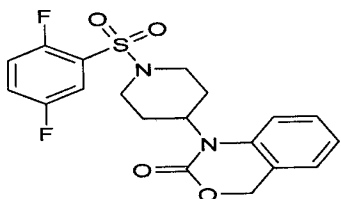
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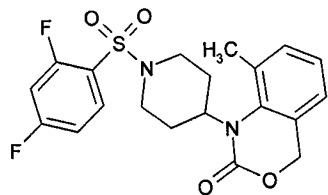
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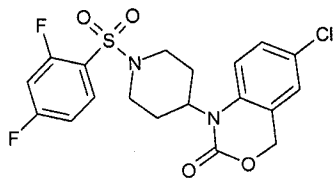
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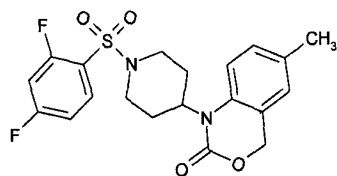
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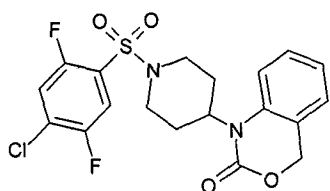
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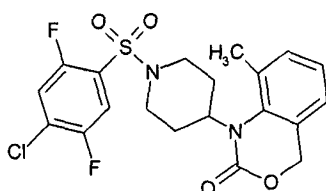
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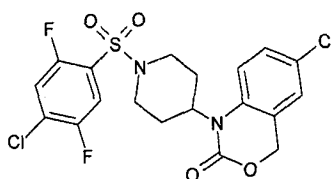
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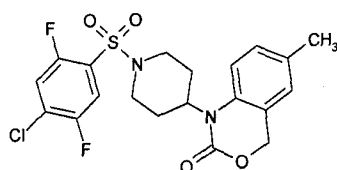
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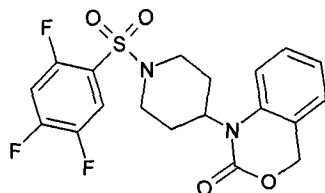
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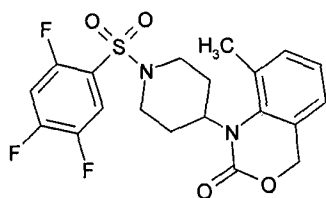
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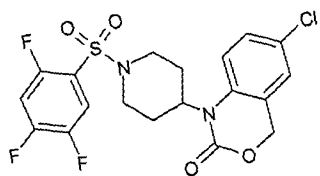


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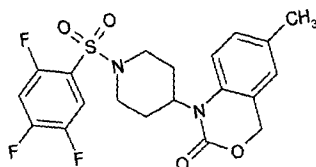


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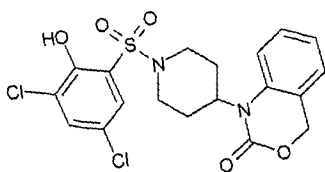
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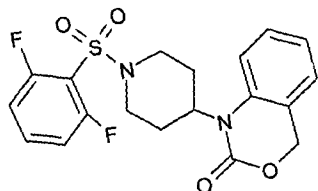
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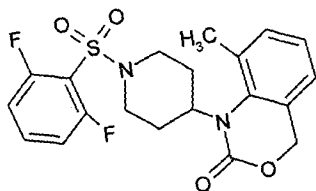
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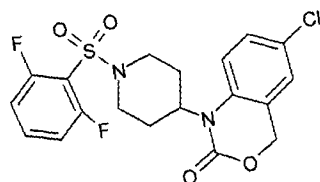
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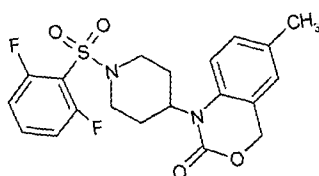
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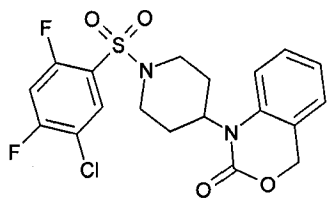


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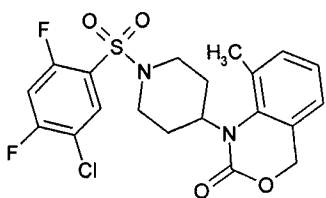


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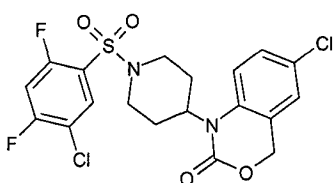




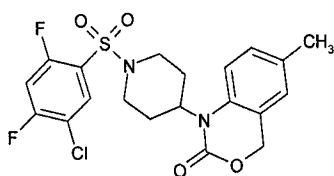
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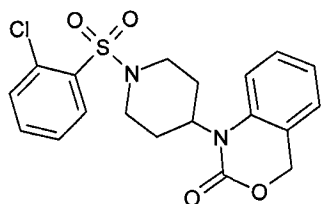
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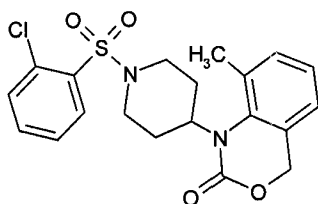
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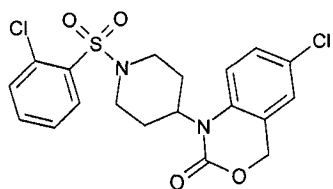
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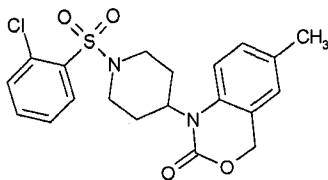
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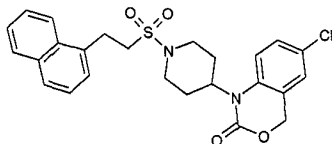
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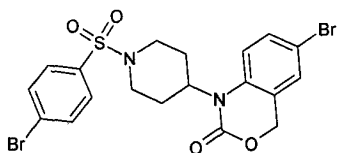
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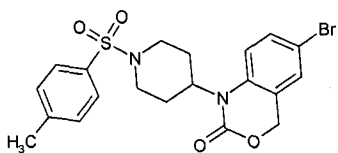
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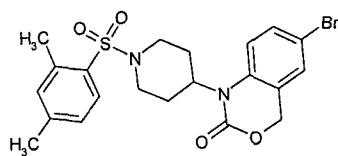
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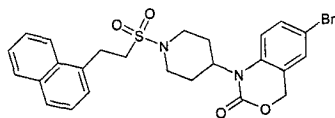
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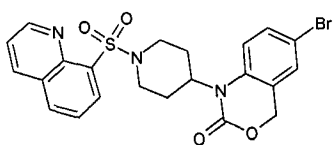
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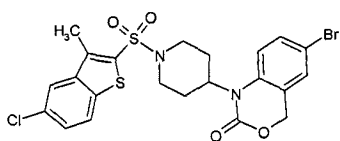
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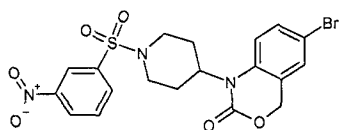
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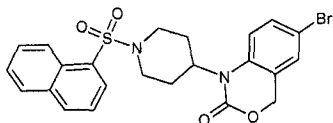
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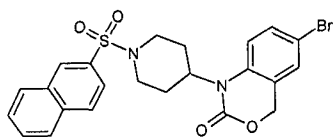
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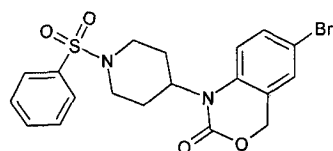
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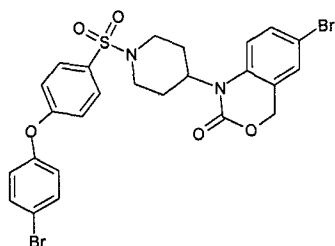
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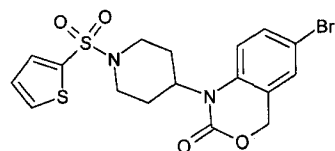
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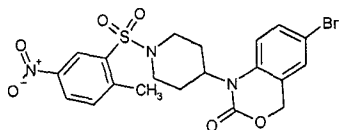
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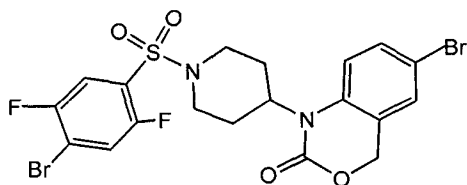
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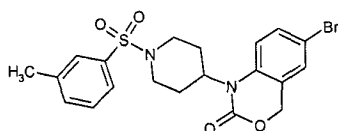
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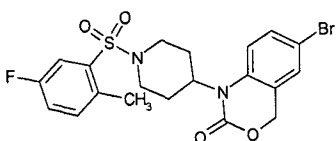
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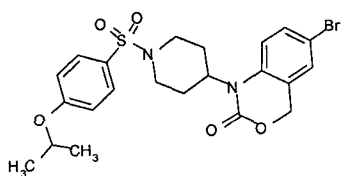
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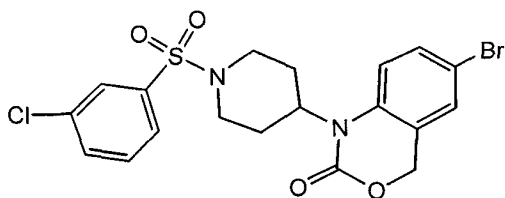
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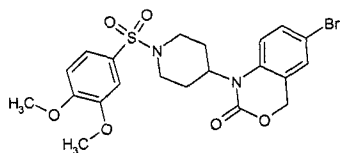
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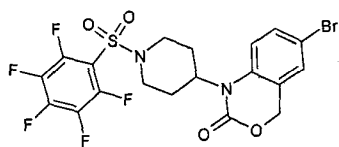
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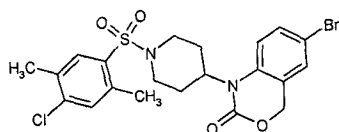
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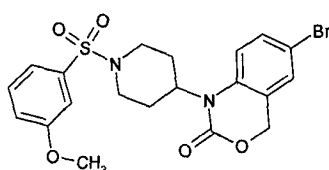
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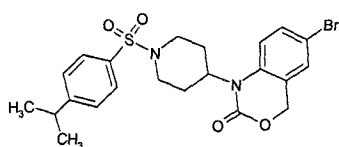
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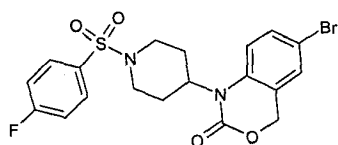
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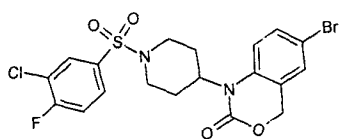
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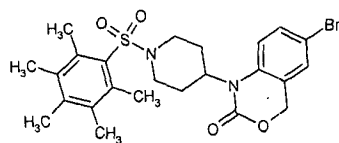
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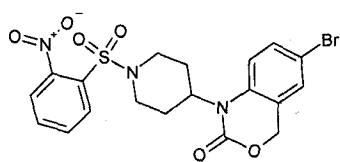
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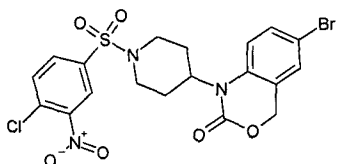
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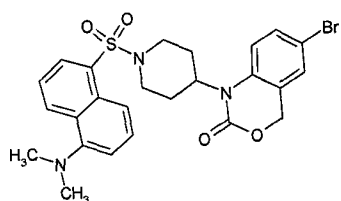
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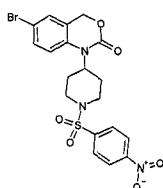
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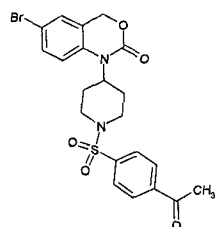
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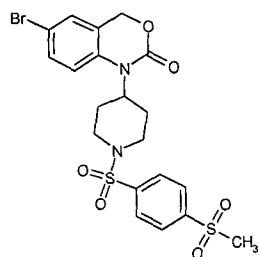
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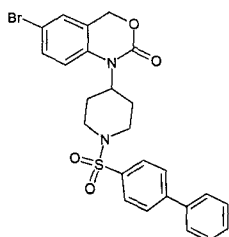
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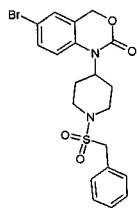
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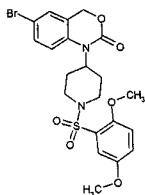
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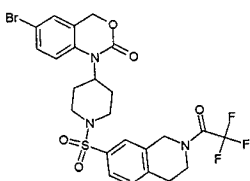
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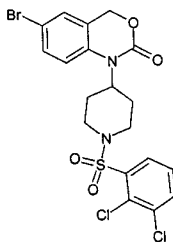
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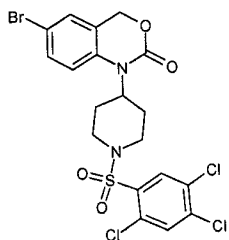
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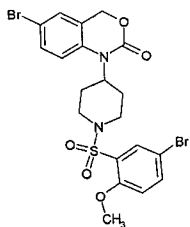
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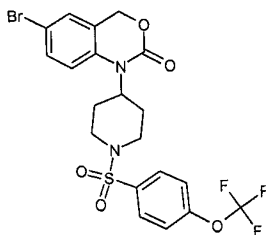
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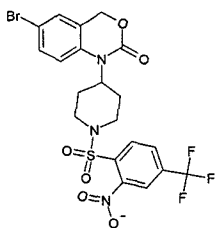
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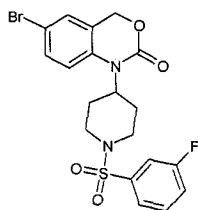
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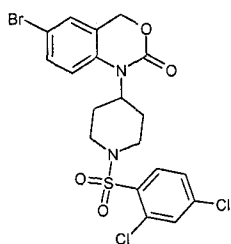
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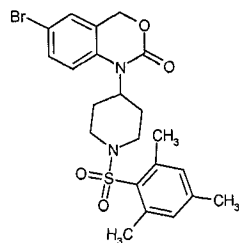
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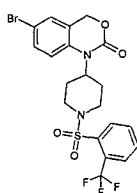
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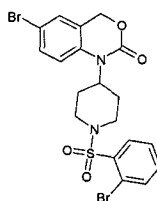
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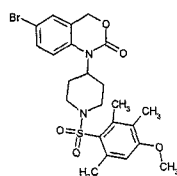
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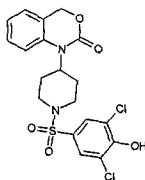
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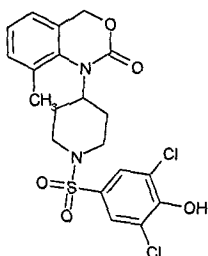
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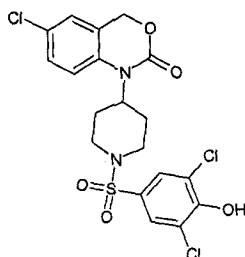
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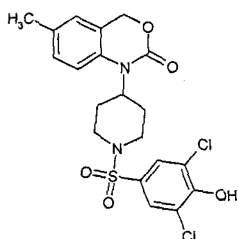
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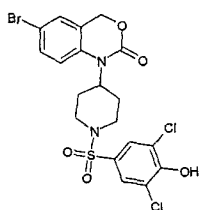
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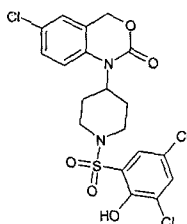
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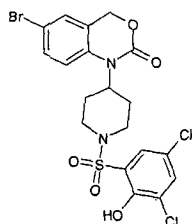
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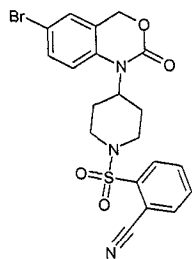
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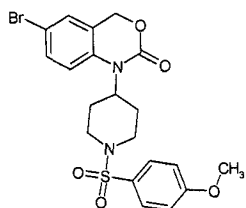
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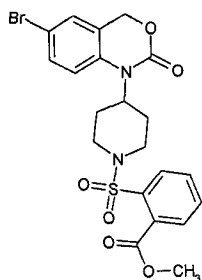
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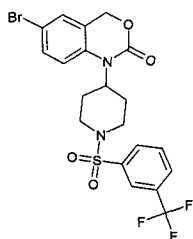
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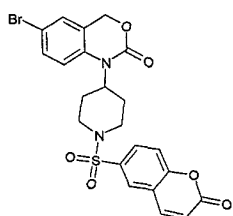
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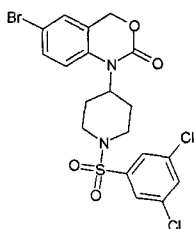
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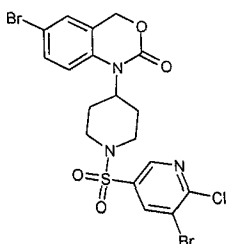
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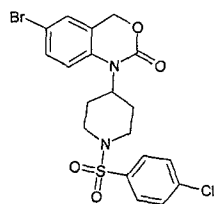
6-Bromo-1-[1-(3,5-dichlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



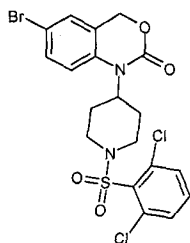
6-Bromo-1-[1-(2,5-dichlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



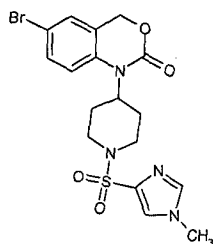
6-Bromo-1-[1-(5-bromo-6-chloropyridine-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



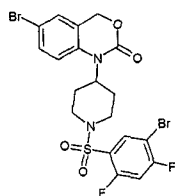
6-Bromo-1-[1-(4-chlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(2,6-dichlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(5-bromo-2,4-difluorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

More particularly preferred are compounds of general formula (I) selected from the group consisting of:

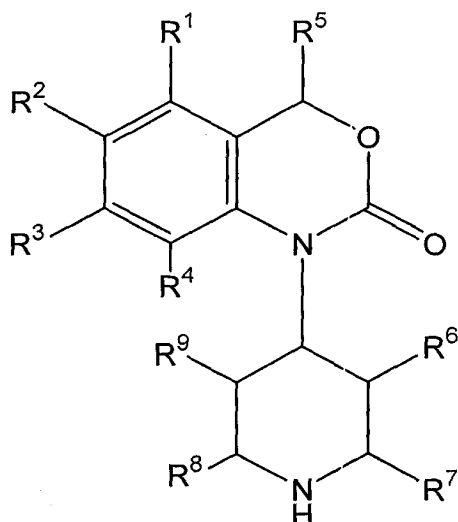
1-[1-(5-Chloro-3-methyl-benzo[b]thiophenyl-2-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

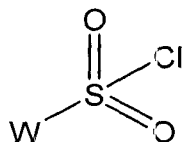
and corresponding salts thereof, and corresponding solvates.

In a further aspect the present invention also provides a process for the preparation of benzoxazinone-derived sulphonamide compounds of general formula (I), wherein R^1 - R^9 and W have the meaning given above, comprising reacting at least one piperidine compound of general formula (II) and/or a corresponding salt thereof, preferably a hydrochloride salt,



(II)

wherein R^1 to R^9 have the meaning given above, with at least one compound of general formula (III),



(III)

wherein W has the meaning given above, in a suitable reaction medium, optionally in the presence of at least one base and/or at least one auxiliary agent, to yield a compound of general formula (I).

Suitable reaction media include e.g. organic solvents, such as ethers, preferably diethyl ether, dioxane, tetrahydrofuran, dimethyl glycol ether, or alcohols, e.g. methanol, ethanol, propanol, isopropanol, butanol, isobutanol, tert-butanol, or hydrocarbons, preferably benzene, toluene, xylene, hexane, cyclohexane, petroleum ether, or halogenated hydrocarbons, e.g. dichloromethane, trichloromethane, tetrachloromethane, dichloroethylene, trichloroethylene, chlorobenzene or/and other solvents, preferably ethyl acetate, triethylamine, pyridine, dimethylsulfoxide, diethylformamide, hexamethylphosphoramide, acetonitrile, acetone or nitromethane, are included. Mixtures based one or more of the afore mentioned solvents may also be used.

Bases that may be used in the processes according to the present invention are generally organic or inorganic bases, preferably alkali metal hydroxides, e.g. sodium hydroxide or potassium hydroxide, or obtained from other metals such as barium hydroxide or different carbonates, preferably potassium carbonate, sodium carbonate, calcium carbonate, or alkoxides, e.g. sodium methoxide, potassium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide or potassium tert-butoxide, or organic amines, preferably triethylamine, diisopropylethylamine or heterocycles, e.g. 1,4-diazabicyclo[2.2.2] octane, 1,8-diazabicyclo[5.4.0]undec-7-ene pyridine, diamino pyridine, dimethylaminopyridine, methylpiperidine or morpholine. Alkali metals such as sodium or its hydrides, e.g. sodium hydride, may also be used. Mixtures based one or more of the afore mentioned bases may also be used.

During the synthetic reactions described above or while preparing the compounds of general formulas (II) or (III) the protection of sensitive groups or of reagents may be necessary and/or desirable. This can be performed by using conventional protective groups like those described in the literature [Protective groups in Organic Chemistry, ed. J. F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & sons, 1991. Said literature description is hereby incorporated by reference as part of the disclosure. The protective groups may also be eliminated as convenient by means well-known to those skilled in the art.

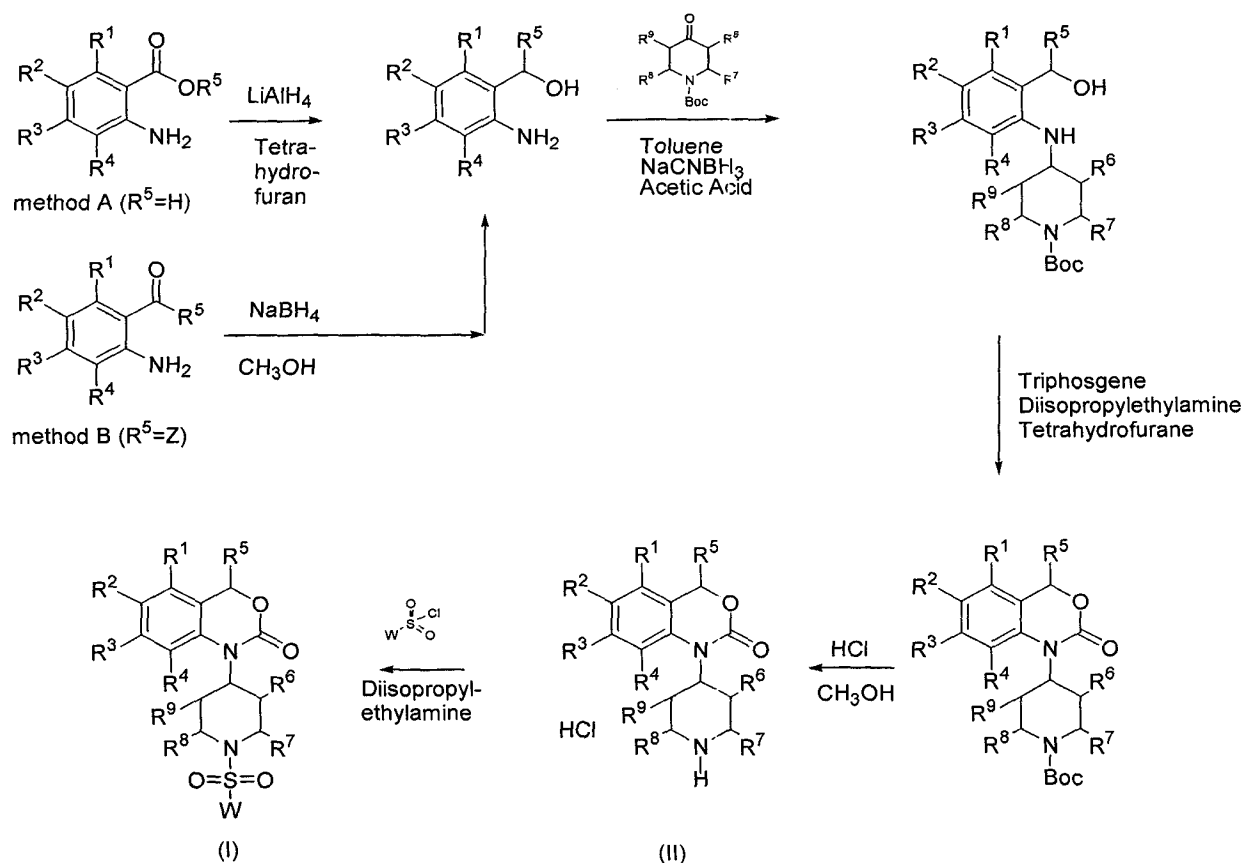
The compounds of general formulas (II) and (III) are either commercially available or can be produced according to methods known to those skilled in the art. The reaction of compounds of general formulas (II) and (III) to yield benzoxazinone-derived sulphonamide compounds of general formula (I) may also be facilitated by conventional methods known to those skilled in the art.

The substituted benzoxazinone compounds of general formula (II), wherein R⁵ represents H, are preferably synthesized from substituted anthranilic acid or a corresponding ester via the corresponding substituted benzylalcohol (see scheme 1, method A). By reductive amination with 1-Boc-(tert.-Butylcarbonyloxy)-4-piperidone the Boc-piperidin-moiety is introduced into the substituted benzylalcohol. The benzoxazinone-ring is formed by cyclisation with triphosgene. The elimination of the Boc-protecting group is carried out by treatment in acidic media according to the method described in Williams et al., J. Med. Chem. 1995 38, 4634 and later by Bell et al., J. Med. Chem., 1998, 41, 2146 which are hereby incorporated by reference and form part of the disclosure. By reacting such a substituted benzoxazinone compound of general formula (II) with a substituted sulfonyl chloride of general formula (III) compounds of general formula (I) are obtained.

By reduction of the corresponding ketones via conventional methods known to those skilled in the art, e.g. by reduction with sodium borohydride (see scheme 1, method B, R⁵=Z) benzoxazinone derived sulphonamide compounds of general formula (I), wherein R⁵ represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical (denoted by Z in method B) can be obtained.

The respective reagents used in said process for the preparation of benzoxazinone derived sulphonamide compounds of general formula (I) are either commercially available or can be obtained by methods well known to those skilled in the art.

Scheme 1:



In a further aspect the present invention also provides a process for the preparation of salts of benzoxazinone-derived sulphonamide compounds of general formula (I), wherein at least one compound of general formula (I) having at least one basic group is reacted with at least one inorganic and/or organic acid, preferably in the presence of a suitable reaction medium. Suitable reaction media are, for example, the ones given above. Suitable inorganic acids include hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, nitric acid, suitable organic acids are e.g. citric acid, maleic acid, fumaric acid, tartaric acid, or derivatives thereof, p-toluenesulfonic acid, methanesulfonic acid or camphersulfonic acid.

In yet a further aspect the present invention also provides a process for the preparation of salts of benzoxazinone-derived sulphonamide compounds of general formula (I), wherein at least one compound of general formula (I) having at least one acidic group is reacted with one or more suitable bases, preferably in the presence of a suitable reaction medium. Suitable bases are e.g. hydroxides, carbonates or alkoxides, which include suitable cations, derived e.g. from alkaline metals, alkaline earth metals or organic cations, e.g. $[NH_nR_{4-n}]^+$, wherein n is 0, 1, 2, 3 or 4 and R

represents a branched or unbranched C₁₋₄-alkyl-radical. Suitable reaction media are, for example, the ones given above.

Solvates, preferably hydrates, of the Benzoxazinone-derived sulphonamide compounds of general formula (I) or of the salts thereof may also be obtained by standard procedures known to those skilled in the art.

If the Benzoxazinone-derived compounds of general formula (I) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

The purification and isolation of the Benzoxazinone-derived sulphonamide compounds of general formula (I) or a corresponding stereoisomer, or salt, or solvate respectively, if required, may be carried out by conventional methods known to those skilled in the art, e.g. chromatographic methods or recrystallization.

The Benzoxazinone-derived sulphonamide compounds of general formula (I), their stereoisomers, the corresponding salts and the corresponding solvates are toxicologically acceptable and are therefore suitable as pharmaceutical active substances for the preparation of medicaments.

The present invention therefore also provides for a medicament comprising at least one benzoxazinone-derived sulphonamide compound of general formula (I), optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, and optionally one or more pharmaceutically acceptable adjuvants.

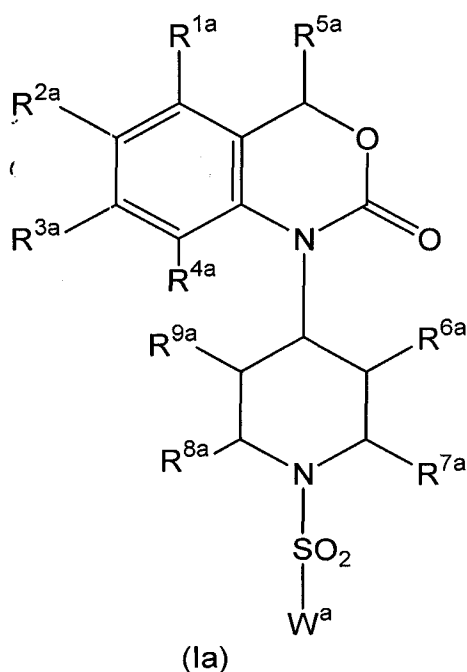
Furthermore, the present invention also provides for a pharmaceutical composition comprising at least one benzoxazinone-derived sulphonamide compound of general formula (I), optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers

in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate and optionally one or more pharmaceutically acceptable adjuvants, which is not yet formulated into a medicament.

Preferably the medicament is suitable for 5-HT₆-receptor regulation, for the prophylaxis and/or treatment of food ingestion (food intake) disorders, particularly for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (Non-Insulin Dependent Diabetes Mellitus), preferably type II diabetes, which is caused by obesity, disorders of the central nervous system, disorders of the gastrointestinal tract, such as irritable intestine syndrom, anxiety, panic, depression, cognitive memory disorders, senile dementia disorders, such as Morbus Alzheimer, Morbus Parkinson and Morbus Huntington, schizophrenia, psychosis, infantile hyperkinesia, ADHC (attention deficit, hyperactivity disorders) and other 5-HT₆ mediated disorders particularly in mammals, more preferably in humans.

A further aspect of the present invention is the use of at least one benzoxazinone-derived compound of general formula (I) for the manufacture of a medicament for 5-HT₆-receptor regulation, for the prophylaxis and/or treatment of food ingestion (food intake) disorders, particularly for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (Non-Insulin Dependent Diabetes Mellitus), preferably type II diabetes, which is caused by obesity, disorders of the central nervous system, disorders of the gastrointestinal tract, such as irritable intestine syndrom, anxiety, panic, depression, cognitive memory disorders, senile dementia disorders, such as Morbus Alzheimer, Morbus Parkinson and Morbus Huntington, schizophrenia, psychosis, infantile hyperkinesia, ADHC (attention deficit, hyperactivity disorders) and other 5-HT₆ mediated disorders particularly in mammals, including man.

In yet a further aspect, the present invention also provides for the use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia)



wherein

R^{1a} , R^{2a} , R^{3a} , R^{4a} are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, $-OR^{10a}$, $-OC(=O)R^{11a}$, $-SR^{12a}$, $-SOR^{12a}$, $-SO_2R^{12a}$, $-NH-SO_2R^{12a}$, $-SO_2NH_2$ and a $-NR^{13a}R^{14a}$ moiety,

R^{5a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical,

R^{6a} , R^{7a} , R^{8a} , R^{9a} are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano group and a $COOR^{15a}$ moiety,

W^a represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, a $NR^{16a}R^{17a}$ -moiety or a COR^{18a} -moiety,

R^{10a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{11a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally

at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{12a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{13a} and R^{14a} each are independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or R^{13a} and R^{14a} together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

R^{15a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-

substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{16a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, and

R^{17a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

R^{18a} represents an optionally at least mono-substituted aryl radical,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, respectively,

for the manufacture of a medicament for 5-HT₆-receptor regulation, for the prophylaxis and/or treatment of food ingestion (food intake) disorders, particularly for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (Non-Insulin Dependent Diabetes Mellitus), preferably type II diabetes, which is caused by obesity, disorders of the gastrointestinal tract, such as irritable intestine syndrom, anxiety, panic, depression, cognitive memory disorders, senile dementia disorders, such as Morbus Alzheimer, Morbus Parkinson and Morbus Huntington, schizophrenia, psychosis, infantile hyperkinesia, ADHC (attention deficit, hyperactivity disorders) and other 5-HT₆ mediated disorders particularly in mammals, including man.

If one or more of the residues R^{1a} - R^{17a} and W^a represents an aliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C₁₋₄-alkoxy, branched or unbranched C₁₋₄-perfluoroalkoxy, branched or unbranched C₁₋₄-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO₂NH₂, -CO-C₁₋₄-alkyl, -SO-C₁₋₄-alkyl, -SO₂-C₁₋₄-alkyl,

-NH-SO₂-C₁₋₄-alkyl, wherein the C₁₋₄-alkyl may in each case be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, CF₃ and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^{1a}-R^{15a} represents a cycloaliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C₁₋₄-alkyl, branched or unbranched C₁₋₄-alkoxy, branched or unbranched C₁₋₄-perfluoroalkoxy, phenoxy, benzoyl, cyclohexyl, branched or unbranched C₁₋₄-perfluoroalkyl, -NR^AR^B wherein R^A, R^B are each independently selected from the group consisting of H, a branched or unbranched C₁₋₄-alkyl-radical, -CH₂-CH₂-OH and phenyl, carboxy, keto, amido, cyano, nitro, -SO₂NH₂, -CO-C₁₋₄-alkyl, -CO-OC₁₋₄-alkyl, -SO-C₁₋₄-alkyl, -SO₂-C₁₋₄-alkyl, -NH-SO₂-C₁₋₄-alkyl, wherein C₁₋₄-alkyl may in each case be branched or unbranched, unsubstituted or at least mono-substituted phenyl or naphthyl and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, keto, benzoyl, phenoxy, cyclohexyl, -CF₃, -CO-CH₃, -CO-OCH₃, -NR^AR^B wherein R^A, R^B are each independently selected from the group consisting of H, a branched or unbranched C₁₋₄-alkyl-radical, -CH₂-CH₂-OH and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^{1a}-R^{4a} and R^{10a}-R^{15a} and W comprises an alkylene group, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C₁₋₄-alkoxy, branched or unbranched C₁₋

4-alkyl, branched or unbranched C₁₋₄-perfluoroalkoxy, branched or unbranched C₁₋₄-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO₂NH₂, -CO-C₁₋₄-alkyl, -SO-C₁₋₄-alkyl, -SO₂-C₁₋₄-alkyl, -NH-SO₂-C₁₋₄-alkyl, wherein C₁₋₄-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, methoxy, ethoxy, CF₃ and unsubstituted phenyl. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^{1a}-R^{4a} and R^{10a}-R^{15a} comprises a mono- or polycyclic ringsystem, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C₁₋₄-alkyl, branched or unbranched C₁₋₄-alkoxy, branched or unbranched C₁₋₄-perfluoroalkoxy, branched or unbranched C₁₋₄-perfluorocarbonyl, branched or unbranched C₁₋₄-perfluoroalkyl, amino, carboxy, amido, cyano, keto, nitro, -SO₂NH₂, -CO-C₁₋₄-alkyl, -SO-C₁₋₄-alkyl, -SO₂-C₁₋₄-alkyl, -NH-SO₂-C₁₋₄-alkyl, wherein C₁₋₄-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl, more preferably from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, CF₃, -(C=O)-CF₃, keto, cyano and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R^{1a}-R^{4a}, R^{10a}-R^{15a} and R¹⁸ represents or comprises an aryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C₁₋₄-alkoxy, branched or unbranched C₁₋₄-alkyl, branched or unbranched C₁₋₄-perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C₁₋₄-perfluoroalkyl, NR^AR^B wherein R^A,

R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, carboxy, amido, cyano, $-CH(OH)(phenyl)$, nitro, $-SO_2NH_2$, $-CO-C_{1-4}-alkyl$, $-CO-OC_{1-4}-alkyl$, $-SO-C_{1-4}-alkyl$, $-SO_2-C_{1-4}-alkyl$, $-NH-SO_2-C_{1-4}-alkyl$, wherein C_{1-4} -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, nitro, $-CH(OH)(phenyl)$, methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF_3 , OCF_3 , $-CO-CH_3$, $-CO-OCH_3$, SO_2-CH_3 , $-NR^A R^B$ wherein R^A , R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, Br, CF_3 , OCF_3 , methyl and methoxy.

If one or more of the residues R^{1a} - R^{4a} and R^{10a} - R^{15a} represents or comprises a heteroaryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_{1-4} -alkoxy, branched or unbranched C_{1-4} -alkyl, branched or unbranched C_{1-4} -perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C_{1-4} -perfluoroalkyl, $NR^A R^B$ wherein R^A , R^B are each independently selected from the group consisting of H, a branched or unbranched C_{1-4} -alkyl-radical, $-CH_2-CH_2-OH$ and phenyl, carboxy, amido, cyano, $-CH(OH)(phenyl)$, nitro, $-SO_2NH_2$, $-CO-C_{1-4}-alkyl$, $-CO-OC_{1-4}-alkyl$, $-SO-C_{1-4}-alkyl$, $-SO_2-C_{1-4}-alkyl$, $-NH-SO_2-C_{1-4}-alkyl$, wherein C_{1-4} -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, nitro, $-CH(OH)(phenyl)$, methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl,

CF₃, OCF₃, -CO-CH₃, -CO-OCH₃, SO₂-CH₃, -NR^AR^B wherein R^A, R^B are each independently selected from the group consisting of H, a branched or unbranched C₁₋₄-alkyl-radical, -CH₂-CH₂-OH and phenyl, and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, Br, CF₃, OCF₃, methyl and methoxy.

If R^{13a} and R^{14a} form a heterocyclic ring, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C₁₋₄-alkoxy, branched or unbranched C₁₋₄-alkyl, branched or unbranched C₁₋₄-perfluoroalkoxy, branched or unbranched C₁₋₄-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO₂NH₂, -CO-C₁₋₄-alkyl, -SO-C₁₋₄-alkyl, -SO₂-C₁₋₄-alkyl, -NH-SO₂-C₁₋₄-alkyl, wherein C₁₋₄-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, methyl, CF₃ and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R^{13a} and R^{14a} form a heterocyclic ring, which contains one or more further heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O and S, more preferably from the group consisting of N and O.

If one or more of the residues R^{1a}-R^{15a} and W^a represents a cycloaliphatic radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

If one or more of the residues R^{1a} - R^{4a} , R^{10a} - R^{15a} and W^a represents or comprises an heteroaryl radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

If W^a represents or comprises a cycloaliphatic radical, a heteroaryl radical, an aryl radical and/or a mono- or polycyclic ring system, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, nitro, carboxy, cyano, keto, halogen, C_{1-20} -alkyl, partially fluorinated C_{1-4} alkyl, partially chlorinated C_{1-4} alkyl, partially brominated C_{1-4} alkyl, C_{1-5} -alkoxy, partially fluorinated C_{1-4} alkoxy, partially chlorinated C_{1-4} alkoxy, partially brominated C_{1-4} alkoxy, C_{2-6} -alkenyl, SO_2 - C_{1-4} -alkyl, $-(C=O)-C_{1-5}$ -alkyl, $-(C=O)-O-C_{1-5}$ -alkyl, $-(C=O)-Cl$, $-S-C_{1-4}$ -alkyl-, $-(C=O)-H$, $-NH-(C=O)-NH-C_{1-5}$ -alkyl, $-(C=O)-C_{1-4}$ -perfluoroalkyl, $-NR^A R^B$, wherein R^A and R^B are independently selected from the group consisting of H, C_{1-4} -alkyl and phenyl, $NH-(C=O)-C_{1-5}$ -alkyl, $-C_{1-5}$ -alkylen- $(C=O)-C_{1-5}$ -alkyl, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, $-SO_2$ -phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, $-SO_2$ -pyrrolidinyl, morpholinyl, SO_2 -morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, $O-CH_2$ -thiazolyl-, NH -phenyl, and $-C_{1-4}$ -Alkylen- $NH-(C=O)$ -phenyl, more preferably from the group consisting of hydroxy, nitro, carboxy, cyano, keto, F, Cl, Br, I, C_{1-12} -alkyl, CH_2F , CHF_2 , CF_3 , CH_2Cl , CH_2Cl_2 , CCl_3 , CH_2Br , $CHBr_2$, CBr_3 , OCF_3 , $OCHF_2$, OCH_2F , $O-CH_2-CF_3$, vinyl, SO_2-CH_3 , $-(C=O)-CH_3$, $-(C=O)-C_2H_5$, $-(C=O)-O-CH_3$, $-(C=O)-O-C_2H_5$, $-(C=O)-Cl$, $-S-CH_3$, $-(C=O)-H$, $-NH-(C=O)-NH-CH_3$, $-(C=O)-CF_3$, dimethylamino, diethylamino, di-n-propylamino, di-iso-propylamino, di-n-butylamino, di-tert-butyamino, $NH-(C=O)-CH_3$, $-CH_2-(C=O)-CH_3$, $-CH_2-(C=O)-C_2H_5$, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, $-SO_2$ -phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, $-SO_2$ -pyrrolidinyl, morpholinyl, SO_2 -morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, $O-CH_2$ -thiazolyl-, NH -phenyl, and $-CH_2-NH-(C=O)$ -phenyl.

If any of the afore mentioned substituents itself is substituted by one or more substituents, said substituents may preferably be selected from the group consisting of halogen, nitro, cyano, hydroxy, $-(C=O)-C_{1-4}$ -alkyl, C_{1-4} -alkyl, at least partially fluorinated C_{1-4} -alkyl, at least partially chlorinated C_{1-4} -alkyl, at least partially brominated C_{1-4} -alkyl, $-S-C_{1-4}$ -alkyl, $-C(=O)-O-C_{1-5}$ -alkyl, $-(C=O)-CH_2-F$, $-(C=O)-CH_2-Cl$, $-(C=O)-CH_2-Br$, preferably from the group consisting of F, Cl, Br, CH_2F , CHF_2 , CF_3 , CH_2Cl , $CHCl_2$, CCl_3 , CH_2Br , $CHBr_2$, CBr_3 , nitro, cyano, hydroxy, $-(C=O)-CH_3$, CH_3 , C_2H_5 , $-S-CH_3$, $-C(=O)-O-CH_3$, $-C(=O)-O-C_2H_5$, $-(C=O)-CH_2-F$, $-(C=O)-CH_2-Cl$ and $-(C=O)-CH_2-Br$.

Preferred is the use of compounds of general formula (Ia), wherein R^{1a} , R^{2a} , R^{3a} , R^{4a} are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, $-OR^{10a}$, $-OC(=O)R^{11a}$, $-SR^{12a}$, $-SOR^{12a}$, $-SO_2R^{12a}$, $-NH-SO_2R^{12a}$, $-SO_2NH_2$ and a $-NR^{13a}R^{14a}$ moiety,

preferably selected from the group consisting of H, F, Cl, Br, a saturated, branched or unbranched, optionally at least mono-substituted C_{1-3} -aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_5 - or C_6 - cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C_1 - or C_2 -alkylene group, a nitro, cyano, $-OR^{10a}$, $-OC(=O)R^{11a}$, $-SR^{12a}$ and $-NR^{13a}R^{14a}$ moiety,

more preferably selected from the group consisting of H, F, Cl, CH_3 , CH_2CH_3 , CF_3 , CF_2CF_3 , cyclopentyl, cyclohexyl, nitro, cyano and $-OR^{10a}$,

and R^{5a} - R^{18a} and W^a have the meaning as defined above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ia), wherein R^{5a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -cycloaliphatic radical,

preferably represents H or a branched or unbranched C_{1-3} -alkyl radical,

more preferably H, CH_3 or CH_2CH_3 ,

and R^{1a} - R^{4a} , R^{6a} - R^{17a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preference is also given to the use of compounds of general formula (Ia), wherein R^{6a} , R^{7a} , R^{8a} , R^{9a} are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -cycloaliphatic radical, a cyano and $COOR^{15a}$ moiety,

preferably selected from the group consisting of H, a branched or unbranched C_{1-3} -alkyl radical, a cyano and a $COOR^{15a}$ group,

more preferably from the group consisting of H, CH_3 , CH_2CH_3 and a cyano moiety, and R^{1a} - R^{5a} , R^{10a} - R^{18a} and W^a have the meaning given above, optionally in form of

one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ia), wherein W^a represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bridged and/or bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, a $NR^{16a}R^{17a}$ -moiety or a COR^{18a} -moiety,

preferably is selected from the group consisting of 1-Naphthyl-, 5-Dimethylamino-naphth-1-yl, 2-Naphthyl-, 2-Acetamido-4-methyl-5-thiazolyl-, 2-Thienyl-, 8-Quinoliny-, Phenyl-, Pentafluorophenyl-, 2,4,5-Trichloro-phenyl-, 2,5-Dichloro-phenyl-, 2-Nitrophenyl-, 2,4-Dinitro-phenyl-, 3,5-Dichloro-2-hydroxy-phenyl-, 2,4,6-Trisisopropyl-phenyl-, 2-Mesityl-, 3-Nitro-phenyl-, 4-Bromo-phenyl-, 4-Fluoro-phenyl-, 4-Chlorophenyl-, 4-Chloro-3-nitro-phenyl-, 4-Iodo-phenyl-, N-Acetyl-sulfanilyl-, 4-Nitro-phenyl-, 4-Methoxy-phenyl-, Benzoic-acid-4-yl-, 4-tert-Butyl-phenyl-, p-Tolyl-, Trifluoromethyl-, Trichloromethyl-, Isopropyl-, Methyl-, Benzyl-, trans-styryl-, 2,2,2-Trifluoroethyl-, Ethyl-, Hexadecyl-, 2-Chloroethyl-, n-Propyl-, 3-Chloro-propyl-, n-Butyl-, Methyl-benzoate-2-yl-, 2-Nitro-4-(trifluoromethyl)-phenyl-, Pentamethyl-phenyl-, 2,3,5,6-Tetramethyl-phenyl-, 3-(Trifluoromethyl)-phenyl-, 3,5-Bis-(Trifluoromethyl)-phenyl-, Dichloromethyl-, Chloromethyl-, Dodecyl-, 1-Octyl-, 2,3,4-Trichloro-phenyl-, 2,5-Dimethoxy-phenyl-, o-Tolyl-, p-xylyl-2-yl-, Benzoic-acid-3-yl-, 4-Chloro-3-(trifluoromethyl)-phenyl-, 4-Chloro-5-nitro-benzoic acid-3-yl-, 6-(p-toluidino)-naphth-2-yl-, 4-Methoxy-2,3,6-trimethylphenyl-, 3,4-Dichlorophenyl-, 4,5-Dibromo-thiophene-2-yl-, 3-Chloro-4-fluoro-phenyl-, 4-Ethyl-phenyl-, 4-n-Propyl-phenyl-, 4-(1,1-Dimethylpropyl)-phenyl-, 4-Isopropyl-phenyl-, 4-

Bromo-2,5-difluoro-phenyl-, 2-Fluoro-phenyl-, 3-Fluoro-phenyl-, 4-(Trifluoromethoxy)-phenyl-, 4-(Trifluoromethyl)-phenyl-, 2,4-Difluoro-phenyl-, 2,4-Dichloro-5-methyl-phenyl-, 4-Chloro-2,5-dimethyl-phenyl-, 5-Diethylamino-naphth-2-yl-, Benzoyl chloride-3-yl-, 2-Chloro-phenyl-, 1-Octadecyl-, 4-Bromo-2,5-dichloro-thiophene-3-yl-, 2,5-Dichloro-thiophene-3-yl-, 5-Chloro-thiophene-2-yl-, 2-Methyl-5-nitro-phenyl-, 2-(Trifluoromethyl)-phenyl-, 3-Chloro-phenyl-, 3,5-Dichloro-phenyl-, 1-Decyl-, 3-Methyl-phenyl-, 2-Chloro-6-methyl-, 5-Bromo-2-methoxy-phenyl-, 3,4-Dimethoxy-phenyl-, 2-3-Dichloro-phenyl-, 2-Bromo-phenyl-, 3,5-Dichloro-4-(2-chloro-4-nitrophenoxy)-phenyl-, 2,3-Dichloro-thiophene-5-yl-, 3-Bromo-2-chloro-thiophene-5-yl-, 3-Bromo-5-chloro-thiophene-2-yl-, 2-(Benzoylaminomethyl)-thiophene-5-yl-, 4-(Phenylsulphonyl)-thiophene-2-yl-, 2-Phenylsulphonyl-thiophene-5-yl-, 3-Chloro-2-methyl-phenyl-, 2-[1-Methyl-5-(trifluoromethyl)pyrazol-3-yl]-thiophene-5-yl-, 5-Pyrid-2-yl-thiophene-2-yl-, 2-Chloro-5-(trifluoromethyl)-phenyl-, 2,6-Dichloro-phenyl-, 3-Bromophenyl-, 2-(Trifluoromethoxy)-phenyl-, 4-Cyano-phenyl-, 2-Cyano-phenyl-, 4-n-Butoxy-phenyl-, 4-Acetamido-3-chloro-phenyl, 2,5-Dibromo-3,6-difluoro-phenyl-, 5-Chloro-1,3-dimethylpyrazole-4-yl-, 3,5-Dimethylisoxazole-4-yl-, 2-(2,4-Dichlorophenoxy)-phenyl-, 4-(2-Chloro-6-nitro-phenoxy)-phenyl-, 4-(3-Chloro-2-cyano-phenoxy)-phenyl-, 2,4-Dichloro-phenyl-, 2,4-Dimethyl-1,3-thiazole-5-yl-, Methyl-methane-sulfonyl-, 2,5-Bis-(2,2,2-Trifluoroethoxy)-phenyl-, 2-Chloro-4-(trifluoromethyl)-phenyl-, 2-Chloro-4-fluoro-phenyl-, 5-Fluoro-2-methyl-phenyl-, 5-Chloro-2-methoxy-phenyl-, 2,4,6-Trichloro-phenyl-, 2-Hydroxy-benzoic acid-5-yl-, 5-(Di-n-propylamino)-naphth-1-yl-, 6-Methoxy-m-tolyl-, 2,5-Difluoro-phenyl-, 2,4-Dimethoxy-phenyl-, 2,5-Dibromo-phenyl-, 3,4-Dibromo-phenyl-, 2,2,5,7,8-Pentamethyl-chroman-6-yl-, 2-Methoxy-benzoic-acid-5-yl-, 5-Chloro-4-nitro-thiophene-2-yl-, 2,1,3-Benzothiadiazole-4-yl-, 1-Methyl-imidazole-4-yl-, Benzofurazan-4-yl-, 2-(Methoxycarbonyl)-thiophene-3-yl-, 5-(Isoxazol-3-yl)-thiophene-2-yl-, 2,4,5-Trifluoro-phenyl-, Biphenyl-4-yl-, Vinyl-phenyl-4-yl-, 2-Nitrobenzyl-, 5-Dichloro-methyl-furan-2-yl-, 5-Bromo-thiophene-2-yl-, 5-(4-Chlorobenzamidomethyl)-thiophene-2-yl-, 2,6-Difluoro-phenyl-, 2,5-Dimethoxy-4-nitro-phenyl-, Dibenzo[B,D]-furan-2-yl-, 2,3,4-Trifluoro-phenyl-, 3-Nitro-p-tolyl-, 4-Methoxy-2-nitro-phenyl-, 3,4-Difluoro-phenyl-, 4-(Bromoethyl)-phenyl-, 3,5-Dichloro-4-hydroxy-phenyl-, 4-n-Amyl-phenyl-, 5-Chloro-3-methylbenzo[B]-thiophene-2-yl-, 3-Methoxy-4-(methoxycarbonyl)-thiophene-2-yl-, 4-n-Butyl-phenyl-, 2-Chloro-4-cyano-phenyl-, 5-[2-(Methylthio)-pyrimidin-4-yl]-thiophene-2-yl-, 3,5-Dinitro-4-methoxy-

phenyl-, 4-Bromo-2-(trifluoromethoxy)-phenyl-, 4-Chloro-2,1,3-Benzoxadiazole-7-yl-, 2-(1-Naphthyl)-ethyl-, 3-Cyano-phenyl-, 5-Chloro-2,1,3-Benzoxadiazole-4-yl-, 3-Chloro-4-methyl-phenyl-, 4-Bromo-2-ethyl-phenyl-, 2,4-Dichloro-6-methyl-phenyl-, 6-Chloro-imidazo(2,1-B)-thiazole-5-yl-, 3-Methyl-benzo[B]-thiophene-2-yl-, 4-Methyl-sulphonyl-phenyl-, 2-Methyl-sulphonyl-phenyl-, 4-Bromo-2-methyl-phenyl-, 2,6-Dichloro-4-(trifluoromethyl)-phenyl-, 4-[[3-Chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-phenyl-, 5-Chloro-naphth-1-yl-, 5-Chloro-naphth-2-yl-, 9,10-Dibromoanthracene-2-yl-, Isoquinoline-5-yl-, 4-Methoxy-2,3,6-trimethyl-phenyl-, 4'-Nitro-biphenyl-4-yl-, [(4-Phenoxy)-phenyl-, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl)-4-phenyl-, 4-Acetyl-phenyl-, 5-(2-Methyl-1,3-thiazole-4-yl)-thiophene-2-yl-, 5-(1-Methyl-3-(trifluoromethyl)pyrazol-5-yl)-thiophene-2-yl-, 5-[5-Trifluoromethyl]-isoxazol-3-yl]-thiophene-2-yl-, 2-Iodo-phenyl-, p-Dodecyl-phenyl-, 4-[(3-Cyano-4-methoxy-2-pyridinyl)oxy]-phenyl-, 4-(N-phthalimidinyl)-phenyl-, 1,2,3,4-Tetrahydro-2-(trifluoroacetyl)-isoquinoline-7-yl-, 4-Bromo-2-fluoro-phenyl-, 2-Fluoro-5-(trifluoromethyl)-phenyl-, 4-Fluoro-2-(trifluoromethyl)-phenyl-, 4-Fluoro-3-(trifluoromethyl)-phenyl-, 2,4,6-Trifluoro-phenyl-, 3-(Trifluoromethoxy)-phenyl-, 1,2-Dimethylimidazole-4-yl-, Ethyl-4-Carboxylate-3-yl-, 2,2,4,6,7-Pentamethyldihydrobenzofuran-5-yl-, 3-Bromo-2-chloropyridine-5-yl-, 3-Methoxy-phenyl-, 2-Methoxy-4-methyl-phenyl-, 2-Chloro-4-fluorobenzoic-acid-5-yl-, 4-Chloro-naphth-1-yl-, 2,5-Dichloro-4-nitro-thiophene-3-yl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Chloro-phenoxy)-phenyl-, 4-(3,5-Dichloro-phenoxy)-phenyl-, 4-(3,4-Dichloro-phenoxy)-phenyl-, 4-(4-Fluoro-phenoxy)-phenyl-, 4-(4-Methyl-phenoxy)-phenyl-, 4-[4-(Trifluoromethyl)-phenoxy]-phenyl-, 4-[3,5-Bis-(trifluoromethyl)-phenoxy]-phenyl-, 3-(2-Methoxy-phenoxy)-phenyl-, [3-(2-Chloro-phenoxy)-phenyl-, 3-(2-Methyl-phenoxy)-phenyl-, 4-[2-(Trifluoromethyl)-phenoxy]-phenyl-, 3-Phenyl-phenyl-, 3-(4-Methoxy-phenyl)-phenyl-, 3-(4-Chloro-phenyl)-phenyl-, 3-(3,5-Dichloro-phenyl)-phenyl-, 3-(3,4-Dichloro-phenyl)-phenyl-, 3-(4-Fluorophenyl)-phenyl-, 3-(4-Methylphenyl)-phenyl-, 3-[4-(Trifluoromethyl)-phenyl]-phenyl-, 3-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, 4-(4-Pyridyloxy)-phenyl-, 4-(2-Methoxy-phenoxy)-phenyl-, 4-(2-Chloro-phenoxy)-phenyl-, 4-(2-Methyl-phenoxy)-phenyl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Chlorophenyl)-phenyl-, 4-(3,5-Dichlorophenyl)-phenyl-, 4-(3,4-Dichlorophenyl)-phenyl-, 4-(4-Fluorophenyl)-phenyl-, 4-(4-Methylphenyl)-phenyl-, 4-[4-(Trifluoromethyl)-phenyl]-phenyl-, 4-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, [3-(Trifluoromethyl)-phenyl]-methyl-, (4-Chlorophenyl)-methyl-, (3,5-Dichlorophenyl)-methyl-, (3,5-Dichlorophenyl)-methyl-, (4-Fluorophenyl)-methyl-, 4-

Methylphenylmethyl-, [4-(Trifluoromethyl)-phenyl]-methyl-, Cyclopropyl-, 2-(2-Chlorophenyl)-2-Phenylethyl-, 2-(2-Trifluoromethylphenyl)-2-phenylethyl-, 5-[4-Cyano-1-methyl-5-(methylthio)-1H-pyrazol-3-yl]-thiophene-2-yl-, 3-Cyano-2,4-bis-(2,2,2-Trifluoroethoxy)-phenyl-, 4-[(2-Chloro-1,3-Thiazol-5-yl)-methoxy]-phenyl-, 3-Nitro-phenylmethyl-, 4-Formylphenyl-, 2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethyl-, [3,5-Bis-(Trifluoromethyl)-phenyl]-methyl-, (4-(2-Pyridyloxy)-phenyl)-, (4-(3-Pyridyloxy)-phenyl)-, 5-Iodo-naphth-1-yl-, Ethyl-2,5-dimethyl-1-phenylpyrrole-4-carboxylate-3-yl-, Ethyl-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxylate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-3-furoate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-1-phenyl-3-carboxylate-4-yl-, Ethyl-2,5-dimethyl-3-furoate-4-yl-, 3-Chloro-4-(1,3-dioxo-2-Azaspiro[4,4]non-2-yl)-phenyl-, 5-Bromo-2,4-difluoro-phenyl-, 5-Chloro-2,4-difluorophenyl-, Coumarin-6-yl-, 2-Methoxy-phenyl-, (3-Phenoxy)-phenyl-, 3-(4-Methoxy-phenoxy)-phenyl-, 3-(4-Chlorophenoxy)-phenyl-, 3-(3,5-Dichlorophenoxy)-phenyl-, 3-(3,4-Dichlorophenoxy)-phenyl-, 3-(4-Fluorophenoxy)-phenyl-, 3-(4-Methylphenoxy)-phenyl-, 3-[4-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[3,5-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[2-(Trifluoromethyl)-phenoxy]-phenyl-, 2,2-Diphenylethyl-, 4-Phenyl-5-(trifluoromethyl)-thiophene-3-yl-, Methyl-4-Phenyl-5-(Trifluoromethyl)-thiophene-2-carboxylate-3-yl-, Methyl-1,2,5-trimethylpyrrole-3-Carboxylate-4-yl-, 4-Fluoro-naphth-1-yl-, 3,5-Difluorophenyl-, 3-Fluoro-4-methoxy-phenyl-, 4-Chloro-2,5-difluorophenyl-, 2-Chloro-4,5-difluoro-phenyl-, 5-Fluoro-3-methylbenzo[B]-thiophene-2-yl-, Methyl-3-phenylpropionate-4-yl-, Dihydrocinnamic Acid-4-yl-, Methyl-2,5-dimethyl-3-furoate-4-yl-, Methyl-2-furoate-5-yl-, Methyl-2-methyl-3-furoate-5-yl-, Methyl-1-methyl-1H-pyrrole-2-Carboxylate-5-yl-, 2-(5-Chloro-1,2,4-Thiadiazol-3-yl)-thiophene-5-yl-, 1,3,5-Trimethyl-1H-pyrazole-4-yl-, 3-Chloro-5-fluoro-2-methylphenyl-, Pentafluoroethoxytetrafluoroethyl-, 5-(5-Isoxazolyl)-thiophene-2-yl-, 5-(5-Isoxazol-yl)-2-furyl-, 5-Methyl-2,1,3-benzothiadiazole-4-yl-, Biphenyl-2-yl-, 2,3-Dihydro-1,4-benzodioxine-6-yl-, 4-Methyl-Naphth-1-yl-, 5-Methyl-2-(Trifluoromethyl)-3-Furyl-, 2,3-Dihydrobenzo[B]furan-5-yl-, 1-Benzothiophene-3-yl-, 4-Methyl-3,4-dihydro-2H-1,4-Benzoxazine-7-yl-, 5-Methyl-1-phenyl-1H-pyrazole-4-yl-, 6-Morpholino-3-Pyridinyl-, 4-(1H-Pyrazol-1-yl)-phenyl-, 6-Phenoxy-3-Pyridyl-, 3,4-Dihydro-2H-1,5-benzodioxepine-7-yl-, 5-(1,3-Oxazol-5-yl)-2-thienyl-, 4-(1,3-Oxazol-5-yl)-phenyl-, 5-Methyl-4-isoxazolyl-, 2,1,3-Benzothiadiazole-5-yl-, 3-Thienyl-, 2-Methyl-benzyl-, 3-Chloro-benzyl-, 5-Acetamido-naphth-1-yl-, 3-Methyl-8-Quinoliny-, 4-Chloro-2-nitrophenyl-, 6-Quinoliny-, 1,3-Benzothiazole-6-yl-, 2-Morpholino-3-Pyridyl-,

2,5-Dimethyl-3-thienyl-, 5-[5-(Chloromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl-, Ethyl-3-[5-yl-2-thienyl]-1,2,4-oxadiazole-5-carboxylate-, 3-(5-Methyl-1,3,4-oxadiazol-2-yl)-phenyl-, 4-Isopropoxyphenyl-, 2,4-Dibromophenyl-, 3-Cyano-4-fluorophenyl-, 2,5-Bis-(Trifluoromethyl)-phenyl-, 2-Bromo-4-fluorophenyl-, 4-Bromo-3-fluorophenyl-, 4-(Difluoromethoxy)-phenyl-, 3-(Difluoromethoxy)-phenyl-, 5-Chloro-2-fluoro-phenyl-, 3-Chloro-2-fluorophenyl-, 2-Fluoro-4-methylphenyl-, 4 Nitro-3-(trifluoromethyl)-phenyl-, 3-Fluoro-4-methylphenyl-, 4-Fluoro-2-methylphenyl-, 4-Bromo-3-(trifluoromethyl)-phenyl-, 4-Bromo-2-(trifluoromethyl)-phenyl-, 3-Bromo-5-(trifluoromethyl)-phenyl-, 2-Bromo-4-(trifluoromethyl)-phenyl-, 2-Bromo-5-(trifluoromethyl)-phenyl-, 2,4-Dichloro-5-fluorophenyl-, 4,5-Dichloro-2-fluorophenyl-, 3,4,5-Trifluorophenyl-, 4-Chloro-2-fluorophenyl-, 2-Bromo-4,6-Difluorophenyl-, 2-Ethylphenyl-, 4-Bromo-2-chlorophenyl-, 4-Bromo-2,6-dichlorophenyl-, 2-Bromo-4,6-dichloro-phenyl-, 4-Bromo-2,6-dimethylphenyl-, 3,5-Dimethylphenyl-, 4-Bromo-3-methylphenyl-, 2-Methoxy-4-nitrophenyl-, 2,2-Dimethyl-6-Chromanyl-, Ethyl-3,5-dimethyl-1H-pyrrole-2-carboxylate-4-yl-, Imidazo[1,2-A]pyridine-3-yl-, 3-(1,3-Oxazol-5-yl)-phenyl-, Ethyl-5-[4-yl)-phenyl]-2-methyl-3-furoate, Methyl-3-(yl)-4-methoxybenzoate, 1-Pyrrolidinylphenylsulfonyl-, Methyl-5-yl-4-methyl-2-thiophene-carboxylate, Methyl-3-yl-4-(isopropylsulfonyl)-2-thiophene, 2-Pyridyl-, 3-Fluoro-4-nitrophenyl-, 7-Chlorochromone-3-yl-, 4'-Bromobiphenyl-4-yl-, 4'-Acetyl-biphenyl-4-yl-, 4'-Bromo-2'-fluoro-biphenyl-4-yl-, 2-Chloro-4-(3-propyl-Ureido)-phenyl-, 3-(-Bromoacetyl)-phenyl-, 2-Bromo-3-(trifluoromethyl)-phenyl-, 1-Methyl-5-isatinyl-, 4-Isopropyl-benzoic-acid-3-yl-, 2-Chloro-3-thiophenecarboxylic-acid-5-yl-, 3-Pyridyl-, Cyclohexylmethyl-, 2-Methoxy-5-(N-phthalimidinyl)-phenyl-, 1-Benzothiophene-2-yl-, Morpholinophenylsulfonyl-, 3-(2-Methyl-4-pyrimidinyl)-phenyl-, and 2-Cyano-5-methylphenyl-,

and R^{1a} - R^{15a} have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, the use of compounds of general formula (Ia) is preferred, wherein R^{10a} represents hydrogen, an unbranched or branched, saturated or unsaturated,

optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical,

more preferably H, CH₃, C₂H₅ or phenyl,

and R^{1a}-R^{9a}, R^{12a}-R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Moreover, the use of compounds of general formula (Ia) is preferred, wherein R^{11a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a linear or branched C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl,

and R^{1a} - R^{10a} , R^{12a} - R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preference is also given to the use of compounds of general formula (Ia), wherein R^{12a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C_{1-6} -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a linear or branched C_{1-4} -alkyl radical, cyclohexyl or a phenyl radical,

more preferably H, CH_3 , C_2H_5 or phenyl,

and R^{1a} - R^{11a} , R^{13a} - R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ia), wherein R^{13a} and R^{14a} are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C_{3-8} -

cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably are each independently selected from the group consisting of H, a linear or branched C₁₋₄-alkyl radical, cyclohexyl and a phenyl radical,

more preferably are each independently selected from the group consisting of H, CH₃, C₂H₅ and phenyl,

and R^{1a}-R^{12a}, R^{15a}-R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, the use of compounds of general formula (Ia) is preferred, wherein R^{13a} and R^{14a} together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

preferably form an unsubstituted piperidin or morpholine group,

and R^{1a}-R^{12a}, R^{15a}-R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (Ia), wherein R^{15a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least

mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a linear or branched C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical,

more preferably represents H, CH₃, C₂H₅ or phenyl,

and R^{1a}-R^{14a}, R^{16a} and R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ia), wherein R^{16a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆ aliphatic radical,

preferably an unbranched or branched, saturated, unsubstituted C₁₋₃ alkyl radical,

more preferably a methyl radical,

and R^{1a}-R^{15a}, R^{17a}, R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ia), wherein R^{17a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C_{1-6} aliphatic radical,

preferably an unbranched or branched, saturated, unsubstituted C_{1-3} alkyl radical,

more preferably a methyl radical,

and R^{1a} - R^{16a} , R^{18a} and W^a have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Particularly preferred is the use of one or more benzoxazinone-derived sulfonamide compounds of general formula (I) of the previously mentioned list A.

More particularly preferred is the use of one or more benzoxazinone-derived sulfonamide compound of general formula (I) selected from the group consisting of:

1-[1-(Naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-(1-Phenylsulfonyl-piperidine-4-yl)-1,4-dihydro-benzo[d][1,3]-oxazin-2-one,

1-[1-(5-Chloro-3-methyl-benzo[b]thiophenyl-2-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

8-Methyl-1-[1-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(Quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

8-Methyl-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one,

1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one,

1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one, and

corresponding salts thereof, or corresponding solvates thereof.

The compounds of general formula (Ia), their stereoisomers, corresponding salts and corresponding solvents may be obtained analogously by the methods described above for compounds of general formula (I).

The medicament according to the present invention may be in any form suitable for the application to humans and/or animals, particularly mammals, including humans, and can be produced by standard procedures known to those skilled in the art. The composition of the medicament may vary depending on the route of administration.

The medicament of the present invention may for example be administered parentally in combination with conventional injectable liquid carriers, such as water or suitable alcohols. Conventional pharmaceutical adjuvants for injection, such as stabilizing agents, solubilizing agents, and buffers, may be included in such injectable compositions. These medicaments may for example be injected intramuscularly, intraperitoneally, or intravenously.

The preparation of corresponding pharmaceutical compositions as well as of the formulated medicaments may be carried out by conventional methods known to those skilled in the art, e. g. from the tables of contents from "Pharmaceutics : the

Science of Dosage Forms", Second Edition, Aulton, M. E. (Ed.) Churchill Livingstone, Edinburgh (2002) ;"Encyclopedia of Pharmaceutical Technology", Second Edition, Swarbrick, J. and Boylan J. C. (Eds.), Marcel Dekker, Inc. New York (2002) ;"Modern Pharmaceutics", Fourth Edition, Banker G. S. and Rhodes C. T. (Eds.) Marcel Dekker, Inc. New York 2002 and, The Theory and Practice of Industrial Pharmacy", Lachman L., Lieberman H. and Kanig J. (Eds.), Lea & Febiger, Philadelphia (1986). The respective literature descriptions are incorporated by reference and are part of the disclosure.

The pharmaceutical compositions as well as the formulated medicaments prepared according to the present invention may in addition to at least one compound of general formula (I) or (Ia), optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate, comprise further conventional auxiliary substances known to those skilled in the art, such as carriers, fillers, solvents, diluents, colouring agents, coating agents, matrix agents and/or binders. As is also known to those skilled in the art, the choice of the auxiliary substances and the amounts thereof to be used are dependent on the intended route of administration, e. g. rectal, intravenous, intraperitoneal, intramuscular, intranasal, buccal or topical route.

Medicaments suitable for oral administration are for example, tablets, sugar-coated pills, capsules or multiparticulates, such as granules or pellets, optionally compressed into tablets, filled into capsules or suspended in a suitable liquid, solutions or suspensions.

Medicaments suitable for parenteral, topical or inhalator administration may preferably be selected from the group consisting of solutions, suspensions, readily reconstitutable dry preparations and also sprays.

Suitable medicaments, e. g. medicaments for oral or percutaneous use may release the compounds of general formula (I) whereby the preparation of these delayed release medicaments is generally known to those skilled in the art.

Suitable delayed-release forms as well as materials and methods for their preparation are known to those skilled in the art, e. g. from the tables of contents from, "Modified- Release Drug Delivery Technology", Rathbone, M. J. Hadgraft, J. and Roberts, M. S. (Eds.), Marcel Dekker, Inc., New York (2002) ; "Handbook of Pharmaceutical Controlled Release Technology", Wise, D.L. (Ed.), Marcel Dekker, Inc. New York, (2000) ; "Controlled Drug Delivery", Vol. I, Basic Concepts, Bruck, S. D. (Ed.), CRC Press Inc., Boca Raton (1983) and from Takada, K. and Yoshikawa, H., "Oral Drug delivery", Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 728-742 ; Fix, J., "Oral drug delivery, small intestine and colon", Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 698-728. The respective descriptions are incorporated by reference and are part of the disclosure.

The medicament of the present invention may also have at least one enteric coating which dissolves as a function of pH. Because of this coating, the medicament can pass through the stomach undissolved and the compounds of general formula (I) are only released in the intestinal tract. The enteric coating preferably dissolves at a pH of between 5 and 7.5. Suitable materials and methods for the preparation of enteric coatings are also known to those skilled in the art.

The compositions of the present invention may also be administered topically or via a suppository.

The above mentioned compositions include preferably 1 to 60 % by weight of one or more of the benzoxazinone-derived sulphonamide compounds of general formulas (I) or (Ia), optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, respectively, and 40 to 99 % by weight of the appropriate pharmaceutical vehicle(s).

The daily dosage for humans and animals may vary depending on factors that have their basis in the respective species or other factors, such as age, sex, weight or

degree of illness and so forth. The daily dosage for humans usually ranges from 1 to 2000, preferably 1 to 1500, more preferably 1 to 1000 milligrams of substance to be administered during one or several intakes.

Pharmacological Methods:

BINDING TO SEROTONIN RECEPTOR 5HT₆

Cell membranes of HEK-293 cells expressing the 5HT₆-human recombinant receptor were supplied by Receptor Biology. In said membranes the receptor concentration is 2.18 pmol/mg protein and the protein concentration is 9.17 mg/ml. The experimental protocol follows the method of B. L. Roth et al. [B. L. Roth, S. C. Craig, M. S. Choudhary, A. Uluer, F. J. Monsma, Y. Shen, H. Y. Meltzer, D. R. Sibley: Binding of Typical and Atypical Antipsychotic Agents to 5-Hydroxytryptamine-6 and Hydroxytryptamine-7 Receptors. *The Journal of Pharmacology and Experimental Therapeutics*, 1994, 268, 1403] with the following slight changes. The respective part of the literature description is hereby incorporated by reference and forms part of the disclosure. The commercial membrane is diluted (1:40 dilution) with the binding buffer: 50 mM Tris-HCl, 10 mM MgCl₂, 0.5 mM EDTA (pH 7.4). The radioligand used is [³H]-LSD at a concentration of 2.7 nM with a final volume of 200 µl. incubation is initiated by adding 100 µl of membrane suspension, (≈ 22.9 µg membrane protein), and is prolonged for 60 minutes at a temperature of 37 °C. The incubation is ended by fast filtration in a Brandel Cell Harvester through fiber glass filters made by Schleicher & Schuell GF 3362 pretreated with a solution of polyethylenimine at 0.5 %. The filters are washed three times with three milliliters of buffer Tris-HCl 50 mM pH 7.4. The filters are transferred to flasks and 5 ml of Ecoscint H liquid scintillation cocktail are added to each flask. The flasks are allowed to reach equilibrium for several hours before counting with a Wallac Winspectral 1414 scintillation counter. Non-specific binding is determined in the presence of 100 µM of serotonin. Tests were made in triplicate. The inhibition constants (K_i, nM) were calculated by non-linear regression analysis using the program EBDA/LIGAND described in Munson and Rodbard, *Analytical Biochemistry*, 1980, 107, 220, which is hereby incorporated by reference and forms part of the disclosure.

FOOD INTAKE MEASUREMENT (BEHAVIOURAL MODEL):

Male W rats (200-270 g) obtained from Harlan, S.A. are used. The animals are acclimatized to the animal facility for at least 5 days before they are subjected to any treatment. During this period the animals are housed (in groups of five) in translucent cages and provided with food and water *ad libitum*. At least 24 hours before the treatment starts, the animals are adapted to single-housing conditions.

The acute effect of the inventively used sulphonamide derivatives of general formula (I) on food intake in fasted rats is then determined as follows:

The rats were fasted for 23 hours in their single homecages. After this period, the rats are orally or intraperitoneally dosed with a composition comprising a sulphonamide derivative of general formula (I) or a corresponding composition (vehicle) without said sulphonamide derivative. Immediately afterwards, the rat is left with preweighed food and cumulative food intake is measured after 1, 2, 4 and 6 hours.

Said method of measuring food intake is also described in the literature publications of Kask et al., *European Journal of Pharmacology* 414 (2001), 215-224 and of Turnbull et al., *Diabetes*, Vol. 51, August 2002. The respective parts of the descriptions are hereby incorporated by reference and form part of the disclosure.

The present invention is illustrated below with the aid of examples. These illustrations are given solely by way of example and do not limit the general spirit of the present invention.

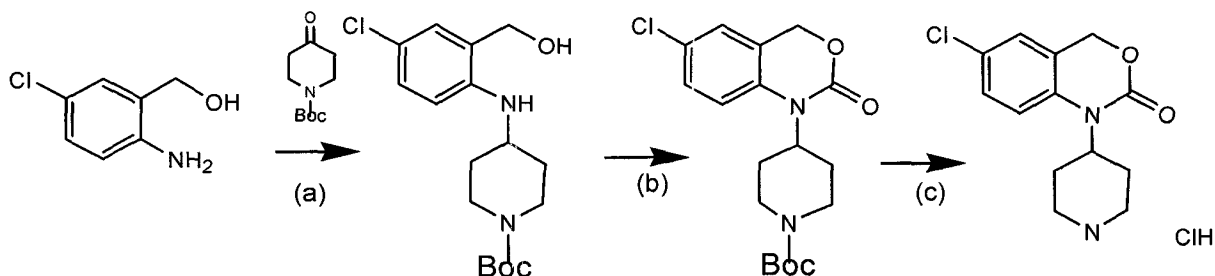
Examples:

The intermediates of general formulas (II) and (III) were prepared by means of conventional organic chemistry methods known to those skilled in the art. The following example A shows the preparation of an intermediate of general formula (II):

Example A:

Synthesis of an intermediate compound of general formula (II)

Preparation of 6-Chloro-1-(piperidine-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride



a) 1-(*tert*-Butyloxycarbonyl)-4-[4-chloro-(2-hydroxymethylphenylamine)] piperidine

A solution of 1-(*tert*-butyloxycarbonyl)-4-piperidinone (20 g, 0.10 mol), 2-amino-5-chlorobenzyl alcohol (17.34 g, 0.11 mol) and acetic acid (14 mL, 0.22 mol) in dry toluene (500 mL) was heated at reflux temperature, with water elimination by means of azeotrope distillation with Dean-Stark, for 6 hours. The mixture was then cooled and vacuum concentrated up to half volume. NaBH₃CN (20 g, 0.32 mol) and dry THF (300 mL) were added to the resulting solution. Acetic acid (10 mL, 0.17 mol) was then dripped for one hour. The reaction was stirred at room temperature for 24 hours. The mixture was vacuum concentrated and the residue was dissolved in ethyl acetate (750 mL), washed with a NaHCO₃-saturated solution (4 x 250 mL) and a NaCl-saturated solution (250 mL), dried and evaporated to dryness. The residue was purified by means of flash chromatography eluting with a mixture of ethyl acetate: petroleum ether (1:3). The desired product was thus obtained as an oil (32.7 g, 96%).

¹H NMR (CDCl₃): 1.32 (d, *J*=11.2 Hz, 2H), 1.41 (s, 9H), 1.92 (d, *J*=11.2 Hz, 2H), 2.92 (t, *J*=12.0 Hz, 1H), 3.10 (s, 1H), 3.37 (m, 1H), 3.88 (d, *J*= 13.7 Hz, 2H), 4.49 (s, 2H), 4.75 (s, 1H), 6.52 (d, *J*= 8.6 Hz, 1H), 6.96 (s, 1H), 7.07 (d, *J*= 8.6 Hz, 1H).

b.) 1-(1-*tert*-Butyloxycarbonyl-4-piperidiny)-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one

N, N-diisopropylethylamine (DIEA) (43 mL, 0.25 mol) and triphosgene (8.65 g, 29.2 mmol) were added to a solution of 1-(*tert*-Butyloxycarbonyl)-4-[(4-chloro-(2-hydroxymethyl) phenyl-amino)]piperidine (27.0 g, 79 mmol) in dry THF (250 mL) cooled at 0°C. The reaction was stirred at 0°C for 1 h and at room temperature for 72 h. Ethyl ether was added and the mixture was cooled at 0°C for 3 h and the DIEA hydrochloride was then filtered. The filtered solution was evaporated to dryness and the residue was dissolved in ethyl acetate (750 mL), washed with 5% solution of citric acid (2 x 500 mL), water (250 mL) and NaHCO₃-saturated solution (2 x 500 mL). The ethyl acetate solution was dried (MgSO₄), filtered and evaporated under reduced pressure. The residue was brought to the boil with ethyl ether until the whole solid was dissolved and then cooled overnight to yield the desired compound in crystalline form (28.9 g, 67%).

Melting point: 177-179 °C

¹H NMR (CDCl₃): 1.46 (s, 9H), 1.79 (d, *J*= 10.1 Hz, 1H), 2.54 (m, 2H), 2.78 (m, 2H), 3.96 (m, 1H), 4.28 (m, 2H), 5.02 (s, 2H), 6.98 (d, *J*= 8.7 Hz, 1H) 7.13 (d, *J*= 2.4 Hz, 1H), 7.28 (dd, *J*= 8.7 Hz, *J*= 2.4 Hz, 1H).

c.) 6-chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride

A solution of 1-[(1-*tert*-Butyloxycarbonyl)-4-piperidiny]-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one (24 g, 65 mmol) in ethyl acetate (500 mL) was cooled at 0°C. A 5 M solution of hydrogen chloride in ethyl ether (500 mL) was then added and the resulting mixture was stirred at 0°C for 4 h. The precipitate formed was collected by filtration, washed with ether and vacuum dried to yield the desired product as a solid (16.95 g, 97%).

Melting point: 254-257 °C

¹H NMR (CD₃OD): 2.13 (d, J= 12.2 Hz, 2H), 2.88 (m, 2H), 3.20 (m, 2H), 3.53 (d, J= 12.8 Hz, 2H), 4.24 (m, 1H), 5.16 (s, 2H), 7.31 (m, 2H), 7.41 (dd, J= 8.8 Hz, J= 2.6 Hz, 1H).

Several substituted 3,1-benzoxazin-2-one compounds were prepared via the respectively substituted benzyl alcohols by reducing the respectively substituted anthranilic acids with lithium aluminium hydride and other known reducing agents by methods well known to those skilled in the art (see scheme 1), e.g. por ejemplo 6-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methoxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-methoxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-chloro-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-chloro-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-chloro-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and others. The reaction of the respective 5-methoxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methoxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 6-methoxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one compounds according to conventional methods, e.g. BBr₃ in an inert organic solvent yields the respective 5-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 6-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one compounds. The unsubstituted benzoxazin-2-one 1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one is prepared according the method described in J. Med. Chem. 1995, 38, 4634 and J. Med. Chem. 1998, 41, 2146, which are hereby incorporated by reference and form part of the disclosure.

The substituted anthranilic acids were reduced by conventional methods known to those skilled in the art, e.g. by the use of LiAlH₄ as reducing agent in anhydrous THF under an inert-gas atmosphere, e.g. argon or nitrogen. This process is very efficient

and in most cases the respective 2-aminobenzylalcohols are obtained in very good yields.

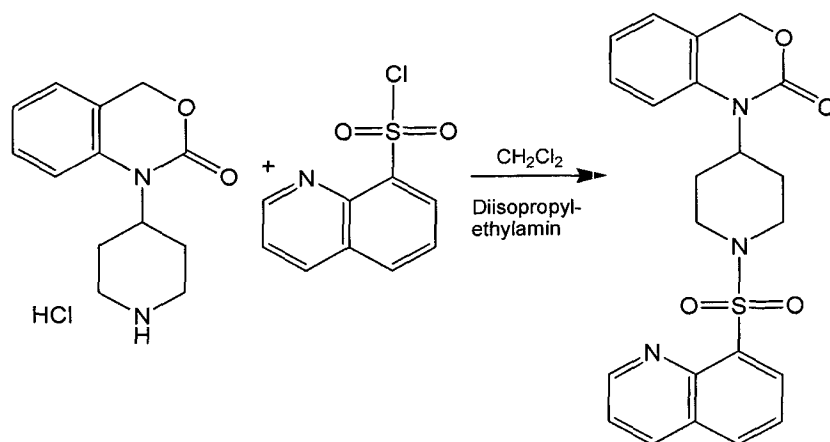
General instruction for the reduction of substituted anthranilic acids:

To a three neck flask, equipped with a mechanical stirrer and an inlet for gaseous nitrogen, 100 mL anhydrous THF and 116,6 mmoles of LiAlH_4 were given and the resulting suspension cooled to 0 °C. After the addition of 58,3 mmoles of the respective substituted anthranilic acid in 150 mL anhydrous THF, the resulting reaction mixture is warmed to room temperature and stirred for about an hour. Under cooling to 0° C 4,7 mL water, 4,7 mL NaOH 15 wt.-%, and finally 14 mL water are carefully added to the mixture. The resulting suspension is filtered and washed with ethylacetate.

The organic phase is washed with water, dried and the solvent evaporated. In most cases the resulting product may be used without further purification.

Example 5:

Preparation of 1-[1-quinoline-8-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



150 mg (0,66 mmol) quinoline-8-sulfonyl chloride are added to a mixture of 1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride (161 mg, 0,60 mmol) and diisopropylethylamine (230 mg, 1,80 mmol) in dichloromethane (10 ml) and the resulting reaction mixture was stirred overnight at room temperature. The reaction

mixture was then washed with water (3 x 15 mL) and the organic phase was separated, dried and evaporated to dryness. A solid was obtained, which was recrystallized from ethanol. 182 mg of 1-[1-quinoline-8-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one were obtained as a white solid (yield 69 %).

IR (cm⁻¹) KBr: 1712, 1337, 1291, 1205, 1162, 1144, 1034, 717, 583

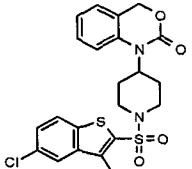
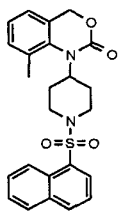
¹H-NMR(δ in ppm): 1.8 (d, J=9.5 Hz, 2 H) 2.6 (qd, J=12.6, 4.4 Hz, 2 H) 3.0 (td, J=12.8, 2.5 Hz, 2 H) 4.1 (tt, J=12.5, 3.8 Hz, 1 H) 4.3 (ddd, J=13.0, 2.3 Hz, 2 H) 5.0 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 1 H) 7.6 (dd, J=8.4, 4.2 Hz, 1 H) 7.6 (m, 1 H) 8.1 (dd, J=8.2, 1.3 Hz, 1 H) 8.3 (dd, J=8.3, 1.7 Hz, 1 H) 8.5 (dd, J=7.3, 1.5 Hz, 1 H) 9.1 (dd, J=4.2, 1.8 Hz, 1 H) (CDCl₃-d).

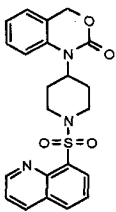
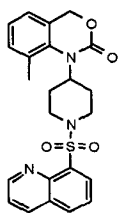
Melting point: 170-172 °C.

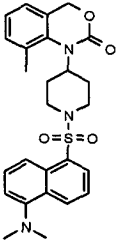
The compounds according to examples 1-4 and 6-10 given in the following table I were prepared analogously to the methods described above:

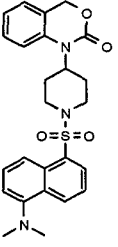
Table 1:

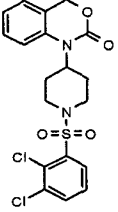
Ex. 1	<div data-bbox="235 1073 354 1283"> </div> <div data-bbox="532 1066 1373 1224"> <p>¹H-NMR: 1.8 (d, J=10.5 Hz, 2 H) 2.4 (m, 2 H) 2.7 (t, J=11.6 Hz, 2 H) 3.9 (m, 3 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.2 (m, 2 H) 7.7 (m, 3 H) 8.1 (d, J=8.1 Hz, 1 H) 8.2 (d, J=7.8 Hz, 1 H) 8.3 (d, J=8.1 Hz, 1 H) 8.7 (d, J=8.3 Hz, 1 H) (DMSO-d₆)</p> </div> <div data-bbox="532 1255 1373 1325"> <p>IR (KBr) 1709, 1498, 1353, 1162, 1034, 770, 718, 579</p> </div> <div data-bbox="532 1335 1373 1371"> <p>Melting point: 147-149°C</p> </div>
Ex. 2	<div data-bbox="235 1493 354 1703"> </div> <div data-bbox="532 1486 1373 1675"> <p>¹H-NMR: 1.9 (dd, J=12.1, 2.1 Hz, 2 H) 2.4 (td, J=12.2, 2.4 Hz, 2 H) 2.7 (qd, J=12.6, 4.3 Hz, 2 H) 3.9 (tt, J=12.3, 3.9 Hz, 1 H) 4.0 (dt, J=11.9, 2.1 Hz, 2 H) 5.0 (s, 2 H) 7.0 (d, J=8.3 Hz, 1 H) 7.0 (t, J=7.3 Hz, 1 H) 7.1 (m, 1 H) 7.3 (m, 1 H) 7.6 (m, 3 H) 7.8 (m, 2 H) (CDCl₃-d)</p> </div> <div data-bbox="532 1686 1373 1755"> <p>IR (KBr) 1705, 1497, 1340, 1293, 1205, 1160, 736, 691, 576</p> </div> <div data-bbox="532 1766 1373 1801"> <p>Melting point: 172-174°C</p> </div>
Ex. 3	1-[1-(5-chloro-3-methyl-benzo[b]tiophene-2-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one

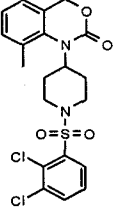
		¹H-NMR: 1.8 (d, <i>J</i> =10.8 Hz, 2 H) 2.5 (m, 2 H) 2.7 (s, 3 H) 2.8 (t, <i>J</i> =11.4 Hz, 2 H) 3.8 (d, <i>J</i> =11.4 Hz, 2 H) 3.9 (m, 1 H) 5.1 (s, 2 H) 7.0 (t, <i>J</i> =7.2 Hz, 1 H) 7.2 (d, <i>J</i> =8.1 Hz, 1 H) 7.2 (m, 2 H) 7.6 (dd, <i>J</i> =8.6, 2.0 Hz, 1 H) 8.1 (d, <i>J</i> =2.0 Hz, 1 H) 8.2 (d, <i>J</i> =8.6 Hz, 1 H) (DMSO- <i>d</i> ₆)
		IR (KBr) 1717, 1358, 1248, 1201, 1160, 1035, 712, 554
		Melting point: 204-206°C
Ex. 4	8-Methyl-1-[1-(naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		¹H-NMR: 1.9 (d, <i>J</i> =12.5 Hz, 2 H) 2.3 (s, 3 H) 2.7 (m, 4 H) 3.3 (m, 1 H) 4.0 (d, <i>J</i> =11.2 Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.1 (d, <i>J</i> =7.0 Hz, 1 H) 7.6 (m, 3 H) 7.9 (m, 1 H) 8.1 (d, <i>J</i> =8.2 Hz, 1 H) 8.2 (dd, <i>J</i> =7.3, 1.1 Hz, 1 H) 8.7 (d, <i>J</i> =8.8 Hz, 1 H) (CDCl ₃ - <i>d</i>)
		IR (KBr) 1712, 1316, 1279, 1222, 1160, 1135, 1025, 768, 607
		Melting point: 203-204°C

Ex. 5	1-[1-(Quinoliny-8-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		¹H-NMR: 1.8 (d, <i>J</i> =9.5 Hz, 2 H) 2.6 (qd, <i>J</i> =12.6, 4.4 Hz, 2 H) 3.0 (td, <i>J</i> =12.8, 2.5 Hz, 2 H) 4.1 (tt, <i>J</i> =12.5, 3.8 Hz, 1 H) 4.3 (ddd, <i>J</i> =13.0, 2.3 Hz, 2 H) 5.0 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 1 H) 7.6 (dd, <i>J</i> =8.4, 4.2 Hz, 1 H) 7.6 (m, 1 H) 8.1 (dd, <i>J</i> =8.2, 1.3 Hz, 1 H) 8.3 (dd, <i>J</i> =8.3, 1.7 Hz, 1 H) 8.5 (dd, <i>J</i> =7.3, 1.5 Hz, 1 H) 9.1 (dd, <i>J</i> =4.2, 1.8 Hz, 1 H) (CDCl ₃ - <i>d</i>)
		IR (KBr) 1712, 1337, 1291, 1205, 1162, 1144, 1034, 717, 583
		Melting point: 170-172°C
Ex. 6	8-Methyl-1-[1-(quinoliny-8-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		¹H-NMR: 1.9 (d, <i>J</i> =12.6 Hz, 2 H) 2.3 (s, 3 H) 2.7 (qd, <i>J</i> =12.2, 3.9 Hz, 2 H) 2.9 (m, 2 H) 3.3 (tt, <i>J</i> =11.7, 3.4 Hz, 1 H) 4.3 (d, <i>J</i> =12.8 Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.1 (d, <i>J</i> =7.3 Hz, 1 H) 7.5 (dd, <i>J</i> =8.3, 4.1 Hz, 1 H) 7.6 (m, 1 H) 8.0 (dd, <i>J</i> =8.2, 1.3 Hz, 1 H) 8.2 (dd, <i>J</i> =8.3, 1.7 Hz, 1 H) 8.5 (dd, <i>J</i> =7.3, 1.5 Hz, 1 H) 9.1 (dd, <i>J</i> =4.2, 1.8 Hz, 1 H) (CDCl ₃ - <i>d</i>)
		IR (KBr) 1702, 1329, 1284, 1218, 1024, 785, 701, 582
		Melting point: 202-206°C

Ex. 7	1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-8-Methyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		<p>¹H-NMR: 1.9 (d, <i>J</i>=11.9 Hz, 2 H) 2.3 (s, 3 H) 2.7 (m, 4 H) 2.9 (s, 6 H) 3.3 (m, 1 H) 4.0 (d, <i>J</i>=9.9 Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.2 (m, <i>J</i>=7.3 Hz, 2 H) 7.5 (m, 2 H) 8.2 (dd, <i>J</i>=7.3, 1.1 Hz, 1 H) 8.4 (d, <i>J</i>=8.6 Hz, 1 H) 8.6 (d, <i>J</i>=8.4 Hz, 1 H) (CDCl₃-d)</p>
	<p>IR (KBr) 2981, 1711, 1336, 1221, 1149, 1025, 794, 709, 571</p> <p>Melting point: 202-203°C</p>	

Ex. 8	1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		<p>¹H-NMR 1.8 (dd, <i>J</i>=12.3, 3.5 Hz, 2 H) 2.7 (m, 4 H) 2.9 (s, 6 H) 4.0 (m, 3 H) 5.0 (s, 2 H) 6.9 (d, <i>J</i>=8.2 Hz, 1 H) 7.1 (m, 2 H) 7.3 (m, 2 H) 7.6 (td, <i>J</i>=8.9, 7.4 Hz, 2 H) 8.3 (dd, <i>J</i>=7.3, 1.3 Hz, 1 H) 8.4 (d, <i>J</i>=8.8 Hz, 1 H) 8.6 (d, <i>J</i>=8.2 Hz, 1 H) (CDCl₃-d)</p>
	<p>IR (KBr) 2935, 1720, 1319, 1242, 1144, 920, 791, 755, 642</p> <p>Melting point: 182-186°C</p>	

Ex. 9	1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		<p>¹H-NMR 1.9 (d, <i>J</i>=10.1 Hz, 2 H) 2.7 (qd, <i>J</i>=12.6, 4.2 Hz, 2 H) 3.0 (td, <i>J</i>=12.7, 2.3 Hz, 2 H) 4.1 (m, 3 H) 5.1 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 2 H) 7.7 (dd, <i>J</i>=8.0, 1.6 Hz, 1 H) 8.0 (dd, <i>J</i>=8.0, 1.6 Hz, 1 H) (CDCl₃-d)</p>
	<p>IR (KBr) 1697, 1395, 1244, 1165, 1045, 942, 710, 582</p> <p>Melting point: 185-187 °C</p>	

Ex. 10	1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl]-8-Methyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		<p>¹H-NMR: 2.0 (d, <i>J</i>=11.5 Hz, 2 H) 2.4 (s, 3 H) 2.8 (m, 4 H) 3.4 (m, 1 H) 4.0 (d, <i>J</i>=9.9 Hz, 2 H) 5.0 (s, 2 H) 7.0 (m, 2 H) 7.2 (d, <i>J</i>=7.7 Hz, 1 H) 7.3 (t, <i>J</i>=8.0 Hz, 1 H) 7.7 (dd, <i>J</i>=8.1, 1.5 Hz, 1 H) 8.0 (dd, <i>J</i>=8.0, 1.6 Hz, 1 H) (CDCl₃-d)</p>
	<p>IR (KBr) 1705, 1404, 1339, 1224, 1149, 939</p> <p>Melting point: 184-185°C</p>	

Pharmacological data:

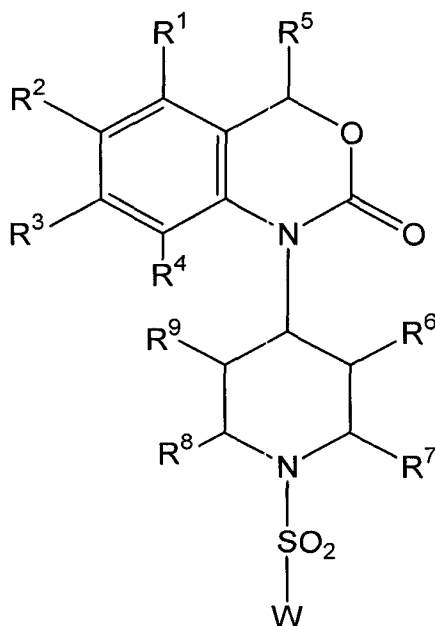
The binding of the benzoxazinone derived sulphonamide compounds of general formulas (I) and (Ia) was determined as described above.

The binding results of some these compounds are given in the following table 2:

Table 2:		
Compound according to example:	% Inhibition 10^{-6} M	K_i (nM)
1	98.1 ± 4.0	51.7
3		107.4
4		246
5		152
6		165.9
7	88	
8	68	

Claims:

1. Benzoxazinone-derived sulfonamide compounds of general formula (I)



(I),

wherein

R¹, R², R³, R⁴ are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, -OR¹⁰, -OC(=O)R¹¹, -SR¹², -SOR¹², -SO₂R¹², -NH-SO₂R¹², -SO₂NH₂ and a -NR¹³R¹⁴ moiety,

R⁵ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical,

R⁶, R⁷, R⁸, R⁹ are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano group and a COOR¹⁵ moiety,

W represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

an optionally at least mono-substituted heteroaryl radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

an optionally at least mono-substituted, monocyclic aryl radical, which is condensed with an optionally at least mono-substituted mono- or polycyclic ring-system and which may be bonded via an optionally at least mono-substituted alkylene group,

a NR¹⁶R¹⁷-moiety,

a COR¹⁸-moiety,

or a phenyl radical, which is at least mono-substituted with one of the substituents selected from the group consisting of:

2,2,2-Trifluoroethoxy-, C₂₋₆-Alkenyl-, 1,3-Dihydro-1-oxo-2H-isoindol-2-yl-, N-Phthalimidinyl-, [(2-chloro-1,3-thiazolyl-5-yl)-methoxy, Ethyl-5-yl-2-methyl-3-furoate, C₁₁₋₂₀-alkyl-, 1,3-Dioxo-2-azaspiro[4,4]non-2-yl-, pyrazolyl-, (1,3-oxazol-5-yl)-, (5-Methyl-1,3,4-oxadiazol-2-yl)-, difluoromethoxy, dichloromethoxy, 1-pyrrolidinylsulfonyl, morpholinosulfonyl, 2-methyl-4-pyrimidinyl-, a phenoxy group, which is at least mono-substituted with C₁₋₅-alkoxy, a phenyl group, which is at least mono-substituted with one of the substituents selected from the group consisting of nitro, C₁₋₅-alkoxy, F, Cl, Br, at least partially fluorinated C₁₋₅-alkyl, at least partially chlorinated C₁₋₅-alkyl, [(2-Chloro-1,3-thiazol-5-yl)-methoxy]-, and -(C=O)-C₁₋₅-alkyl, a pyridinyl group, which is at least mono-substituted with C₁₋₅-alkoxy, a phenoxy group, which is at least di-substituted and a pyridinyloxy group, which is at least di-substituted,

with the proviso that W does not represent unsubstituted furyl-, unsubstituted thienyl- or thienyl substituted with a substituent selected from the group consisting of C₁₋₅-alkoxycarbonyl, C₁₋₅-alkylcarbonyl, carboxyl and pyridyl, unsubstituted pyrrolyl-, unsubstituted naphthyl, unsubstituted indolyl, unsubstituted tetrahydronaphthyl, substituted or unsubstituted pyridyl, unsubstituted pyrazinyl, unsubstituted quinolinyl-, C₁₋₅-alkylsubstituted pyrrolyl-, and unsubstituted or cyclohexyl substituted with one or two members selected from the group consisting of oxo, hydroxyl, C₁₋₅-alkoxyl, C₁₋₅-alkoxy-carbonylamino-C₁₋₅ alkyl and amino-C₁₋₅ alkyl,

R¹⁰ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted

alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{11} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{12} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{13} and R^{14} each are independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may

be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or R¹³ and R¹⁴ together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

R¹⁵ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R¹⁶ represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, and

R¹⁷ represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

R¹⁸ represents an optionally at least mono-substituted aryl radical,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding salt thereof, or a corresponding solvate.

2. Compounds according to claim 1, characterized in that R¹, R², R³, R⁴ are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally

at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, -OR¹⁰, -OC(=O)R¹¹, -SR¹², -SOR¹², -SO₂R¹², -NH-SO₂R¹², -SO₂NH₂ and a NR¹³R¹⁴ moiety, preferably selected from the group consisting of H, F, Cl, Br, a saturated, branched or unbranched, optionally at least mono-substituted C₁₋₃-aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₅- or C₆- cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁- or C₂-alkylene group, a nitro, cyano, -OR¹⁰, -OC(=O)R¹¹, -SR¹² and -NR¹³R¹⁴ moiety, more preferably selected from the group consisting of H, F, Cl, CH₃, CH₂CH₃, CF₃, CF₂CF₃, cyclopentyl, cyclohexyl, nitro, cyano and -OR¹⁰.

3. Compounds according to claim 1 or 2, characterized in that R⁵ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, preferably represents H or a branched or unbranched C₁₋₃-alkyl radical, more preferably represents H, CH₃ or CH₂CH₃.
4. Compounds according to any one of claims 1 to 3, characterized in that R⁶, R⁷, R⁸, R⁹ are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, a cyano and COOR¹⁵ moiety, preferably selected from the group consisting of H, a branched or unbranched C₁₋₃-alkyl radical, a cyano and a COOR¹⁵ group, more preferably from the group consisting of H, CH₃, CH₂CH₃ and a cyano moiety.

5. Compounds according to any one of claims 1 to 4, characterized in that W represents unbranched or branched, optionally at least mono-substituted C₁₁₋₂₀-alkyl radical, a naphthyl group, which is at least mono substituted, a quinolinyl group, which is at least mono-substituted, a pyrrolyl group, which is at least mono-substituted, an optionally at least mono-substituted thiazolyl-, benzo[b]-thiophenyl-, benzo[b]-furanyl-, isoquinolinyl-, tetrahydroisoquinolinyl-, pyrazolyl-, isoazolyl-, chromanyl-, benzothiadiazolyl-, imidazolyl-, benzofurazanyl-, dibenzo[B,D]-furanyl-, benzoxadiazolyl-, imidazo[2,1-B]-thiazolyl-, anthracenyl-, coumarinyl-, 2,3-Dihydro-1,4-benzodioxinyl-, 2,3-Dihydrobenzo[B]furanyl-, 3,4-Dihydro-2H-1,4-Benzoxazinyl-, 3,4-Dihydro-2H-1,5-Benzodioxepinyl-, Benzothiazolyl-, Imidazo[1,2-A]-pyridinyl-, a chromonyl-group, an isatinyl group, a pentamethyldihydrobenzofuranyl group, an optionally at least mono-substituted cyclopropyl- or cyclopentyl-group, a 2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethyl, a thienyl group, which is at least mono-substituted by one or more substituents independently selected from the group consisting of F, Cl, Br, C₁₋₅-alkoxy-, CF₃, -(C=O)-O-C₁₋₅-alkyl, -SO₂-C₁₋₅-alkyl and an optionally at least mono substituted benzoylaminomethyl group, a phenylsulfonyl group, an isoxazolyl group, a benzamidomethyl group, a pyrimidyl group, a thiazolyl group, a pyrazolyl group, a phenyl group, a 1,2,4-thiadiazolyl group, a 1,3-oxazolyl and 1,2,4-oxadiazolyl, a furyl group, which is at least mono-substituted by one or more substituents independently selected from the group consisting of a C₁₋₅-alkyl radical, which may be at least partially fluorinated or chlorinated, an optionally substituted phenyl and a -(C=O)-O-C₁₋₅-alkyl group,

a NR¹⁶R¹⁷-moiety,

a COR¹⁸-moiety,

or a phenyl radical, which is at least mono-substituted with one of the substituents selected from the group consisting of:

2,2,2,-Trifluoroethoxy-, C₂₋₆-Alkenyl-, 1,3-Dihydro-1-oxo-2H-isoindol-2-yl-, N-Phthalimidinyl-, [(2-chloro-1,3-thiazolyl-5-yl)-methoxy, Ethyl-5-yl-2-methyl-3-furoate, C₁₁₋₂₀-alkyl-, 1,3-Dioxo-2-azaspiro[4,4]non-2-yl-, pyrazolyl-, (1,3-oxazol-5-yl)-, (5-Methyl-1,3,4-oxadiazol-2-yl)-, difluoromethoxy, dichloromethoxy, 1-pyrrolidinylsulfonyl, morpholinosulfonyl, 2-methyl-4-pyrimidinyl-, a phenoxy group, which is at least mono-substituted with C₁₋₅-alkoxy, a phenyl group, which is at least mono-substituted with one of the substituents selected from the group consisting of nitro, C₁₋₅-alkoxy, F, Cl, Br, at least partially fluorinated C₁₋₅-alkyl, at least partially chlorinated C₁₋₅-alkyl, [(2-Chloro-1,3-thiazol-5-yl)-methoxy]-, and -(C=O)-C₁₋₅-alkyl, a pyridinyl group, which is at least mono-substituted with C₁₋₅-alkoxy, a phenoxy group which is at least di-substituted and a pyridinyloxy group, which is at least di-substituted,

more preferably W represents a moiety selected from the group consisting of 5-Dimethylamino-naphth-1-yl, 2-Acetamido-4-methyl-5-thiazolyl-, Trifluoromethyl-, Trichloromethyl-, Isopropyl-, Methyl-, 2,2,2-Trifluoroethyl-, Ethyl-, Hexadecyl-, 2-Chloroethyl-, n-Propyl-, 3-Chloro-propyl-, n-Butyl-, Dichloromethyl-, Chloromethyl-, Dodecyl-, 1-Octyl-, 6-(p-toluidino)-naphth-2-yl-, 4,5-Dibromothiophene-2-yl-, 1-Octadecyl-, 4-Bromo-2,5-dichloro-thiophene-3-yl-, 2,5-Dichloro-thiophene-3-yl-, 5-Chloro-thiophene-2-yl-, 1-Decyl-, 3-Bromo-2-chloro-thiophene-5-yl-, 3-Bromo-5-chloro-thiophene-2-yl-, 2-(Benzoylaminomethyl)-thiophene-5-yl-, 4-(Phenyl-sulphonyl)-thiophene-2-yl-, 2-Phenyl-sulphonyl-thiophene-5-yl-, 2-[1-Methyl-5-(trifluoromethyl)pyrazol-3-yl]-thiophene-5-yl-, 5-Pyrid-2-yl-thiophene-2-yl-, 5-Chloro-1,3-dimethylpyrazole-4-yl-, 3,5-Dimethylisoxazole-4-yl-, 4-(2-Chloro-6-nitrophenoxy)-phenyl-, 2,4-Dimethyl-1,3-thiazole-5-yl-, Methyl-methane-sulfonyl-, 2,5-Bis-(2,2,2-Trifluoroethoxy)-phenyl-, 5-(Di-n-propylamino)-naphth-1-yl-, 2,2,5,7,8-Pentamethyl-chroman-6-yl-, 5-Chloro-4-nitro-thiophene-2-yl-, 2,1,3-Benzothiadiazole-4-yl-, 1-Methyl-imidazole-4-yl-, Benzofurazan-4-yl-, 5-(Isoxazol-3-yl)-thiophene-2-yl-, Vinyl-phenyl-4-yl-, 5-Dichloro-methyl-furan-2-yl-, 5-Bromo-thiophene-2-yl-, 5-(4-Chlorobenzamidomethyl)-thiophene-2-yl-, Dibenzo[B,D]-furan-2-yl-, 5-Chloro-3-methylbenzo[B]-thiophene-2-yl-, 3-Methoxy-4-(methoxycarbonyl)-thiophene-2-yl-, 5-[2-(Methylthio)-pyrimidin-4-yl]-thiophene-2-yl-, 4-Chloro-2,1,3-Benzoxadiazole-7-yl-, 5-Chloro-2,1,3-

Benzoxadiazole-4-yl-, 6-Chloro-imidazo(2,1-B)-thiazole-5-yl-, 3-Methyl-
 benzo[B]-thiophene-2-yl-, 5-Chloro-naphth-1-yl-, 5-Chloro-naphth-2-yl-, 9,10-
 Dibromoanthracene-2-yl-, Isoquinoline-5-yl-, 4'-Nitro-biphenyl-4-yl-, (1,3-
 Dihydro-1-oxo-2H-isoindol-2-yl)-4-phenyl-, 5-(2-Methyl-1,3-thiazole-4-yl)-
 thiophene-2-yl-, 5-(1-Methyl-3-(trifluoromethyl)pyrazol-5-yl)-thiophene-2-yl-, 5-
 [5-Trifluoromethyl]-isoxazol-3-yl)-thiophene-2-yl-, p-Dodecyl-phenyl-, 4-[(3-
 Cyano-4-methoxy-2-pyridinyl)oxy]-phenyl-, 4-(N-phthalimidinyl)-phenyl-,
 1,2,3,4-Tetrahydro-2-(trifluoroacetyl)-isoquinoline-7-yl-, 1,2-Dimethylimidazole-
 4-yl-, Ethylpyridine-4-Carboxylate-3-yl-, 2,2,4,6,7-
 Pentamethyldihydrobenzofuran-5-yl-, 4-Chloro-naphth-1-yl-, 2,5-Dichloro-4-
 nitro-thiophene-3-yl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Methyl-phenoxy)-
 phenyl-, 3-(2-Methoxy-phenoxy)-phenyl, 3-(2-Methyl-phenoxy)-phenyl-, 3-(4-
 Methoxy-phenyl)-phenyl-, 3-(4-Chloro-phenyl)-phenyl-, 3-(3,5-Dichloro-
 phenyl)-phenyl-, 3-(3,4-Dichloro-phenyl)-phenyl-, 3-(4-Fluorophenyl)-phenyl-,
 3-(4-Methylphenyl)-phenyl-, 3-[4-(Trifluoromethyl)-phenyl]-phenyl-, 3-[3,5-Bis-
 (Trifluoromethyl)-phenyl]-phenyl-, 4-(2-Methoxy-phenoxy)-phenyl-, 4-(2-
 Methyl-phenoxy)-phenyl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Chlorophenyl)-
 phenyl-, 4-(3,5-Dichlorophenyl)-phenyl-, 4-(3,4-Dichlorophenyl)-phenyl-, 4-(4-
 Fluorophenyl)-phenyl-, 4-(4-Methylphenyl)-phenyl-, 4-[4-(Trifluoromethyl)-
 phenyl]-phenyl-, 4-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, Cyclopropyl-, 2-
 (2-Chlorophenyl)-2-Phenylethyl-, 2-(2-Trifluoromethylphenyl)-2-phenylethyl-, 5-
 [4-Cyano-1-methyl-5-(methylthio)-1H-pyrazol-3-yl-thiophene-2-yl-, 3-Cyano-
 2,4-bis-(2,2,2-Trifluoroethoxy)-phenyl-, 4-[(2-Chloro-1,3-Thiazol-5-yl)-methoxy]-
 phenyl-, 2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethyl-, 5-Iodo-naphth-1-yl-,
 Ethyl-2,5-dimethyl-1-phenylpyrrole-4-carboxylate-3-yl-, Ethyl-2-methyl-1,5-
 diphenyl-1H-pyrrole-3-carboxylate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-3-
 furoate-4-yl, Ethyl-5-(4-chlorophenyl)-2-methyl-1-phenyl-3-carboxylate-4-yl-,
 Ethyl-2,5-dimethyl-3-furoate-4-yl-, 3-Chloro-4-(1,3-dioxo-2-Azaspiro[4,4]non-2-
 yl)-phenyl-, 5-Bromo-2,4-difluoro-phenyl-, 5-Chloro-2,4-difluorophenyl-,
 Coumarin-6-yl, 3-(4-Methoxy-phenoxy)-phenyl-, 3-(4-Methylphenoxy)-phenyl-,
 2,2-Diphenylethyl-, 4-Phenyl-5-(trifluoromethyl)-thiophene-3-yl-, Methyl-4-
 Phenyl-5-(Trifluoromethyl)-thiophene-2-carboxylate-3-yl-, Methyl-1,2,5-
 trimethylpyrrole-3-Carboxylate-4-yl-, 4-Fluoro-naphth-1-yl-, 5-Fluoro-3-
 methylbenzo[B]-thiophene-2-yl-, Methyl-2,5-dimethyl-3-furoate-4-yl-, Methyl-2-

furoate-5-yl-, Methyl-2-methyl-3-furoate-5-yl-, Methyl-1-methyl-1H-pyrrole-2-Carboxylate-5-yl-, 2-(5-Chloro-1,2,4-Thiadiazol-3-yl)-thiophene-5-yl-, 1,3,5-Trimethyl-1H-pyrazole-4-yl-, Pentafluoroethoxytetrafluoroethyl-, 5-(5-Isoxazol)-thiophene-2-yl-, 5-(5-Isoxazol-yl)-2-furyl-, 5-Methyl-2,1,3-benzothiadiazole-4-yl-, 2,3-Dihydro-1,4-benzodioxine-6-yl-, 4-Methyl-Naphth-1-yl-, 5-Methyl-2-(Trifluormethyl)-3-Furyl-, 2,3-Dihydrobenzo[B]furan-5-yl-, 1-Benzothiophene-3-yl-, 4-Methyl-3,4-dihydro-2H-1,4-Benzoxazine-7-yl-, 5-Methyl-1-phenyl-1H-pyrazole-4-yl-, 6-Morpholino-3-Pyridinyl-, 4-(1H-Pyrazol-1-yl)-phenyl-, 6-Phenoxy-3-Pyridyl-, 3,4-Dihydro-2H-1,5-benzodioxepine-7-yl-, 5-(1,3-Oxazol-5-yl)-2-thienyl-, 4-(1,3-Oxazol-5-yl)-phenyl-, 5-Methyl-4-isoxazolyl, 2,1,3-Benzothiadiazole-5-yl-, 5-Acetamido-naphth-1-yl-, 3-Methyl-8-Quinoliny-, 1,3-Benzothiazole-6-yl-, 2-Morpholino-3-Pyridyl-, 2,5-Dimethyl-3-thienyl-, 5-[5-(Chloromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl-, Ethyl-3-[5-yl-2-thienyl]-1,2,4-oxadiazole-5-carboxylate-, 3-(5-Methyl-1,3,4-oxadiazol-2-yl)-phenyl-, 4-(Difluoromethoxy)-phenyl-, 3-(Difluoromethoxy)-phenyl-, 2,2-Dimethyl-6-Chromanyl-, Ethyl-3,5-dimethyl-1H-pyrrole-2-carboxylate-4-yl-, Imidazo[1,2-A]pyridine-3-yl-, 3-(1,3-Oxazol-5-yl)-phenyl-, Ethyl-5-[4-yl]-phenyl]-2-methyl-3-furoate, 1-Pyrrolidinylphenylsulfonyl-, Methyl-5-yl-4-methyl-2-thiophene-carboxylate, Methyl-3-yl-4-(isopropylsulfonyl)-2-thiophene, 7-Chlorochromone-3-yl-, 4'-Bromobiphenyl-4-yl-, 4'-Acetyl-biphenyl-4-yl-, 4'-Bromo-2'-fluoro-biphenyl-4-yl-, 1-Methyl-5-isatiny-, 2-Chloro-3-thiophenecarboxylic-acid-5-yl-, 2-Methoxy-5-(N-phthalimidinyl)-phenyl-, 1-Benzothiophene-2-yl-, Morpholinophenylsulfonyl- and 3-(2-Methyl-4-pyrimidinyl)-phenyl-.

6. Compounds according to any one of claims 1 to 5, characterized in that R¹⁰ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with

an optionally at least mono-substituted mono- or polycyclic ring-system, preferably H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl.

7. Compounds according to any one of claims 1 to 6, characterized in that R¹¹ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl.
8. Compounds according to any one of claims 1 to 7, characterized in that R¹² represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably represents H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl.
9. Compounds according to any one of claims 1 to 8, characterized in that R¹³ and R¹⁴ are each independently selected from the group consisting of

hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably are each independently selected from the group consisting of H, a C₁₋₄-alkyl radical, cyclohexyl and a phenyl radical, more preferably are each independently selected from the group consisting of H, CH₃, C₂H₅ and phenyl.

10. Compounds according to any one of claims 1 to 8, characterized in that R¹³ and R¹⁴ together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member, preferably form an unsubstituted piperidin or morpholine group.
11. Compounds according to any one of claims 1 to 10, characterized in that R¹⁵ represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably represents H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably represents H, CH₃, C₂H₅ or phenyl.
12. Compounds according to any one of claims 1 to 11, characterized in that R¹⁶ represents an unbranched or branched, saturated or unsaturated, optionally at

least mono-substituted C₁₋₆ aliphatic radical, preferably an unbranched or branched, saturated, unsubstituted C₁₋₃ alkyl radical, more preferably a methyl radical.

13. Compounds according to any one of claims 1 to 12, characterized in that R¹⁷ represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆ aliphatic radical, preferably an unbranched or branched, saturated, unsubstituted C₁₋₃ alkyl radical, more preferably a methyl radical.

14. Compounds according to one or more of claims 1 to 13:

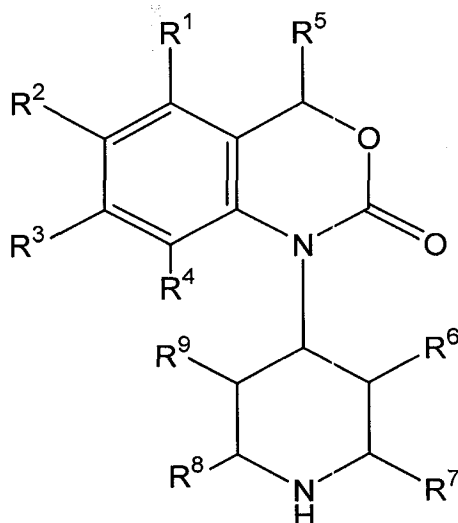
1-[1-(5-Chloro-3-methyl-benzo[b]thiophenyl-2-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

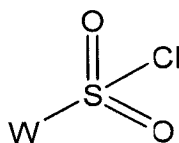
and corresponding salts thereof, and corresponding solvates.

15. Process for the preparation of benzoxazinone-derived sulfonamide compounds of general formula (I) according to one or more of claims 1 to 14, characterized in that it comprises reacting at least one piperidine compound of general formula (II), wherein R¹ to R⁹ have the meaning according to claim 1, and/or a salt, preferably a hydrochloride salt, thereof,



(II)

with at least one compound of general formula (III),



(III)

wherein W has the meaning according to claim 1, in a suitable reaction medium, optionally in the presence of at least one base and/or at least one auxiliary agent.

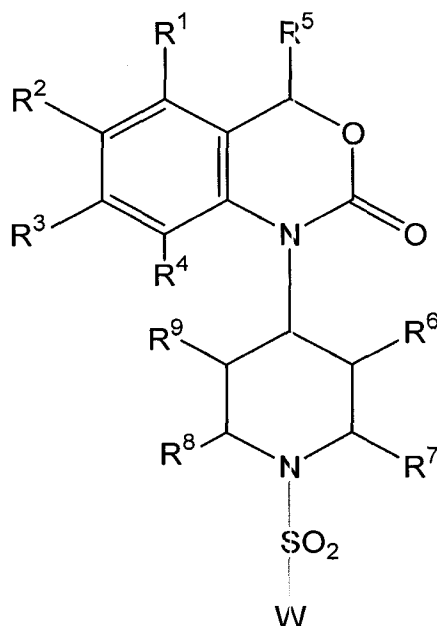
16. Process for the preparation of a physiologically acceptable salt of the benzoxazinone-derived sulphonamide compounds according to claims 1-14, characterized in that at least one compound of general formula (I) having at least one basic group is reacted with at least one acid, preferably an inorganic or organic acid, preferably in the presence of a suitable reaction medium.
17. Process for the preparation of a physiologically acceptable salt of the benzoxazinone-derived sulphonamide compounds according to claims 1-14, characterized in that at least one compound of general formula (I) having at

least one acidic group is reacted with at least one base, preferably in the presence of a suitable reaction medium.

18. Medicament comprising at least one benzoxazinone-derived sulphonamide compound according to any one of claims 1-14, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, respectively, and optionally one or more pharmaceutically acceptable adjuvants.
19. Medicament according to claim 18 for 5-HT₆-receptor regulation, for the prophylaxis and/or treatment of food ingestion (food intake) disorders, particularly for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (Non-Insulin Dependent Diabetes Mellitus), preferably type II diabetes, which is caused by obesity, disorders of the central nervous system, disorders of the gastrointestinal tract, such as irritable intestine syndrom, anxiety, panic, depression, cognitive memory disorders, senile dementia disorders, such as Morbus Alzheimer, Morbus Parkinson and Morbus Huntington, schizophrenia, psychosis, infantile hyperkinesia or ADHC (attention deficit, hyperactivity disorders).
20. Use of at least one benzoxazinone-derived sulphonamide compound according to any one of claims 1-14, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, for the manufacture of a medicament for 5-HT₆-receptor regulation, for the prophylaxis and/or treatment of food ingestion (food intake) disorders, particularly for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (Non-Insulin Dependent Diabetes Mellitus), preferably type II diabetes, which is caused by obesity, disorders of the central nervous system, disorders of the gastrointestinal tract, such as irritable intestine syndrom,

anxiety, panic, depression, cognitive memory disorders, senile dementia disorders, such as Morbus Alzheimer, Morbus Parkinson and Morbus Huntington, schizophrenia, psychosis, infantile hyperkinesia or ADHC (attention deficit, hyperactivity disorders).

21. Use of at least one benzoxazinone-derived sulfonamide compound of general formula (Ia),



(Ia)

wherein

R^{1a} , R^{2a} , R^{3a} , R^{4a} are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical,

which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, $-OR^{10a}$, $-OC(=O)R^{11a}$, $-SR^{12a}$, $-SOR^{12a}$, $-SO_2R^{12a}$, $-NH-SO_2R^{12a}$, $-SO_2NH_2$ and a $-NR^{13a}R^{14a}$ moiety,

R^{5a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical,

R^{6a} , R^{7a} , R^{8a} , R^{9a} are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano group and a $COOR^{15a}$ moiety,

W^a represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bridged and/or bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or a $NR^{16}R^{17}$ -moiety,

R^{10a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl

radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{11a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{12a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{13a} and R^{14a} each are independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be

bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or R^{13a} and R^{14a} together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

R^{15a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R^{16a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, and

R^{17a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, respectively,

for the manufacture of a medicament for 5-HT₆-receptor regulation.

22. Use according to claim 21, characterized in that R^{1a}, R^{2a}, R^{3a}, R^{4a} are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member

containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, -OR^{10a}, -OC(=O)R^{11a}, -SR^{12a}, -SOR^{12a}, -SO₂R^{12a}, -NH-SO₂R^{12a}, -SO₂NH₂ and a -NR^{13a}R^{14a} moiety, preferably selected from the group consisting of H, F, Cl, Br, a saturated, branched or unbranched, optionally at least mono-substituted C₁₋₃-aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₅- or C₆- cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁- or C₂-alkylene group, a nitro, cyano, -OR^{10a}, -OC(=O)R^{11a}, -SR^{12a} and -NR^{13a}R^{14a} moiety, more preferably selected from the group consisting of H, F, Cl, CH₃, CH₂CH₃, CF₃, CF₂CF₃, cyclopentyl, cyclohexyl, nitro, cyano and -OR^{10a}.

23. Use according to claim 21 or 22, characterized in that R^{5a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, preferably represents H or a branched or unbranched C₁₋₃-alkyl radical, more preferably H, CH₃ or CH₂CH₃.
24. Use according to any one of claims 21 to 23, characterized in that R^{6a}, R^{7a}, R^{8a}, R^{9a} are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, a cyano and COOR^{15a} moiety, preferably selected from the group consisting of H, a branched or unbranched C₁₋₃-alkyl radical, a cyano and a COOR^{15a} group, more preferably from the group consisting of H, CH₃, CH₂CH₃ and a cyano moiety.

25. Use according to any one of claims 21 to 24, characterized in that W^a represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆ aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bridged and/or bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, a NR^{16a}R^{17a}-moiety or a COR^{18a}-moiety,

preferably is selected from the group consisting of 1-Naphthyl-, 5-Dimethylamino-naphth-1-yl, 2-Naphthyl-, 2-Acetamido-4-methyl-5-thiazolyl-, 2-Thienyl-, 8-Quinoliny-, Phenyl-, Pentafluorophenyl-, 2,4,5-Trichloro-phenyl-, 2,5-Dichloro-phenyl-, 2-Nitrophenyl-, 2,4-Dinitro-phenyl-, 3,5-Dichloro-2-hydroxy-phenyl-, 2,4,6-Trisisopropyl-phenyl-, 2-Mesityl-, 3-Nitro-phenyl-, 4-Bromo-phenyl-, 4-Fluoro-phenyl-, 4-Chlorophenyl-, 4-Chloro-3-nitro-phenyl-, 4-Iodo-phenyl-, N-Acetyl-sulfanilyl-, 4-Nitro-phenyl-, 4-Methoxy-phenyl-, Benzoic-acid-4-yl-, 4-tert-Butyl-phenyl-, p-Tolyl-, Trifluoromethyl-, Trichloromethyl-, Isopropyl-, Methyl-, Benzyl-, trans-styryl-, 2,2,2-Trifluoroethyl-, Ethyl-, Hexadecyl-, 2-Chloroethyl-, n-Propyl-, 3-Chloro-propyl-, n-Butyl-, Methyl-benzoate-2-yl-, 2-Nitro-4-(trifluoromethyl)-phenyl-, Pentamethyl-phenyl-, 2,3,5,6-Tetramethyl-phenyl-, 3-(Trifluoromethyl)-phenyl-, 3,5-Bis-(Trifluoromethyl)-phenyl-, Dichloromethyl-, Chloromethyl-, Dodecyl-, 1-Octyl-, 2,3,4-Trichloro-phenyl-, 2,5-Dimethoxy-phenyl-, o-Tolyl-, p-xylyl-2-yl-, Benzoic-acid-3-yl-, 4-Chloro-3-(trifluoromethyl)-phenyl-, 4-Chloro-5-nitro-benzoic acid-3-yl-, 6-(p-toluidino)-naphth-2-yl-, 4-Methoxy-2,3,6-trimethylphenyl-, 3,4-Dichlorophenyl-, 4,5-Dibromo-thiophene-2-yl-, 3-Chloro-4-fluoro-phenyl-, 4-Ethyl-phenyl-, 4-n-Propyl-phenyl-, 4-(1,1-Dimethylpropyl)-phenyl-, 4-Isopropyl-phenyl-, 4-Bromo-2,5-difluoro-phenyl-, 2-Fluoro-phenyl-, 3-Fluoro-phenyl-, 4-(Trifluoromethoxy)-phenyl-, 4-

(Trifluoromethyl)-phenyl-, 2,4-Difluoro-phenyl-, 2,4-Dichloro-5-methyl-phenyl-,
 4-Chloro-2,5-dimethyl-phenyl-, 5-Diethylamino-naphth-2-yl-, Benzoyl chloride-3-
 yl-, 2-Chloro-phenyl-, 1-Octadecyl-, 4-Bromo-2,5-dichloro-thiophene-3-yl-, 2,5-
 Dichloro-thiophene-3-yl-, 5-Chloro-thiophene-2-yl-, 2-Methyl-5-nitro-phenyl-, 2-
 (Trifluoromethyl)-phenyl-, 3-Chloro-phenyl-, 3,5-Dichloro-phenyl-, 1-Decyl-, 3-
 Methyl-phenyl-, 2-Chloro-6-methyl-, 5-Bromo-2-methoxy-phenyl-, 3,4-
 Dimethoxy-phenyl-, 2-3-Dichloro-phenyl-, 2-Bromo-phenyl-, 3,5-Dichloro-4-(2-
 chloro-4-nitrophenoxy)-phenyl-, 2,3-Dichloro-thiophene-5-yl-, 3-Bromo-2-
 chloro-thiophene-5-yl-, 3-Bromo-5-chloro-thiophene-2-yl-, 2-
 (Benzoylaminomethyl)-thiophene-5-yl-, 4-(Phenyl-sulphonyl)-thiophene-2-yl-,
 2-Phenyl-sulphonyl-thiophene-5-yl-, 3-Chloro-2-methyl-phenyl-, 2-[1-Methyl-5-
 (trifluoromethyl)pyrazol-3-yl]-thiophene-5-yl-, 5-Pyrid-2-yl-thiophene-2-yl-, 2-
 Chloro-5-(trifluoromethyl)-phenyl-, 2,6-Dichloro-phenyl-, 3-Bromo-phenyl-, 2-
 (Trifluoromethoxy)-phenyl-, 4-Cyano-phenyl-, 2-Cyano-phenyl-, 4-n-Butoxy-
 phenyl-, 4-Acetamido-3-chloro-phenyl, 2,5-Dibromo-3,6-difluoro-phenyl-, 5-
 Chloro-1,3-dimethylpyrazole-4-yl-, 3,5-Dimethylisoxazole-4-yl-, 2-(2,4-
 Dichlorophenoxy)-phenyl-, 4-(2-Chloro-6-nitro-phenoxy)-phenyl-, 4-(3-Chloro-
 2-cyano-phenoxy)-phenyl-, 2,4-Dichloro-phenyl-, 2,4-Dimethyl-1,3-thiazole-5-
 yl-, Methyl-methane-sulfonyl-, 2,5-Bis-(2,2,2-Trifluoroethoxy)-phenyl-, 2-
 Chloro-4-(trifluoromethyl)-phenyl-, 2-Chloro-4-fluoro-phenyl-, 5-Fluoro-2-
 methyl-phenyl-, 5-Chloro-2-methoxy-phenyl-, 2,4,6-Trichloro-phenyl-, 2-
 Hydroxy-benzoic acid-5-yl-, 5-(Di-n-propylamino)-naphth-1-yl-, 6-Methoxy-m-
 tolyl-, 2,5-Difluoro-phenyl-, 2,4-Dimethoxy-phenyl-, 2,5-Dibromo-phenyl-, 3,4-
 Dibromo-phenyl-, 2,2,5,7,8-Pentamethyl-chroman-6-yl-, 2-Methoxy-benzoic-
 acid-5-yl-, 5-Chloro-4-nitro-thiophene-2-yl-, 2,1,3-Benzothiadiazole-4-yl-, 1-
 Methyl-imidazole-4-yl-, Benzofurazan-4-yl-, 2-(Methoxycarbonyl)-thiophene-3-
 yl-, 5-(Isoxazol-3-yl)-thiophene-2-yl-, 2,4,5-Trifluoro-phenyl-, Biphenyl-4-yl-,
 Vinyl-phenyl-4-yl-, 2-Nitro-benzyl-, 5-Dichloro-methyl-furan-2-yl-, 5-Bromo-
 thiophene-2-yl-, 5-(4-Chlorobenzamidomethyl)-thiophene-2-yl-, 2,6-Difluoro-
 phenyl-, 2,5-Dimethoxy-4-nitro-phenyl-, Dibenzo[B,D]-furan-2-yl-, 2,3,4-
 Trifluoro-phenyl-, 3-Nitro-p-tolyl-, 4-Methoxy-2-nitro-phenyl-, 3,4-Difluoro-
 phenyl-, 4-(Bromoethyl)-phenyl-, 3,5-Dichloro-4-hydroxy-phenyl-, 4-n-Amyl-
 phenyl-, 5-Chloro-3-methylbenzo[B]-thiophene-2-yl-, 3-Methoxy-4-
 (methoxycarbonyl)-thiophene-2-yl-, 4-n-Butyl-phenyl-, 2-Chloro-4-cyano-

phenyl-, 5-[2-(Methylthio)-pyrimidin-4-yl]-thiophene-2-yl-, 3,5-Dinitro-4-methoxy-phenyl-, 4-Bromo-2-(trifluoromethoxy)-phenyl-, 4-Chloro-2,1,3-Benzoxadiazole-7-yl-, 2-(1-Naphthyl)-ethyl-, 3-Cyano-phenyl-, 5-Chloro-2,1,3-Benzoxadiazole-4-yl-, 3-Chloro-4-methyl-phenyl-, 4-Bromo-2-ethyl-phenyl-, 2,4-Dichloro-6-methyl-phenyl-, 6-Chloro-imidazo(2,1-B)-thiazole-5-yl-, 3-Methyl-benzo[B]-thiophene-2-yl-, 4-Methyl-sulphonyl-phenyl-, 2-Methyl-sulphonyl-phenyl-, 4-Bromo-2-methyl-phenyl-, 2,6-Dichloro-4-(trifluoromethyl)-phenyl-, 4-[[3-Chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-phenyl-, 5-Chloro-naphth-1-yl-, 5-Chloro-naphth-2-yl-, 9,10-Dibromoanthracene-2-yl-, Isoquinoline-5-yl-, 4-Methoxy-2,3,6-trimethyl-phenyl-, 4'-Nitro-biphenyl-4-yl-, [(4-Phenoxy)-phenyl-, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl)-4-phenyl-, 4-Acetyl-phenyl-, 5-(2-Methyl-1,3-thiazole-4-yl)-thiophene-2-yl-, 5-(1-Methyl-3-(trifluoromethyl)pyrazol-5-yl)-thiophene-2-yl-, 5-[5-Trifluoromethyl]-isoxazol-3-yl]-thiophene-2-yl-, 2-Iodo-phenyl-, p-Dodecyl-phenyl-, 4-[(3-Cyano-4-methoxy-2-pyridinyl)oxy]-phenyl-, 4-(N-phthalimidinyl)-phenyl-, 1,2,3,4-Tetrahydro-2-(trifluoroacetyl)-isoquinoline-7-yl-, 4-Bromo-2-fluoro-phenyl-, 2-Fluoro-5-(trifluoromethyl)-phenyl-, 4-Fluoro-2-(trifluoromethyl)-phenyl-, 4-Fluoro-3-(trifluoromethyl)-phenyl-, 2,4,6-Trifluoro-phenyl-, 3-(Trifluoromethoxy)-phenyl-, 1,2-Dimethylimidazole-4-yl-, Ethyl-4-Carboxylate-3-yl-, 2,2,4,6,7-Pentamethyldihydrobenzofuran-5-yl-, 3-Bromo-2-chloropyridine-5-yl-, 3-Methoxy-phenyl-, 2-Methoxy-4-methyl-phenyl-, 2-Chloro-4-fluorobenzoic-acid-5-yl-, 4-Chloro-naphth-1-yl-, 2,5-Dichloro-4-nitro-thiophene-3-yl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Chloro-phenoxy)-phenyl-, 4-(3,5-Dichloro-phenoxy)-phenyl-, 4-(3,4-Dichloro-phenoxy)-phenyl-, 4-(4-Fluoro-phenoxy)-phenyl-, 4-(4-Methyl-phenoxy)-phenyl-, 4-[4-(Trifluoromethyl)-phenoxy]-phenyl-, 4-[3,5-Bis-(trifluoromethyl)-phenoxy]-phenyl-, 3-(2-Methoxy-phenoxy)-phenyl-, [3-(2-Chloro-phenoxy)-phenyl-, 3-(2-Methyl-phenoxy)-phenyl-, 4-[2-(Trifluoromethyl)-phenoxy]-phenyl-, 3-Phenyl-phenyl-, 3-(4-Methoxy-phenyl)-phenyl-, 3-(4-Chloro-phenyl)-phenyl-, 3-(3,5-Dichloro-phenyl)-phenyl-, 3-(3,4-Dichloro-phenyl)-phenyl-, 3-(4-Fluorophenyl)-phenyl-, 3-(4-Methylphenyl)-phenyl-, 3-[4-(Trifluoromethyl)-phenyl]-phenyl-, 3-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, 4-(4-Pyridyloxy)-phenyl-, 4-(2-Methoxy-phenoxy)-phenyl-, 4-(2-Chloro-phenoxy)-phenyl-, 4-(2-Methyl-phenoxy)-phenyl-, 4-(4-Methoxy-phenoxy)-

phenyl-, 4-(4-Chlorophenyl)-phenyl-, 4-(3,5-Dichlorophenyl)-phenyl-, 4-(3,4-Dichlorophenyl)-phenyl-, 4-(4-Fluorophenyl)-phenyl-, 4-(4-Methylphenyl)-phenyl-, 4-[4-(Trifluoromethyl)-phenyl]-phenyl-, 4-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, [3-(Trifluoromethyl)-phenyl]-methyl-, (4-Chlorophenyl)-methyl-, (3,5-Dichlorophenyl)-methyl-, (3,5-Dichlorophenyl)-methyl-, (4-Fluorophenyl)-methyl-, 4-Methylphenylmethyl-, [4-(Trifluoromethyl)-phenyl]-methyl-, Cyclopropyl-, 2-(2-Chlorophenyl)-2-Phenylethyl-, 2-(2-Trifluoromethylphenyl)-2-phenylethyl-, 5-[4-Cyano-1-methyl-5-(methylthio)-1H-pyrazol-3-yl]-thiophene-2-yl-, 3-Cyano-2,4-bis-(2,2,2-Trifluoroethoxy)-phenyl-, 4-[(2-Chloro-1,3-Thiazol-5-yl)-methoxy]-phenyl-, 3-Nitro-phenylmethyl-, 4-Formylphenyl-, 2-(1,3-Dioxo-1,3-dihydro-isindol-2-yl)-ethyl-, [3,5-Bis-(Trifluoromethyl)-phenyl]-methyl-, (4-(2-Pyridyloxy)-phenyl)-, (4-(3-Pyridyloxy)-phenyl)-, 5-Iodo-naphth-1-yl-, Ethyl-2,5-dimethyl-1-phenylpyrrole-4-carboxylate-3-yl-, Ethyl-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxylate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-3-furoate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-1-phenyl-3-carboxylate-4-yl-, Ethyl-2,5-dimethyl-3-furoate-4-yl-, 3-Chloro-4-(1,3-dioxo-2-Azaspiro[4,4]non-2-yl)-phenyl-, 5-Bromo-2,4-difluoro-phenyl-, 5-Chloro-2,4-difluorophenyl-, Coumarin-6-yl, 2-Methoxy-phenyl, (3-Phenoxy)-phenyl-, 3-(4-Methoxy-phenoxy)-phenyl-, 3-(4-Chlorophenoxy)-phenyl-, 3-(3,5-Dichlorophenoxy)-phenyl-, 3-(3,4-Dichlorophenoxy)-phenyl-, 3-(4-Fluorophenoxy)-phenyl-, 3-(4-Methylphenoxy)-phenyl-, 3-[4-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[3,5-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[2-(Trifluoromethyl)-phenoxy]-phenyl-, 2,2-Diphenylethyl-, 4-Phenyl-5-(trifluoromethyl)-thiophene-3-yl-, Methyl-4-Phenyl-5-(Trifluoromethyl)-thiophene-2-carboxylate-3-yl-, Methyl-1,2,5-trimethylpyrrole-3-Carboxylate-4-yl-, 4-Fluoro-naphth-1-yl-, 3,5-Difluorophenyl-, 3-Fluoro-4-methoxy-phenyl-, 4-Chloro-2,5-difluorophenyl-, 2-Chloro-4,5-difluoro-phenyl-, 5-Fluoro-3-methylbenzo[B]-thiophene-2-yl-, Methyl-3-phenylpropionate-4-yl, Dihydrocinnamic Acid-4-yl-, Methyl-2,5-dimethyl-3-furoate-4-yl-, Methyl-2-furoate-5-yl-, Methyl-2-methyl-3-furoate-5-yl-, Methyl-1-methyl-1H-pyrrole-2-Carboxylate-5-yl-, 2-(5-Chloro-1,2,4-Thiadiazol-3-yl)-thiophene-5-yl-, 1,3,5-Trimethyl-1H-pyrazole-4-yl-, 3-Chloro-5-fluoro-2-methylphenyl-, Pentafluoroethoxytetrafluoroethyl-, 5-(5-Isoxazolyl)-thiophene-2-yl-, 5-(5-Isoxazol-yl)-2-furyl-, 5-Methyl-2,1,3-benzothiadiazole-4-yl-, Biphenyl-2-yl-, 2,3-Dihydro-1,4-benzodioxine-6-yl-, 4-Methyl-Naphth-1-yl-, 5-Methyl-2-

(Trifluormethyl)-3-Furyl-, 2,3-Dihydrobenzo[B]furan-5-yl-, 1-Benzothiophene-3-yl-,
 4-Methyl-3,4-dihydro-2H-1,4-Benzoxazine-7-yl-, 5-Methyl-1-phenyl-1H-pyrazole-4-yl-,
 6-Morpholino-3-Pyridinyl-, 4-(1H-Pyrazol-1-yl)-phenyl-, 6-Phenoxy-3-Pyridyl-,
 3,4-Dihydro-2H-1,5-benzodioxepine-7-yl-, 5-(1,3-Oxazol-5-yl)-2-thienyl-,
 4-(1,3-Oxazol-5-yl)-phenyl-, 5-Methyl-4-isoxazolyl, 2,1,3-Benzothiadiazole-5-yl-,
 3-Thienyl-, 2-Methyl-benzyl-, 3-Chloro-benzyl-, 5-Acetamido-naphth-1-yl-,
 3-Methyl-8-Quinoliny-, 4-Chloro-2-nitrophenyl-, 6-Quinoliny-, 1,3-Benzothiazole-6-yl-,
 2-Morpholino-3-Pyridyl-, 2,5-Dimethyl-3-thienyl-, 5-[5-(Chloromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl-,
 Ethyl-3-[5-yl-2-thienyl]-1,2,4-oxadiazole-5-carboxylate-, 3-(5-Methyl-1,3,4-oxadiazol-2-yl)-phenyl-,
 4-Isopropoxyphenyl-, 2,4-Dibromophenyl-, 3-Cyano-4-fluorophenyl-, 2,5-Bis-(Trifluoromethyl)-phenyl-,
 2-Bromo-4-fluorophenyl-, 4-Bromo-3-fluorophenyl-, 4-(Difluoromethoxy)-phenyl-,
 3-(Difluoromethoxy)-phenyl-, 5-Chloro-2-fluoro-phenyl-,
 3-Chloro-2-fluorophenyl-, 2-Fluoro-4-methylphenyl-, 4 Nitro-3-(trifluoromethyl)-phenyl-,
 3-Fluoro-4-methylphenyl-, 4-Fluoro-2-methylphenyl-, 4-Bromo-3-(trifluoromethyl)-phenyl-,
 4-Bromo-2-(trifluoromethyl)-phenyl-, 3-Bromo-5-(trifluoromethyl)-phenyl-,
 2-Bromo-4-(trifluoromethyl)-phenyl-, 2-Bromo-5-(trifluoromethyl)-phenyl-,
 2,4-Dichloro-5-fluorophenyl-, 4,5-Dichloro-2-fluorophenyl-,
 3,4,5-Trifluorophenyl-, 4-Chloro-2-fluorophenyl-, 2-Bromo-4,6-Difluorophenyl-,
 2-Ethylphenyl-, 4-Bromo-2-chlorophenyl-, 4-Bromo-2,6-dichlorophenyl-,
 2-Bromo-4,6-dichloro-phenyl-, 4-Bromo-2,6-dimethylphenyl-, 3,5-Dimethylphenyl-,
 4-Bromo-3-methylphenyl-, 2-Methoxy-4-nitrophenyl-, 2,2-Dimethyl-6-Chromanyl-,
 Ethyl-3,5-dimethyl-1H-pyrrole-2-carboxylate-4-yl-, Imidazo[1,2-A]pyridine-3-yl-,
 3-(1,3-Oxazol-5-yl)-phenyl-, Ethyl-5-[4-yl)-phenyl]-2-methyl-3-furoate-,
 Methyl-3-(yl)-4-methoxybenzoate-, 1-Pyrrolidinylphenylsulfonyl-,
 Methyl-5-yl-4-methyl-2-thiophene-carboxylate-, Methyl-3-yl-4-(isopropylsulfonyl)-2-thiophene-,
 2-Pyridyl-, 3-Fluoro-4-nitrophenyl-, 7-Chlorochromone-3-yl-, 4'-Bromobiphenyl-4-yl-,
 4'-Acetyl-biphenyl-4-yl-, 4'-Bromo-2'-fluoro-biphenyl-4-yl-, 2-Chloro-4-(3-propyl-Ureido)-phenyl-,
 3-(-Bromoacetyl)-phenyl-, 2-Bromo-3-(trifluoromethyl)-phenyl-, 1-Methyl-5-isatinyl-,
 4-Isopropyl-benzoic-acid-3-yl-, 2-Chloro-3-thiophenecarboxylic-acid-5-yl-,
 3-Pyridyl-, Cyclohexylmethyl-, 2-Methoxy-5-(N-

phthalimidinyl)-phenyl-, 1-Benzothiophene-2-yl-, Morpholinophenylsulfonyl-, 3-(2-Methyl-4-pyrimidinyl)-phenyl-, and 2-Cyano-5-methylphenyl-, Use according to any one of claims 21 to 25, characterized in that R^{10a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl.

26. Use according to any one of claims 21 to 25, characterized in that R^{10a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl.
27. Use according to any one of claims 21 to 26, characterized in that R^{11a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an

optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably represents H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl.

28. Use according to any one of claims 21 to 27, characterized in that R^{12a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably represents H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH₃, C₂H₅ or phenyl.
29. Use according to any one of claims 21 to 28, characterized in that R^{13a} and R^{14a} are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably are each independently

selected from the group consisting of H, a C₁₋₄-alkyl radical, cyclohexyl and a phenyl radical, more preferably are each independently selected from the group consisting of H, CH₃, C₂H₅ and phenyl.

30. Use according to any one of claims 21 to 28, characterized in that R^{13a} and R^{14a} together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member, preferably form an unsubstituted piperidin or morpholine group.
31. Use according to any one of claims 21 to 30, characterized in that R^{15a} represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C₃₋₈-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C₁₋₆-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, preferably represents H, a C₁₋₄-alkyl radical, cyclohexyl or a phenyl radical, more preferably represents H, CH₃, C₂H₅ or phenyl.
32. Use according to any one of claims 21 to 31, characterized in that R^{16a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆ aliphatic radical, preferably an unbranched or branched, saturated, unsubstituted C₁₋₃ alkyl radical, more preferably a methyl radical.
33. Use according to any one of claims 21 to 32, characterized in that R^{17a} represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C₁₋₆ aliphatic radical, preferably an unbranched or branched, saturated, unsubstituted C₁₋₃ alkyl radical, more preferably a methyl radical.

34. Use according to any one of claims 21 to 33, characterized in that one or more benzoxazinone-derived sulfonamide compounds of general formula (Ia) are selected from the group consisting of:

1-[1-(Naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-(1-Phenylsulfonyl-piperidine-4-yl)-1,4-dihydro-benzo[d][1,3]-oxazin-2-one,

1-[1-(5-Chloro-3-methyl-benzo[b]thiophenyl-2-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

8-Methyl-1-[1-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

8-Methyl-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one,

1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one,

1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one, and

corresponding salts thereof, or corresponding solvates thereof.

35. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of food intake disorders.
36. Use according to claim 35 for the regulation of appetite.
37. Use according to claim 35 for the reduction, increase or maintenance of body weight.
38. Use according to claim 35 for the prophylaxis and/or treatment of obesity.
39. Use according to claim 35 for the prophylaxis and/or treatment of bulimia.
40. Use according to claim 35 for the prophylaxis and/or treatment of anorexia.
41. Use according to claim 35 for the prophylaxis and/or treatment of cachexia.
42. Use according to claim 35 for the prophylaxis and/or treatment of type II diabetes.
43. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of anxiety.

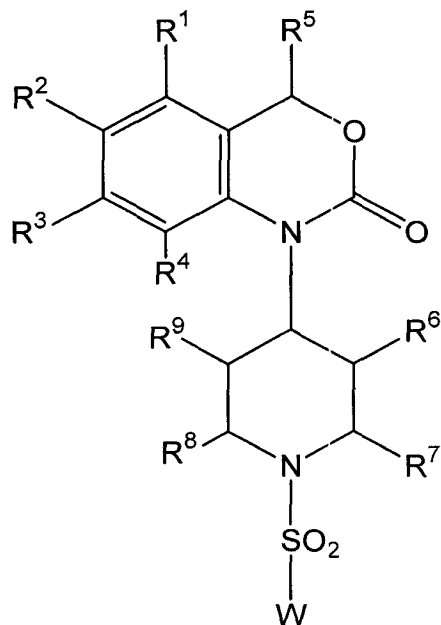
44. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of panic.
45. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of depression.
46. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of cognitive disorders, preferably memory disorders.
47. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of senile dementia processes, preferably selected from the group consisting of Morbus Alzheimer, Morbus Parkinson, Morbus Huntington.

48. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of psychosis.
49. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of infantile hyperkinesia.
50. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of ADHC (attention deficit/hyperactivity disorder).
51. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of disorders of the gastrointestinal tract, preferably irritable intestine syndrom.

52. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of schizophrenia.
53. Use of at least one benzoxazinone-derived sulphonamide compound of general formula (Ia) according to any one of claims 21-34, optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers in any mixing ratio, or a physiologically acceptable salt thereof, or a corresponding solvate, for the manufacture of a medicament for cognitive enhancement.

Abstract:

The present invention relates to benzoxazinone-derived sulphonamide compounds of general formula (I),



(I)

a process for their preparation, a medicament comprising these compounds and the use of benzoxazinone-derived sulphonamide compounds for the preparation of medicaments for 5-HT₆ receptor regulation as well as for the treatment of disorders related thereto.